



STIC Search Report

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STIC Database Tracking Number: 162991

TO: Rei-Tsang Shiao
Location: 5a10 / 5c18
Saturday, August 20, 2005
Art Unit: 1626
Phone: 571-272-0707
Serial Number: 10 / 684991

From: Jan Delaval
Location: Biotech-Chem Library
Remsen 1a51
Phone: 571-272-2504

jan.delaval@uspto.gov

Search Notes

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Robert (Pats) Shiao Examiner #: 79521 Date: 8/10/05
Art Unit: 1626 Phone Number: 20707 Serial Number: 10/684,991
Location (Bldg/Room#): REM (Mailbox #): _____ Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Benzyl substitub
Inventors (please provide full names): Baxter et al

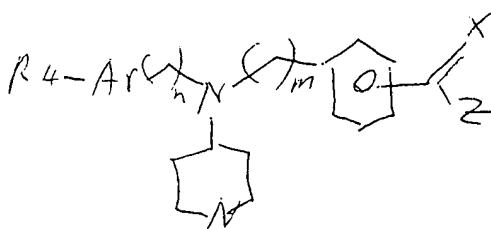
Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

2. scil cpd z



* X is O, S
* Z is H(Rs)R6
Rs, R6 is sub.
or Z is a ring

* Ar is a ring
(ie. grp. heterocycl,
heterocycle)

STAFF USE ONLY

Searcher: Jan
Searcher Phone #: 22504
Searcher Location: _____
Date Searcher Picked Up: 8/20/05
Date Completed: 8/20/05
Searcher Prep & Review Time: 15
Online Time: 110

Type of Search

____ NA Sequence (#)
____ AA Sequence (#)
☒ Structure (#)
____ Bibliographic
____ Litigation
____ Fulltext
____ Other

Vendors and cost where applicable

____ STN _____ Dialog
____ Questel/Orbit _____ Lexis/Nexis
____ Westlaw _____ WWW/Internet
____ In-house sequence systems
____ Commercial _____ Oligomer _____ Score/Length
____ Interference _____ SPDI _____ Encode/Transl
____ Other (specify) _____

=> fil reg
 FILE 'REGISTRY' ENTERED AT 10:06:47 ON 20 AUG 2005
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 19 AUG 2005 HIGHEST RN 861198-35-8
 DICTIONARY FILE UPDATES: 19 AUG 2005 HIGHEST RN 861198-35-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

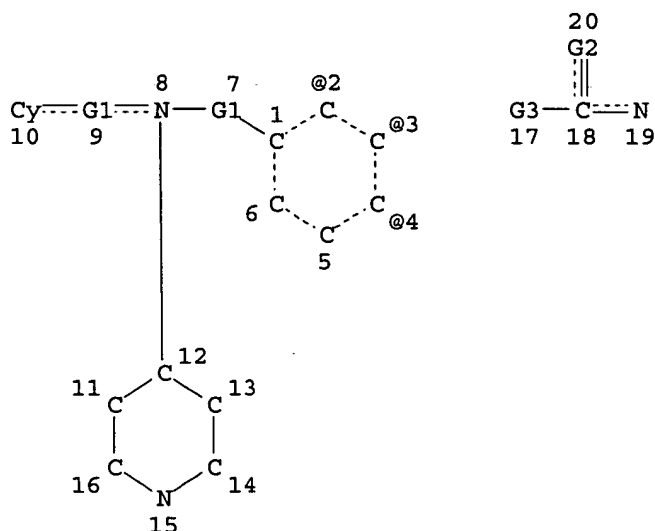
Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

 *
 * The CA roles and document type information have been removed from *
 * the IDE default display format and the ED field has been added, *
 * effective March 20, 2005. A new display format, IDERL, is now *
 * available and contains the CA role and document type information. *
 *

Structure search iteration limits have been increased. See HELP SLIMITS
 for details.

Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que 116
 L7 STR

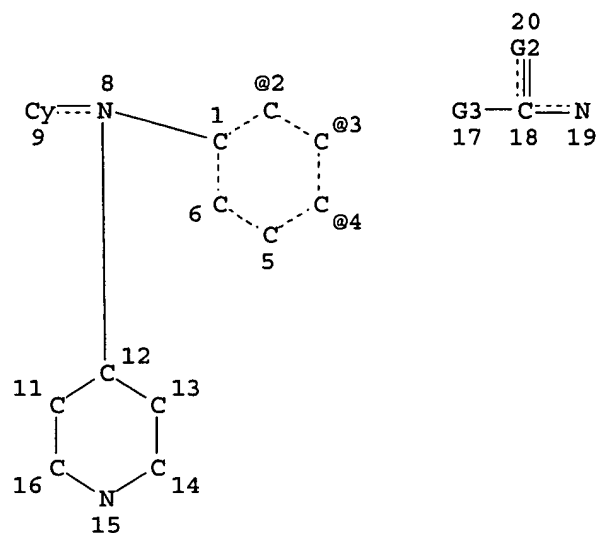


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GRAPH ATTRIBUTES:
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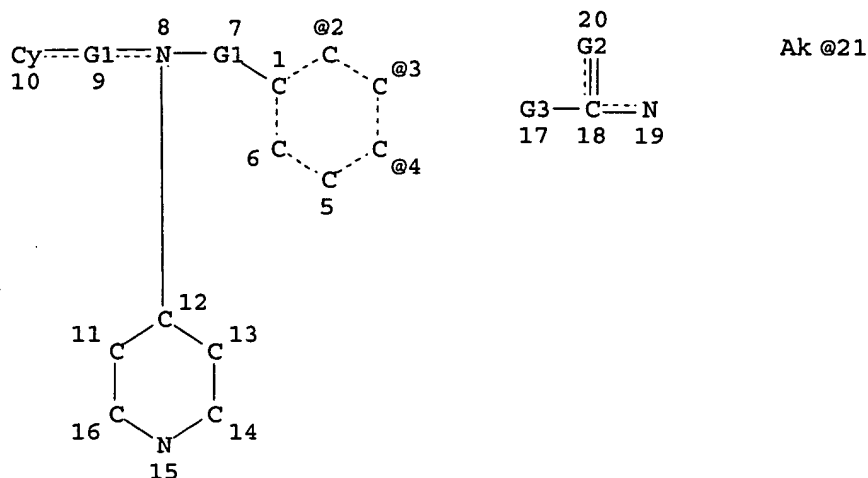
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STEREO ATTRIBUTES: NONE
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 L13 95 SEA FILE=REGISTRY ABB=ON PLU=ON L9 NOT L12
 L14 STR



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100.0% PROCESSED      95 ITERATIONS
SEARCH TIME: 00.00.01

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68 ANSWERS

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SET COST OFF

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L2      51 S E3,E15,E22-E24
        E WOODS E/AU
L3      14 S E3,E5
        E REITZ A/AU
L4      186 S E3,E4,E11-E13
        SEL RN L1

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FILE 'REGISTRY' ENTERED AT 09:57:46 ON 20 AUG 2005

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L6      25 S L5 AND NC5/ES AND C6/ES AND NR>=3
L7      STR

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L8          1 S L7
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             SAV L9 SHIAO684/A
L10         STR L7
L11         19 S L10 SAM SUB=L9
L12         434 S L10 FUL SUB=L9
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L13         95 S L9 NOT L12
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FILE 'REGISTRY' ENTERED AT 10:06:47 ON 20 AUG 2005

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=> fil uspatful

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FILE 'USPATFULL' ENTERED AT 10:07:03 ON 20 AUG 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 18 Aug 2005 (20050818/PD)
FILE LAST UPDATED: 18 Aug 2005 (20050818/ED)
HIGHEST GRANTED PATENT NUMBER: US6931661
HIGHEST APPLICATION PUBLICATION NUMBER: US2005183181
CA INDEXING IS CURRENT THROUGH 18 Aug 2005 (20050818/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 18 Aug 2005 (20050818/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2005

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>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<

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>>> Use USPATALL when searching terms such as patent assignees, <<<
 >>> classifications, or claims, that may potentially change from <<<
 >>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l25 bib abs hitstr

L25 ANSWER 1 OF 1 USPATFULL on STN

AN 2004:108210 USPATFULL

TI Benzyl substituted (piperidin-4-yl)aminobenzamido derivatives

IN Baxter, Ellen W., Glenside, PA, UNITED STATES

Reitz, Allen B., Lansdale, PA, UNITED STATES

PI US 2004082612 A1 20040429

AI US 2003-684991 A1 20031014 (10)

PRAI US 2002-418457P 20021015 (60)

DT Utility

FS APPLICATION

LREP PHILIP S. JOHNSON, JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003

CLMN Number of Claims: 30

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1260

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

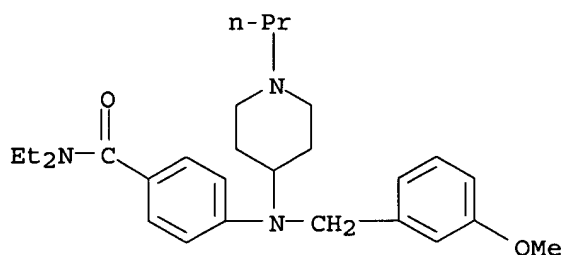
AB The present invention is directed to N-benzyl substituted (piperidin-4-yl)aminobenzamido derivatives which are delta-opioid receptor modulators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **683271-39-8P**, N,N-Diethyl-4-[(3-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-48-9P**, N,N-Diethyl-4-[benzyl(piperidin-4-yl)amino]benzamide (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

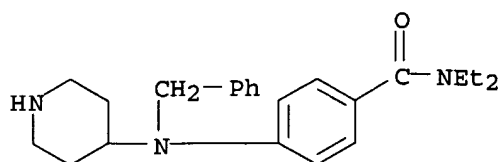
RN 683271-39-8 USPATFULL

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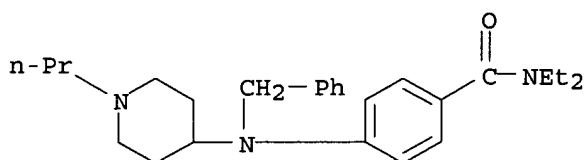


RN 683271-48-9 USPATFULL

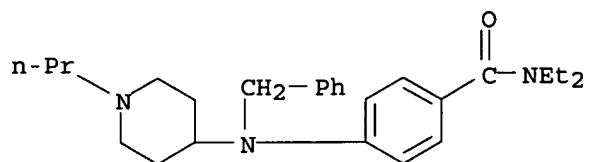
CN Benzamide, N,N-diethyl-4-[(phenylmethyl)-4-piperidinylamino]- (9CI) (CA INDEX NAME)



IT 683271-37-6P, N,N-Diethyl-4-[benzyl(1-propylpiperidin-4-yl)amino]benzamide 683271-38-7P 683271-40-1P,
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 683271-41-2P, N,N-Diethyl-4-[(2-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-42-3P, N,N-Diethyl-4-[(3-fluorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-43-4P,
 N,N-Diethyl-4-[(3-pyridinylmethyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-44-5P, N,N-Diethyl-4-[(3-trifluoromethylphenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide
 683271-45-6P, N,N-Diethyl-4-[(4-fluorophenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-46-7P,
 N,N-Diethyl-4-[(3-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide
 683271-47-8P, N,N-Diethyl-4-[(2-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-49-0P, N,N-Diethyl-4-[benzyl(1-allylpiperidin-4-yl)amino]benzamide 683271-50-3P,
 N,N-Diethyl-4-[benzyl(1-isopropylpiperidin-4-yl)amino]benzamide
 683271-51-4P, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide 683271-52-5P, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide monooxalate 683271-53-6P,
 N,N-Diethyl-4-[benzyl(1-(3-dimethylaminopropyl)piperidin-4-yl)amino]benzamide 683271-54-7P, N,N-Diethyl-3-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide
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 683271-57-0P 683271-58-1P 683271-60-5P,
 N,N-Diethyl-3-[(3-fluorophenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-71-8P
 (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as
 δ -opioid receptor modulators)
 RN 683271-37-6 USPATFULL
 CN Benzamide, N,N-diethyl-4-[(phenylmethyl)(1-propyl-4-piperidinyl)amino]-
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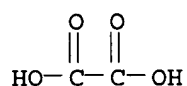
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 CRN 683271-37-6
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CM 2

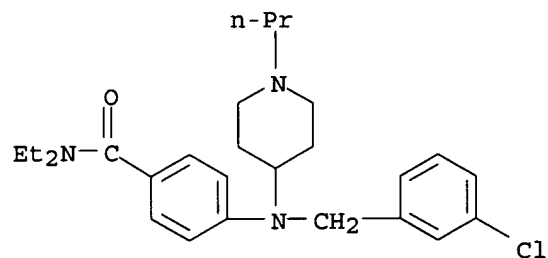
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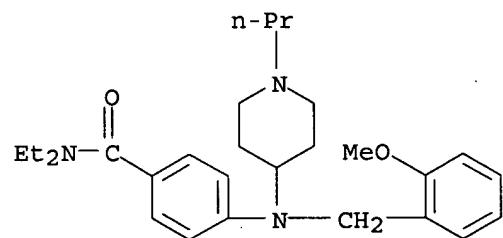
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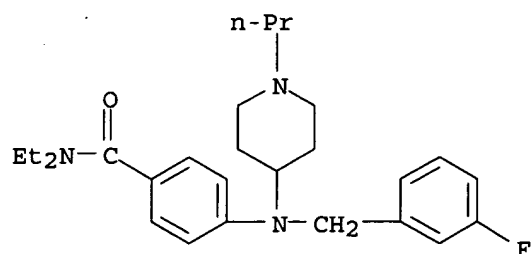
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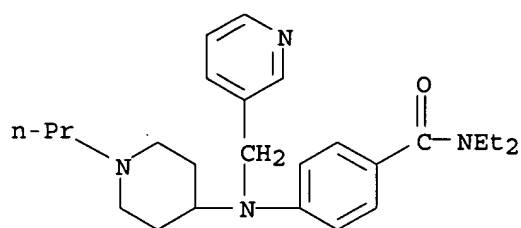
RN 683271-42-3 USPATFULL

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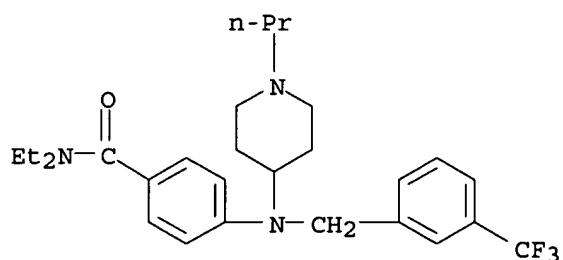
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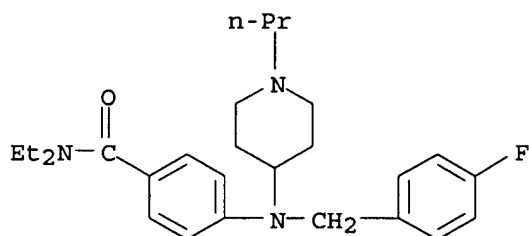
RN 683271-44-5 USPATFULL

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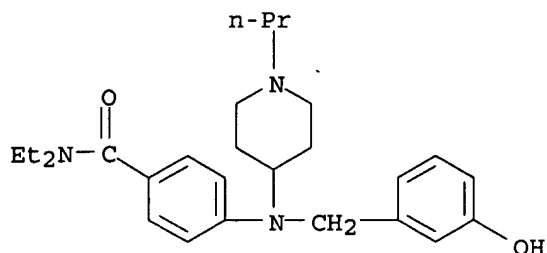
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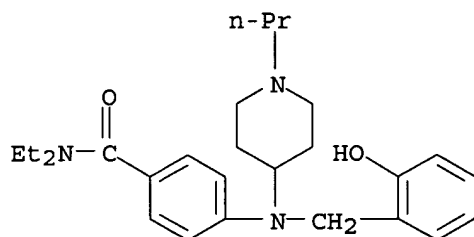
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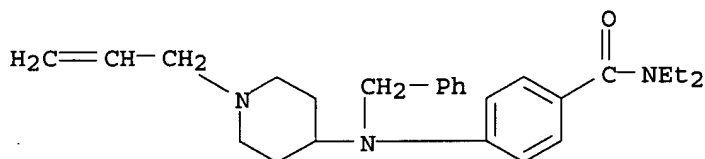
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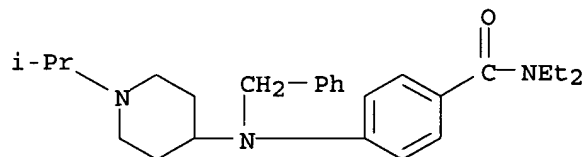
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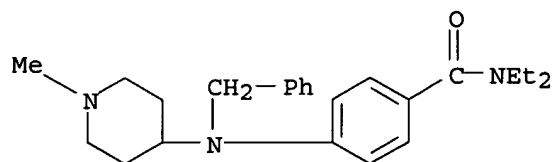
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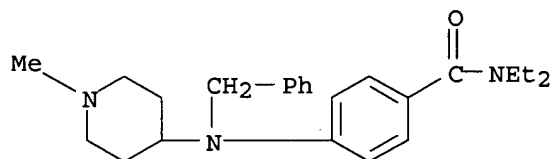
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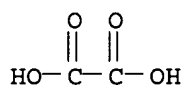
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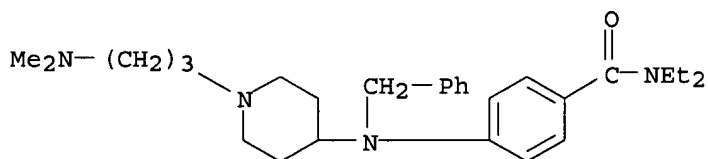
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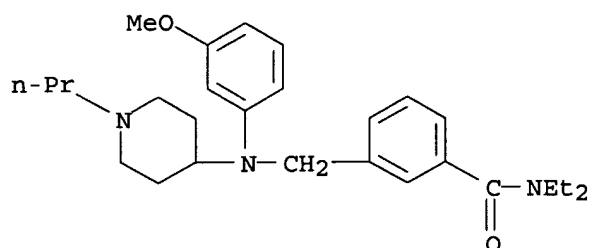
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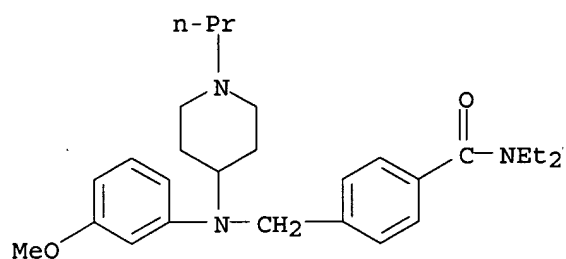
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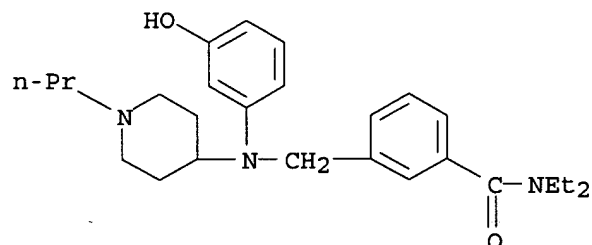
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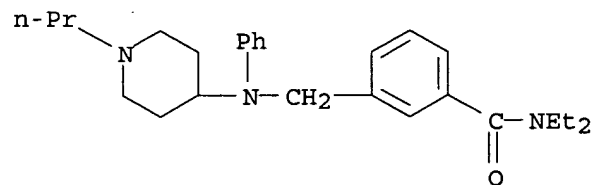
RN 683271-56-9 USPATFULL

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RN 683271-57-0 USPATFULL

CN Benzamide, N,N-diethyl-3-[[[phenyl(1-propyl-4-piperidinyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 683271-58-1 USPATFULL

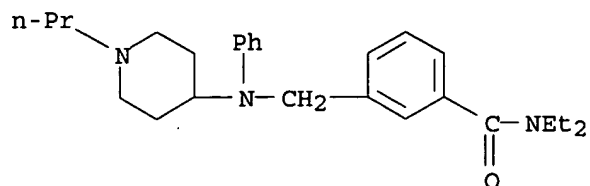
CN Benzamide, N,N-diethyl-3-[[[phenyl(1-propyl-4-piperidinyl)amino]methyl]-,

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CM 1

CRN 683271-57-0

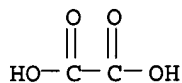
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CM 2

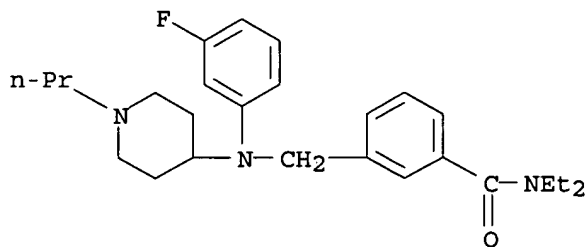
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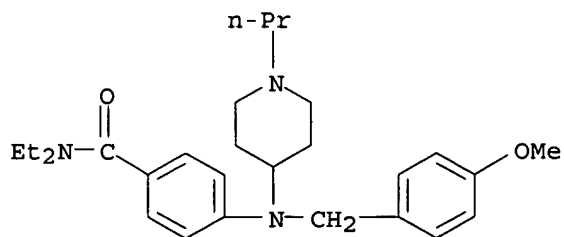
RN 683271-60-5 USPATFULL

CN Benzamide, N,N-diethyl-3-[[[(3-fluorophenyl)(1-propyl-4-piperidinyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 683271-71-8 USPATFULL

CN Benzamide, N,N-diethyl-4-[[[(4-methoxyphenyl)methyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

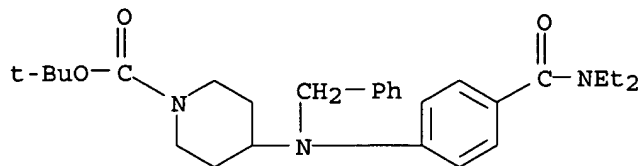


IT 683271-63-8P

(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

RN 683271-63-8 USPATFULL

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl] (phenylmethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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AN 2005:570983 HCAPLUS

DN 143:97274

ED Entered STN: 01 Jul 2005

TI Preparation of piperidines as chemokine receptor, particularly CCR5, modulators for treatment of inflammatory and autoimmune diseases

IN Bridger, Gary J.; Zhou, Yuanxi; Skerlj, Renato

PA Anormed Inc., Can.

SO PCT Int. Appl., 384 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C12N

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

FAN.CNT 1

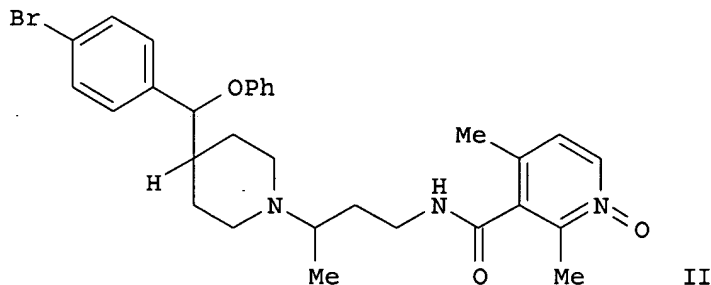
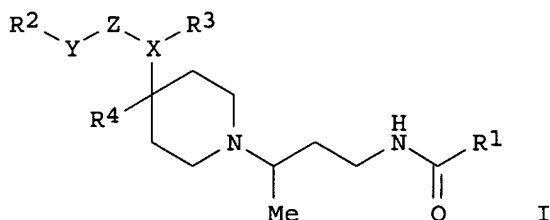
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005059107	A2	<u>20050630</u>	WO 2004-US41865	20041213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-528975P P 20031211

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2005059107	ICM	C12N

GI



AB Title compds. I [wherein X = C, N; Y = O if X = C, or a bond if X = N; Z = (CH₂)_n; n = 0-1; R₁ = (un)substituted hetero/aryl; R₂ = (un)substituted hetero/aryl, N:(alkyl); R₃ = (un)substituted hetero/aryl, or a Ph fused with a 5- or 6-membered heterocycle; R₄ = H, alkyl; and their pharmaceutically acceptable salts] were prepared as chemokine receptor, particularly CCR5, modulators for treatment of inflammatory and autoimmune diseases. For example, coupling of 2,4-dimethyl-N-oxonicotinic acid with [3-[4-[(4-bromophenyl)phenoxy]methyl]piperidin-1-yl]butylamine (preparation given) gave II in 82% yield. I exhibited IC₅₀'s in the range of 0.01 nM to 50 μM in an assay for inhibition of HIV-1 using PMBC and R5.

Compds. I demonstrate protective effects against infection of target cells by a human immunodeficiency virus (HIV).

ST piperidine prepn chemokine receptor CCR5 modulator inflammation autoimmune disease

IT Chemokine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CCR5, modulators; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Inflammation
(Crohn's disease; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Intestine, disease
(Crohn's; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Allergy
(allergic contact dermatitis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Dermatitis
(allergic contact; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Allergy
Inflammation
Nose, disease
(allergic rhinitis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Transplant rejection
(allotransplant; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Inflammation
Spinal column, disease
(ankylosing spondylitis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Antiarteriosclerotics
(antiatherosclerotics; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Dermatitis
(atopic; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Autoimmune disease
Inflammation
Thyroid gland, disease
(autoimmune thyroiditis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Eye, disease
Inflammation
(conjunctivitis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Allergy
(delayed hypersensitivity; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Nerve, disease
(demyelination, inflammatory; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Lung, disease
(eosinophilia; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Autoimmune disease
(exptl. autoimmune encephalomyelitis; preparation of piperidines as

chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Encephalomyelitis
(exptl. autoimmune; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Lung, disease
(fibrosis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Inflammation
Kidney, disease
(glomerulonephritis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Transplant and Transplantation
(host-vs.-graft reaction; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Allergy
Inflammation
Lung, disease
(hypersensitivity pneumonitis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Intestine, disease
Skin, disease
(inflammatory; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Autoimmune disease
(insulin-dependent diabetes mellitus; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Diabetes mellitus
(insulin-dependent; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Lung, disease
(interstitial, associated with rheumatoid arthritis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Lung, disease
(interstitial; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Myositis
(polymyositis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT Allergy
Allergy inhibitors
Anaphylaxis
Anti-AIDS agents
Anti-inflammatory agents
Antiarthritics
Antiasthmatics
Antidiabetic agents
Antirheumatic agents
Antitumor agents
Asthma
Atherosclerosis
Autoimmune disease
Cardiovascular agents
Cardiovascular system, disease
Dermatitis
Dermatomyositis

Eczema
 Gastrointestinal agents
 Human
 Human immunodeficiency virus 1
 Immunomodulators
 Inflammation
 Multiple sclerosis
 Myasthenia gravis
 Neoplasm
 Psoriasis
 Rheumatoid arthritis
 Sjogren's syndrome
 Transplant rejection
 Urticaria

(preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

- IT Arthritis
 (psoriatic arthritis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
- IT Fibrosis
 (pulmonary; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
- IT Connective tissue, disease
 (scleroderma; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
- IT Spinal column, disease
 (spondyloarthropathy; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
- IT Lupus erythematosus
 (systemic; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
- IT Inflammation
 Intestine, disease
 (ulcerative colitis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
- IT Blood vessel, disease
 Inflammation
 (vasculitis; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
- IT 856933-56-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid
 [3-[4-[(4-acetylaminophenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]amide 856933-58-9P, N-[3-[4-[(4-Acetylaminophenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide
 856933-65-8P, N-[3-[4-[(3-Cyanobenzyl)(4-hydroxyphenyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856933-99-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid 4-[(3-cyanobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl ester
 856934-02-6P, Acetic acid 4-[(3-cyanobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl ester
 856934-07-1P, N-[3-[4-[(4-Aminophenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-08-2P, [4-[(3-Cyanobenzyl)[1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid tert-butyl ester 856934-12-8P,
 [4-[(3-Cyanobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid tert-butyl ester
 856935-22-3P, 3-[(5-Chloro-2-fluorobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]benzoic acid methyl ester 856935-42-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid
 [3-[4-[(4-acetylaminophenyl)(5-cyano-2-fluorobenzyl)amino]piperidin-1-yl]butyl]amide 856935-60-9P, 4,6-Dimethylpyrimidine-5-carboxylic acid

[(R)-3-[4-[(5-cyano-2-fluorobenzyl)(4-hydroxyphenyl)amino]piperidin-1-yl]butyl]amide 856935-71-2P, [4-[(5-Cyano-2-fluorobenzyl)[1-[(R)-3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid methyl ester 856936-49-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[2-(4-acetylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amide 856936-89-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-hydroxyphenyl)(3-thiophenylmethyl)amino]piperidin-1-yl]butyl]amide 856936-95-3P, 4-[[1-[(R)-3-[[4,6-Dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl](thiophen-3-ylmethyl)amino]benzoic acid methyl ester 856937-02-5P, 4-[4-[[1-[(R)-3-[[4,6-Dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl](thien-3-ylmethyl)amino]phenoxy]benzoic acid 856937-15-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-methylsulfanylphenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-26-3P, 2,4-Dimethyl-1-oxo-N-[(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]nicotinamide 856938-08-4P, N-[(R)-3-[4-[(4-Methoxyphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(CCR5 modulator; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT 24959-67-9P, Bromide, preparation 856931-49-2P, N-[3-[4-[(4-Bromophenyl)phenoxy]methyl]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856931-52-7P, N-[3-[4-[(4-Bromophenyl)phenoxy]methyl]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856931-56-1P, N-[3-[4-[(4-Bromophenyl)(o-tolyloxy)methyl]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856931-58-3P 856931-59-4P, 2,6-Dimethyl-N-[3-[4-[(phenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-4-(pyridin-4-yl)benzamide 856931-60-7P, 3,5-Dichloro-N-[3-[4-[(phenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-61-8P, 3,5-Dichloro-N-[3-[4-[(3-trifluoromethylphenyl)oxy](4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-62-9P, 3,5-Dichloro-N-[3-[4-[(2-trifluoromethylphenyl)oxy](4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-63-0P, 3,5-Dichloro-N-[3-[4-[(3-chlorophenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-64-1P, 3,5-Dichloro-N-[3-[4-[(2-fluorophenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-65-2P, 3,5-Dichloro-N-[3-[4-[(3-fluorophenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-66-3P, 2,6-Dimethyl-4-(pyridin-4-yl)-N-[3-[4-[(m-tolyloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]benzamide 856931-67-4P, 2,6-Dimethyl-4-(pyridin-4-yl)-N-[3-[4-[(o-tolyloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]benzamide 856931-68-5P, 3,5-Dichloro-N-[3-[4-[(3-cyanophenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-69-6P, 3,5-Dichloro-N-[3-[4-[(ethylideneamino)oxy](4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-73-2P, 2,6-Dimethyl-N-[3-(R)-[4-(R)-[(phenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-4-(pyridin-4-yl)benzamide 856931-84-5P, 2,6-Dimethyl-N-[3-(S)-[4-(R)-[(phenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-4-(pyridin-4-yl)benzamide 856931-86-7P, N-[3-[4-[(6-Chloropyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856931-89-0P, N-[3-[4-[(6-Chloropyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856931-90-3P, 4,6-Dimethylpyrimidine-5-carboxylic acid

[3-[4-[(6-chloropyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amide 856931-91-4P, N-[3-[4-[(4-Bromophenyl)[(pyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856931-92-5P, 3,5-Dichloro-N-[3-[4-[(pyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-93-6P, 3,5-Dichloro-N-[3-[4-[(6-methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-94-7P, 3,5-Dichloro-N-[3-[4-[(6-ethylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-95-8P, 3,5-Dichloro-N-[3-[4-[(6-fluoropyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-96-9P, 3,5-Dichloro-N-[3-[4-[(4-trifluoromethylphenyl){(6-trifluoromethylpyridin-2-yl)oxy}methyl]piperidin-1-yl]butyl]isonicotinamide 856931-97-0P, 3,5-Dichloro-N-[3-[4-[(6-cyanopyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856931-98-1P, 3,5-Dichloro-N-[3-[4-[(4-methylsulfonylphenyl)[(6-methylpyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]isonicotinamide 856932-01-9P, 3,5-Dichloro-N-[3-[4-[(6-chloropyridin-2-yloxy)(4-methylsulfonylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-02-0P, 3,5-Dichloro-N-[3-[4-[(6-fluoropyridin-2-yloxy)(4-methylsulfonylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-03-1P, 3,5-Dichloro-N-[3-[4-[(6-methylpyridin-2-yloxy)(4-trifluoromethoxyphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-05-3P, 3,5-Dichloro-N-[3-[4-[(6-fluoropyridin-2-yloxy)(4-trifluoromethoxyphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-06-4P, 3,5-Dichloro-N-[3-[4-[(6-chloropyridin-2-yloxy)(4-trifluoromethoxyphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-07-5P, 3,5-Dichloro-N-[3-[4-[(6-methylpyridin-2-yloxy)(4-methylsulfamoylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-09-7P, N-[3-[4-[(R)-4-Bromophenyl](pyridin-2-yloxy)methyl]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-11-1P, N-[3-[4-[(4-Bromophenyl)[(3-methylpyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-12-2P, 3,5-Dichloro-N-[3-[4-[(4-methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-13-3P, 3,5-Dichloro-N-[3-[4-[(3-methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-14-4P, 3,5-Dichloro-N-[3-[4-[(3-chloropyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-15-5P, 3,5-Dichloro-N-[3-[4-[(4-chloropyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-16-6P, 6-[[1-[3-[(3,5-Dichloropyridin-4-yl)carbonyl]amino]-1-methylpropyl]piperidin-4-yl](4-trifluoromethylphenyl)methoxy]pyridine-2-carboxylic acid methyl ester 856932-17-7P, 3,5-Dichloro-N-[3-[4-[(4-cyanophenyl)[(6-methylpyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]isonicotinamide 856932-21-3P, 3,5-Dichloro-N-[3-[4-[(6-chloropyridin-2-yloxy)(4-cyanophenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-26-8P, 3,5-Dichloro-N-[3-[4-[(4-cyanophenyl)[(6-cyanopyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]isonicotinamide 856932-28-0P, 3,5-Dichloro-N-[3-[4-[(4-cyanophenyl)[(6-fluoropyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]isonicotinamide 856932-32-6P, N-[3-[4-[(4-Carbamoylphenyl)[(6-methylpyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-33-7P, 4-[[1-[3-[(3,5-Dichloropyridin-4-yl)carbonyl]amino]-1-methylpropyl]piperidin-4-yl][(6-methylpyridin-2-yl)oxy]methyl]benzoic acid methyl ester 856932-34-8P, N-[3-[4-[(4-Bromophenyl)[(pyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856932-36-0P, 2,6-Dimethyl-4-(pyridin-4-yl)-N-[3-[4-[(pyridin-2-yl)oxy](4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]benzamide 856932-38-2P, 2,6-Dimethyl-N-[3-[4-[(6-

methylpyridin-2-yloxy) (4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-4-(pyridin-4-yl)benzamide 856932-40-6P, 2,6-Dimethyl-N-[3-(R)-[4-(R)-[(6-methylpyridin-2-yloxy) (4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-4-(pyridin-4-yl)benzamide 856932-45-1P, 2,6-Dimethyl-N-[3-(S)-[4-(R)-[(6-methylpyridin-2-yloxy) (4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]-4-(pyridin-4-yl)benzamide 856932-48-4P, 3,5-Dichloro-N-[3-[4-methyl-4-[(6-methylpyridin-2-yloxy) (4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-52-0P, 3,5-Dichloro-N-[3-[4-[(6-fluoropyridin-2-yloxy) thiazol-2-ylmethyl]piperidin-1-yl]butyl]isonicotinamide 856932-54-2P, 3,5-Dichloro-N-[3-[4-[(6-chloropyridin-2-yloxy) thiazol-2-ylmethyl]piperidin-1-yl]butyl]isonicotinamide 856932-55-3P, 3,5-Dichloro-N-[3-[4-[(6-chloropyridin-2-yloxy) oxazol-2-ylmethyl]piperidin-1-yl]butyl]isonicotinamide 856932-57-5P, 3,5-Dichloro-N-[3-[4-[(6-fluoropyridin-2-yloxy) (oxazol-2-yl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-58-6P, 3,5-Dichloro-N-[3-[4-[(6-methylpyridin-2-yloxy) pyridin-3-ylmethyl]piperidin-1-yl]butyl]isonicotinamide 856932-60-0P, 3,5-Dichloro-N-[3-[4-[(6-methylpyridin-2-yloxy) pyridin-4-ylmethyl]piperidin-1-yl]butyl]isonicotinamide 856932-62-2P, N-[3-[4-[(4-Bromophenyl) [(thiazol-2-yl)oxy]methyl]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-67-7P, 3,5-Dichloro-N-[3-[4-[(thiazol-2-yloxy) (4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]isonicotinamide 856932-71-3P, N-[3-[4-[(4-Bromophenyl) (3-chlorobenzyl) amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-72-4P, N-[3-[4-[(Benzyl) (4-cyanophenyl) amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-73-5P, 3,5-Dichloro-N-[3-[4-[(4-cyanophenyl) (3-fluorobenzyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-74-6P, 3,5-Dichloro-N-[3-[4-[(3-chlorobenzyl) (4-cyanophenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-75-7P, 3,5-Dichloro-N-[3-[4-[(4-cyanophenyl) (3-methylbenzyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-76-8P, N-[3-[4-[(Benzyl) (4-trifluoromethylphenyl) amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-77-9P, 3,5-Dichloro-N-[3-[4-[(3-fluorobenzyl) (4-trifluoromethylphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-78-0P, 3,5-Dichloro-N-[3-[4-[(3-chlorobenzyl) (4-trifluoromethylphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-79-1P, N-[3-[4-[(Benzyl) (4-trifluoromethoxyphenyl) amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-80-4P, 3,5-Dichloro-N-[3-[4-[(3-methylbenzyl) (4-trifluoromethoxyphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-81-5P, 3,5-Dichloro-N-[3-[4-[(3-fluorobenzyl) (4-methylsulfanylphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-82-6P, 3,5-Dichloro-N-[3-[4-[(3-chlorobenzyl) (4-methylsulfanylphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-83-7P, 3,5-Dichloro-N-[3-[4-[(3-methylbenzyl) (4-methylsulfanylphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-84-8P, 3,5-Dichloro-N-[3-[4-[(3-chlorobenzyl) (4-methylsulfonylphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-86-0P, 3,5-Dichloro-N-[3-[4-[(4-methylsulfonylphenyl) (3-methylbenzyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-87-1P, 3,5-Dichloro-N-[3-[4-[(3-fluorobenzyl) (4-methoxyphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-88-2P, 3,5-Dichloro-N-[3-[4-[(3-chlorobenzyl) (4-methoxyphenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856932-89-3P, N-[3-[4-[(Benzyl) (4-methylsulfamoylphenyl) amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-90-6P, Methanesulfonic acid 4-[benzyl[1-[3-[(3,5-dichloropyridin-4-yl) carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl ester 856932-95-1P, N-[3-[4-[(Benzyl) (4-nitrophenyl) amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-98-4P, 3,5-Dichloro-N-[3-[4-[(3-

chlorobenzyl) (4-nitrophenyl) amino] piperidin-1-yl] butyl] isonicotinamide
856933-00-1P, N-[3-[4-[(Benzyl) (4-sulfamoylphenyl) amino] piperidin-1-
yl] butyl]-3,5-dichloroisonicotinamide 856933-06-7P, 4,6-
Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-fluorobenzyl) (4-
nitrophenyl) amino] piperidin-1-yl] butyl] amide 856933-10-3P,
4-[(3-Chlorobenzyl) [1-[3-(2,6-dimethylbenzoylamino) -1-
methylpropyl] piperidin-4-yl] amino] benzoic acid methyl ester
856933-14-7P, N-[3-[4-[(3-Chlorobenzyl) [4-[(morpholin-4-
yl) carbonyl] phenyl] amino] piperidin-1-yl] butyl]-2,6-dimethylbenzamide
856933-16-9P, N-[3-[4-[(3-Chlorobenzyl) [4-(dimethylcarbamoyl) phenyl] amino]
piperidin-1-yl] butyl]-2,6-dimethylbenzamide 856933-17-0P,
N-[3-[4-[(3-Chlorobenzyl) [4-(N-methoxy-N-methylcarbamoyl) phenyl] amino] piperidin-1-yl] butyl]-2,6-dimethylbenzamide 856933-18-1P,
N-[3-[4-[(3-Chlorobenzyl) (4-phenylcarbamoylphenyl) amino] piperidin-1-
yl] butyl]-2,6-dimethylbenzamide 856933-19-2P, N-[3-[4-[(4-
Carbamoylphenyl) (3-chlorobenzyl) amino] piperidin-1-yl] butyl]-2,6-
dimethylbenzamide 856933-20-5P, N-[3-[4-[(Benzyl) [4-
(dimethylcarbamoyl) phenyl] amino] piperidin-1-yl] butyl]-2,6-dimethyl-4-
(pyridin-4-yl) benzamide 856933-24-9P, N-[3-[4-[(Benzyl) (4-
carbamoylphenyl) amino] piperidin-1-yl] butyl]-2,6-dimethyl-4-(pyridin-4-
yl) benzamide 856933-25-0P, N-[3-[4-[(4-Cyanophenyl) (3-
fluorobenzyl) amino] piperidin-1-yl] butyl]-2,4-dimethyl-1-oxonicotinamide
856933-26-1P, N-[3-[4-[(4-Cyanophenyl) (3-fluorobenzyl) amino] piperidin-1-
yl] butyl]-2,6-dimethyl-4-(pyridin-4-yl) benzamide 856933-27-2P,
4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-cyanophenyl) (3-
fluorobenzyl) amino] piperidin-1-yl] butyl] amide 856933-28-3P,
4-[4-[Benzyl [1-[3-[(4,6-dimethylpyrimidin-5-yl) carbonyl] amino] -1-
methylpropyl] piperidin-4-yl] amino] phenoxy] benzoic acid 856933-32-9P,
4-[4-[Benzyl [1-[3-(2,6-dimethylbenzoylamino) -1-methylpropyl] piperidin-4-
yl] amino] phenoxy] benzoic acid 856933-33-0P, 4-[4-[Benzyl [1-[3-[(2,4-
dimethyl-1-oxopyridin-3-yl) carbonyl] amino] -1-methylpropyl] piperidin-4-
yl] amino] phenoxy] benzoic acid 856933-34-1P, 4-[4-[Benzyl [1-[1-methyl-3-
[[4-methylpyridin-3-yl) carbonyl] amino] propyl] piperidin-4-
yl] amino] phenoxy] benzoic acid 856933-35-2P, 4-[4-[Benzyl [1-[3-(2,6-
dimethylbenzoylamino) -1-methylpropyl] piperidin-4-yl] amino] benzyl] benzoic
acid 856933-42-1P, 4-[4-[Benzyl [1-[3-(2,6-dimethylbenzoylamino) -1-
methylpropyl] piperidin-4-yl] amino] benzoyl] benzoic acid 856933-46-5P,
4-[4-[Benzyl [1-[3-[(4,6-dimethylpyrimidin-5-yl) carbonyl] amino] -1-
methylpropyl] piperidin-4-yl] amino] benzyl] benzoic acid 856933-47-6P,
N-[3-[4-[(4-Bromophenyl) (3-cyanobenzyl) amino] piperidin-1-yl] butyl]-3,5-
dichloroisonicotinamide 856933-48-7P, 3,5-Dichloro-N-[3-[4-[(3-
cyanobenzyl) (4-trifluoromethylphenyl) amino] piperidin-1-
yl] butyl] isonicotinamide 856933-49-8P, 3,5-Dichloro-N-[3-[4-[(3-
cyanobenzyl) (4-methylsulfanylphenyl) amino] piperidin-1-
yl] butyl] isonicotinamide 856933-50-1P, 3,5-Dichloro-N-[3-[4-[(3-
cyanobenzyl) (4-cyanophenyl) amino] piperidin-1-yl] butyl] isonicotinamide
856933-51-2P, 4-[(3-Cyanobenzyl) [1-[3-[(3,5-dichloropyridin-4-
yl) carbonyl] amino] -1-methylpropyl] piperidin-4-yl] amino] benzoic acid methyl
ester 856933-52-3P, 3,5-Dichloro-N-[3-[4-[(4-chlorophenyl) (3-
cyanobenzyl) amino] piperidin-1-yl] butyl] isonicotinamide 856933-53-4P,
N-[3-[4-[(3-Cyanobenzyl) [4-(dimethylcarbamoyl) phenyl] amino] piperidin-1-
yl] butyl]-2,6-dimethylbenzamide 856933-55-6P, N-[3-[4-[(3-Cyanobenzyl) [4-
(dimethylcarbamoyl) phenyl] amino] piperidin-1-yl] butyl]-2,6-dimethyl-4-
(pyridin-4-yl) benzamide 856933-59-0P, N-[3-[4-[(3-Cyanobenzyl) [4-(2-
methoxyethoxy) phenyl] amino] piperidin-1-yl] butyl]-2,6-dimethylbenzamide
856933-61-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(3-cyanobenzyl) [4-(2-methoxyethoxy) phenyl] amino] piperidin-1-
yl] butyl] amide 856933-62-5P, N-[3-[4-[(3-Cyanobenzyl) [4-
[(hydrazinocarbonyl) methoxy] phenyl] amino] piperidin-1-yl] butyl]-2,6-
dimethylbenzamide 856933-64-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid

[3-[4-[(3-cyanobenzyl) [4-[(hydrazinocarbonyl)methoxy]phenyl]amino]piperidin-1-yl]butyl]amide 856933-67-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) [4-[(methylsulfonyl)amino]phenyl]amino]piperidin-1-yl]butyl]amide 856933-71-6P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) (4-methoxyphenyl)amino]piperidin-1-yl]butyl]amide 856933-72-7P, N-[3-[4-[(3-Cyanobenzyl) (4-methoxyphenyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856933-73-8P, N-[3-[4-[(3-Cyanobenzyl) (4-methoxyphenyl)amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856933-74-9P, N-[3-[4-[(3-Cyanobenzyl) (4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856933-75-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) (4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]amide 856933-76-1P 856933-77-2P, 3,5-Dichloro-N-[3-[4-[(3-cyanobenzyl) (4-methylsulfinylphenyl)amino]piperidin-1-yl]butyl]isonicotinamide 856933-82-9P, 3,5-Dichloro-N-[3-[4-[(3-cyanobenzyl) (4-methylsulfonylphenyl)amino]piperidin-1-yl]butyl]isonicotinamide 856933-84-1P, 3,5-Dichloro-N-[3-[4-[(3-cyanobenzyl) (4-nitrophenyl)amino]piperidin-1-yl]butyl]isonicotinamide 856933-87-4P, 3,5-Dichloro-N-[3-[4-[(3-cyanobenzyl) (4-(dimethylcarbamoyl)phenyl)amino]piperidin-1-yl]butyl]isonicotinamide 856933-88-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) [4-(dimethylcarbamoyl)phenyl]amino]piperidin-1-yl]butyl]amide 856933-91-0P, N-[3-[4-[(3-Cyanobenzyl) [4-(dimethylcarbamoyl)phenyl]amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856933-93-2P, N-[3-[4-[(3-Cyanobenzyl) [4-[(piperidin-1-yl)carbonyl]phenyl]amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856933-95-4P, N-[3-[4-[(3-Cyanobenzyl) (4-methylcarbamoylphenyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856933-96-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) (4-methylcarbamoylphenyl)amino]piperidin-1-yl]butyl]amide 856933-97-6P, N-[3-[4-[(3-Cyanobenzyl) [4-[(piperazin-1-yl)carbonyl]phenyl]amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856933-98-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) [4-[(piperazin-1-yl)carbonyl]phenyl]amino]piperidin-1-yl]butyl]amide 856934-01-5P, Acetic acid 4-[(3-cyanobenzyl) [1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenyl ester 856934-04-8P, Carbonic acid 4-[(3-cyanobenzyl) [1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenyl ester methyl ester 856934-05-9P, Carbonic acid 4-[(3-cyanobenzyl) [1-[3-[(4,6-dimethylpyrimidin-5-yl)carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl ester methyl ester 856934-06-0P, Diethylcarbamic acid 4-[(3-cyanobenzyl) [1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenyl ester 856934-13-9P, Dimethylcarbamic acid 4-[(3-cyanobenzyl) [1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenyl ester 856934-14-0P, Dimethylcarbamic acid 4-[(3-cyanobenzyl) [1-[3-[(4,6-dimethylpyrimidin-5-yl)carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl ester 856934-15-1P, [4-[(3-Cyanobenzyl) [1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid methyl ester 856934-16-2P, [4-[(3-Cyanobenzyl) [1-[3-[(4,6-dimethylpyrimidin-5-yl)carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid methyl ester 856934-17-3P, [4-[(3-Cyanobenzyl) [1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid 856934-18-4P, [4-[(3-Cyanobenzyl) [1-[3-[(4,6-dimethylpyrimidin-5-yl)carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid 856934-19-5P, N-[3-[4-[(3-Cyanobenzyl) (4-methylsulfamoylphenyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-24-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) (4-methylsulfamoylphenyl)amino]piperidin-1-yl]butyl]amide 856934-25-3P, N-[3-[4-[(3-Cyanobenzyl) [4-[(cyclopropylcarbonyl)amino]phenyl]amino]piperi

din-1-yl]butyl]-2,6-dimethylbenzamide 856934-26-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)[4-[(cyclopropylcarbonyl)amino]phenyl]amino]piperidin-1-yl]butyl]amide 856934-28-6P, N-[3-[4-[(3-Cyanobenzyl)[4-(3,3-dimethylureido)phenyl]amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-29-7P, N-[3-[4-[(3-Cyanobenzyl)[4-(2,2,2-trifluoroacetyl)amino]phenyl]amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-30-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)[4-(2,2,2-trifluoroacetyl)amino]phenyl]amino]piperidin-1-yl]butyl]amide 856934-31-1P, N-[3-[4-[(3-Cyanobenzyl)(4-ureidophenyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-32-2P
 , 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)(4-ureidophenyl)amino]piperidin-1-yl]butyl]amide 856934-33-3P, N-[3-[4-[(3-Cyanobenzyl)[4-(2-oxooxazolidin-3-yl)phenyl]amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-34-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)[4-(2-oxooxazolidin-3-yl)phenyl]amino]piperidin-1-yl]butyl]amide 856934-35-5P, 4-[4-[(3-Cyanobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]benzoic acid 856934-38-8P 856934-39-9P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-carbamoylphenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]amide 856934-41-3P, N-[3-[4-[(4-Carbamoylphenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]-2-chloro-6-methylbenzamide 856934-43-5P, N-[3-[4-[(4-Carbamoylphenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]-2,6-dimethyl-4-(pyridin-4-yl)benzamide 856934-45-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)[4-[(morpholin-4-yl)carbonyl]phenyl]amino]piperidin-1-yl]butyl]amide 856934-46-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)(4-sulfamoylphenyl)amino]piperidin-1-yl]butyl]amide 856934-49-1P, Methanesulfonic acid 4-[(3-cyanobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl ester 856934-55-9P, Methanesulfonic acid 4-[(3-cyanobenzyl)[1-[3-[[2,4-dimethyl-1-oxopyridin-3-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl ester 856934-56-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[[4-[(acetyl)amino]methyl]phenyl](3-cyanobenzyl)amino]piperidin-1-yl]butyl]amide 856934-61-7P, N-[3-[4-[[4-[(Acetyl)amino]methyl]phenyl](3-cyanobenzyl)amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856934-62-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-acetylphenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]amide 856934-67-3P, N-[3-[4-[(4-Acetylphenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856934-68-4P, [4-[(3-Cyanobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]benzoyl]acetic acid methyl ester 856934-69-5P, N-[3-[4-[(3-Cyanobenzyl)[4-(1-methoxyiminoethyl)phenyl]amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856934-70-8P, N-[3-[4-[(3-Cyanobenzyl)(1H-indol-5-yl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-71-9P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)(1H-indol-5-yl)amino]piperidin-1-yl]butyl]amide 856934-72-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(benzo[1,3]dioxol-5-yl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]amide 856934-73-1P, N-[3-[4-[(benzo[1,3]dioxol-5-yl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-74-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)(2,3-dihydrobenzofuran-5-yl)amino]piperidin-1-yl]butyl]amide 856934-75-3P, N-[3-[4-[(3-Cyanobenzyl)(2,3-dihydrobenzofuran-5-yl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-76-4P, N-[3-[4-[(3-Cyanobenzyl)(1,3-dihydroisobenzofuran-5-yl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-77-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(benzofuran-5-yl)(3-

cyanobenzyl) amino] piperidin-1-yl] butyl] amide 856934-78-6P,
N-[3-[4-[(Benzofuran-5-yl) (3-cyanobenzyl) amino] piperidin-1-yl] butyl]-2,6-
dimethylbenzamide 856934-79-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(3-cyanobenzyl) (3,4-dimethoxyphenyl) amino] piperidin-1-
yl] butyl] amide 856934-80-0P, N-[3-[4-[(3-Cyanobenzyl) (3,4-
dimethoxyphenyl) amino] piperidin-1-yl] butyl]-2,6-dimethylbenzamide
856934-81-1P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(3-cyanobenzyl) (2,3-dihydrobenzo[1,4]dioxin-6-yl) amino] piperidin-1-
yl] butyl] amide 856934-82-2P, 2-Chloro-N-[3-[4-[(3-cyanobenzyl) (2,3-
dihydrobenzo[1,4]dioxin-6-yl) amino] piperidin-1-yl] butyl]-6-methylbenzamide
856934-83-3P, N-[3-[4-[(3-Cyanobenzyl) (2,3-dihydrobenzo[1,4]dioxin-6-
yl) amino] piperidin-1-yl] butyl]-2,6-dimethylbenzamide 856934-84-4P,
4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl) (6-
methoxyppyridin-3-yl) amino] piperidin-1-yl] butyl] amide 856934-85-5P,
N-[3-[4-[(3-Cyanobenzyl) (6-methoxyppyridin-3-yl) amino] piperidin-1-yl] butyl]-
2,6-dimethylbenzamide 856934-86-6P, N-[3-[4-[(2-Acetyl-2,3-dihydro-1H-
isoindol-5-yl) (3-cyanobenzyl) amino] piperidin-1-yl] butyl]-2,6-
dimethylbenzamide 856934-91-3P, N-[3-[4-[(3-Cyanobenzyl) (2,3-dihydro-1H-
indol-5-yl) amino] piperidin-1-yl] butyl]-2,6-dimethylbenzamide
856934-95-7P, 3,5-Dichloro-N-[3-[4-[(5-chloro-2-fluorobenzyl) (4-
cyanophenyl) amino] piperidin-1-yl] butyl] isonicotinamide 856934-96-8P,
N-[3-[4-[(5-Chloro-2-fluorobenzyl) (4-cyanophenyl) amino] piperidin-1-
yl] butyl]-2,4-dimethyl-1-oxonicotinamide 856934-97-9P,
4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-chloro-2-
fluorobenzyl) (4-cyanophenyl) amino] piperidin-1-yl] butyl] amide
856934-98-0P, 3,5-Dichloro-N-[3-[4-[(5-chloro-2-fluorobenzyl) (4-
chlorophenyl) amino] piperidin-1-yl] butyl] isonicotinamide 856934-99-1P,
4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-chloro-2-
fluorobenzyl) (4-(dimethylcarbamoyl) phenyl) amino] piperidin-1-yl] butyl] amide
856935-00-7P, N-[3-[4-[(5-Chloro-2-fluorobenzyl) (4-
(dimethylcarbamoyl) phenyl) amino] piperidin-1-yl] butyl]-2,4-dimethyl-1-
oxonicotinamide 856935-01-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(5-chloro-2-fluorobenzyl) (4-methoxyphenyl) amino] piperidin-1-
yl] butyl] amide 856935-02-9P, N-[3-[4-[(5-Chloro-2-fluorobenzyl) (4-
methoxyphenyl) amino] piperidin-1-yl] butyl]-2,4-dimethyl-1-oxonicotinamide
856935-03-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(5-chloro-2-fluorobenzyl) (4-(2-methoxyethoxy) phenyl) amino] piperidin-
1-yl] butyl] amide 856935-04-1P, N-[3-[4-[(5-Chloro-2-fluorobenzyl) (4-(2-
methoxyethoxy) phenyl) amino] piperidin-1-yl] butyl]-2,4-dimethyl-1-
oxonicotinamide 856935-05-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(4-acetylaminophenyl) (5-chloro-2-fluorobenzyl) amino] piperidin-1-
yl] butyl] amide 856935-06-3P, N-[3-[4-[(4-Acetylaminophenyl) (5-chloro-2-
fluorobenzyl) amino] piperidin-1-yl] butyl]-2,4-dimethyl-1-oxonicotinamide
856935-07-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(4-carbamoylphenyl) (5-chloro-2-fluorobenzyl) amino] piperidin-1-
yl] butyl] amide 856935-10-9P, N-[3-[4-[(4-Carbamoylphenyl) (5-chloro-2-
fluorobenzyl) amino] piperidin-1-yl] butyl]-2-chloro-6-methylbenzamide
856935-13-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(5-chloro-2-fluorobenzyl) (4-sulfamoylphenyl) amino] piperidin-1-
yl] butyl] amide 856935-16-5P, 2-Chloro-N-[3-[4-[(5-chloro-2-
fluorobenzyl) (4-sulfamoylphenyl) amino] piperidin-1-yl] butyl]-6-
methylbenzamide 856935-18-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(4-acetylphenyl) (5-chloro-2-fluorobenzyl) amino] piperidin-1-
yl] butyl] amide 856935-21-2P, N-[3-[4-[(4-Acetylphenyl) (5-chloro-2-
fluorobenzyl) amino] piperidin-1-yl] butyl]-2,4-dimethyl-1-oxonicotinamide
856935-25-6P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(5-chloro-2-fluorobenzyl) (3-methylcarbamoylphenyl) amino] piperidin-1-
yl] butyl] amide 856935-27-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[(5-chloro-2-fluorobenzyl) (2,3-dihydrobenzo[1,4]dioxin-6-
yl) amino] piperidin-1-yl] butyl] amide 856935-30-3P, N-[3-[4-[(5-Chloro-2-

fluorobenzyl) (2,3-dihydrobenzo[1,4]dioxin-6-yl) amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856935-31-4P, N-[3-[4-[(5-Chloro-2-fluorobenzyl) (2,3-dihydrobenzo[1,4]dioxin-6-yl) amino]piperidin-1-yl]butyl]-3,5-dimethyl-1-oxoisonicotinamide 856935-32-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[benzo[1,3]dioxol-5-yl) (5-chloro-2-fluorobenzyl) amino]piperidin-1-yl]butyl]amide 856935-36-9P, 3,5-Dichloro-N-[3-[4-[(5-cyano-2-fluorobenzyl) (4-cyanophenyl) amino]piperidin-1-yl]butyl]isonicotinamide 856935-37-0P, N-[3-[4-[(4-Bromophenyl) (5-cyano-2-fluorobenzyl) amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856935-38-1P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-cyano-2-fluorobenzyl) (4-methoxyphenyl) amino]piperidin-1-yl]butyl]amide 856935-39-2P, N-[3-[4-[(5-Cyano-2-fluorobenzyl) (4-methoxyphenyl) amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(CCR5 modulator; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT 856935-40-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-cyano-2-fluorobenzyl) (4-(2-methoxyethoxy)phenyl) amino]piperidin-1-yl]butyl]amide 856935-41-6P, N-[3-[4-[(5-Cyano-2-fluorobenzyl) (4-(2-methoxyethoxy)phenyl) amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856935-43-8P, N-[3-[4-[(4-Acetylaminophenyl) (5-cyano-2-fluorobenzyl) amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856935-44-9P, (E)-3-[4-[(5-Cyano-2-fluorobenzyl) [1-[3-[(4,6-dimethylpyrimidin-5-yl) carbonyl] amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl]-2-propenoic acid methyl ester 856935-47-2P, 4-[4-[(5-Cyano-2-fluorobenzyl) [1-[3-[(4,6-dimethylpyrimidin-5-yl) carbonyl] amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]benzoic acid 856935-50-7P, 4-[4-[(5-Cyano-2-fluorobenzyl) [1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]phenoxy]benzoic acid 856935-51-8P, N-[(R)-3-[4-[(5-Cyano-2-fluorobenzyl) (4-methoxyphenyl) amino]piperidin-1-yl]butyl]-3,5-dimethylisonicotinamide 856935-54-1P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-acetylphenyl) (5-cyano-2-fluorobenzyl) amino]piperidin-1-yl]ylbutyl]amide 856935-56-3P, N-[(R)-3-[4-[(4-Acetylphenyl) (5-cyano-2-fluorobenzyl) amino]piperidin-1-yl]butyl]-2,4-dimethylnicotinamide 856935-65-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-cyano-2-fluorobenzyl) (4-ureidophenyl) amino]piperidin-1-yl]butyl]amide 856935-66-5P, [4-[(5-Cyano-2-fluorobenzyl) [1-[(R)-3-[(4,6-dimethylpyrimidin-5-yl) carbonyl] amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid tert-butyl ester 856935-67-6P, [4-[(5-Cyano-2-fluorobenzyl) [1-[(R)-3-[(2,4-dimethyl-1-oxopyridin-3-yl) carbonyl] amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid 856935-72-3P, [4-[(5-Cyano-2-fluorobenzyl) [1-[(R)-3-[(4,6-dimethylpyrimidin-5-yl) carbonyl] amino]-1-methylpropyl]piperidin-4-yl]amino]phenoxy]acetic acid 856935-73-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-(carbamoylmethoxy)phenyl) (5-cyano-2-fluorobenzyl) amino]piperidin-1-yl]butyl]amide 856935-74-5P, (E)-3-[4-[(5-Cyano-2-fluorobenzyl) [1-[3-[(4,6-dimethylpyrimidin-5-yl) carbonyl] amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl]-2-propenoic acid 856935-75-6P, (E)-3-[4-[(5-Cyano-2-fluorobenzyl) [1-[3-[(2,4-dimethyl-1-oxopyridin-3-yl) carbonyl] amino]-1-methylpropyl]piperidin-4-yl]amino]phenyl]-2-propenoic acid 856935-76-7P 856935-78-9P, N-[(3-[4-[Benzo[1,3]dioxol-5-yl) (5-cyano-2-fluorobenzyl) amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856935-79-0P, N-[3-[4-[(5-Cyano-2-fluorobenzyl) (1H-indol-5-yl) amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856935-83-6P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-cyano-2-

fluorobenzyl) (1H-indol-5-yl) amino] piperidin-1-yl] butyl] amide
856935-84-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[(R)-3-[4-[(6-acetylaminopyridin-3-yl) (5-cyano-2-
fluorobenzyl) amino] piperidin-1-yl] butyl] amide 856935-87-0P,
3,5-Dichloro-N-[3-[4-[2-(4-trifluoromethoxyphenyl)-2,3-dihydrobenzofuran-2-
yl] piperidin-1-yl] butyl] isonicotinamide 856935-90-5P,
3,5-Dichloro-N-[3-[4-[2-(4-trifluoromethylphenyl)-2,3-dihydrobenzofuran-2-
yl] piperidin-1-yl] butyl] isonicotinamide 856935-91-6P,
3,5-Dichloro-N-[3-[4-[2-(4-methylsulfonylphenyl)-2,3-dihydrobenzofuran-2-
yl] piperidin-1-yl] butyl] isonicotinamide 856935-92-7P,
3,5-Dichloro-N-[3-[4-[2-(4-methylsulfamoylphenyl)-2,3-dihydrobenzofuran-2-
yl] piperidin-1-yl] butyl] isonicotinamide 856935-94-9P,
3,5-Dichloro-N-[3-[4-[4-fluoro-2-(4-trifluoromethylphenyl)-2,3-
dihydrobenzofuran-2-yl] piperidin-1-yl] butyl] isonicotinamide
856935-95-0P, 3,5-Dichloro-N-[3-[4-[4-fluoro-2-(4-trifluoromethoxyphenyl)-
2,3-dihydrobenzofuran-2-yl] piperidin-1-yl] butyl] isonicotinamide
856935-96-1P, 3,5-Dichloro-N-[3-[4-[4-fluoro-2-(4-methylsulfonylphenyl)-
2,3-dihydrobenzofuran-2-yl] piperidin-1-yl] butyl] isonicotinamide
856935-97-2P, 3,5-Dichloro-N-[3-[4-[4-fluoro-2-(4-methylsulfamoylphenyl)-
2,3-dihydrobenzofuran-2-yl] piperidin-1-yl] butyl] isonicotinamide
856935-98-3P, 3,5-Dichloro-N-[3-[4-[6-fluoro-2-(4-trifluoromethylphenyl)-
2,3-dihydrobenzofuran-2-yl] piperidin-1-yl] butyl] isonicotinamide
856935-99-4P, N-[3-[4-[2-(4-Methylsulfonylphenyl)-2,3-dihydrobenzofuran-2-
yl] piperidin-1-yl] butyl]-2,4-dimethyl-1-oxonicotinamide 856936-01-1P,
4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[4-fluoro-2-(4-
trifluoromethylphenyl)-2,3-dihydrobenzofuran-2-yl] piperidin-1-
yl] butyl] amide 856936-03-3P, 3,5-Dichloro-N-[3-[4-[1-imino-2-(4-
trifluoromethylphenyl) indan-2-yl] piperidin-1-yl] butyl] isonicotinamide
856936-08-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid
[3-[4-[1-imino-2-(4-trifluoromethylphenyl) indan-2-yl] piperidin-1-
yl] butyl] amide 856936-09-9P, N-[3-[4-[1-imino-2-(4-
trifluoromethylphenyl) indan-2-yl] piperidin-1-yl] butyl]-2,4-dimethyl-1-
oxonicotinamide 856936-10-2P, N-[3-[4-[2-(4-Bromophenyl) benzo[1,3]dioxol-
2-yl] piperidin-1-yl] butyl]-3,5-dichloroisonicotinamide 856936-13-5P,
N-[3-[4-[2-(4-Bromophenyl) benzo[1,3]dioxol-2-yl] piperidin-1-yl] butyl]-2,4-
dimethyl-1-oxonicotinamide 856936-14-6P, 4,6-Dimethylpyrimidine-5-
carboxylic acid [3-[4-[2-(4-bromophenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] amide 856936-15-7P, 3,5-Dichloro-N-[3-[4-[2-(4-
trifluoromethylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] isonicotinamide 856936-18-0P, 2,4-Dimethyl-1-oxo-N-[3-[4-[2-(4-
trifluoromethylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] nicotinamide 856936-19-1P, 4,6-Dimethylpyrimidine-5-carboxylic
acid [3-[4-[2-(4-trifluoromethylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] amide 856936-20-4P, 2,6-Dimethyl-N-[3-[4-[2-(4-
trifluoromethylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-yl] butyl] benzamide
856936-21-5P, 2,4-Dimethyl-N-[3-[4-[2-(4-trifluoromethylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-yl] butyl] nicotinamide 856936-22-6P,
2-Chloro-6-methyl-N-[3-[4-[2-(4-trifluoromethylphenyl) benzo[1,3]dioxol-2-
yl] piperidin-1-yl] butyl] benzamide 856936-23-7P, 3,5-Dimethyl-N-[3-[4-[2-
(4-trifluoromethylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] isonicotinamide 856936-24-8P, 3,5-Dichloro-N-[3-[4-[2-(4-
methylsulfonylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] isonicotinamide 856936-27-1P, 3,5-Dichloro-N-[3-[4-[2-(4-
methylsulfonylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] isonicotinamide 856936-31-7P, 3,5-Dichloro-N-[3-[4-[2-(4-
methylsulfonylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-
yl] butyl] isonicotinamide 856936-34-0P, N-[3-[4-[2-(4-
Methylsulfonylphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-yl] butyl]-2,4-
dimethylnicotinamide 856936-35-1P, 3,5-Dichloro-N-[3-[4-[2-(4-
trifluoromethoxyphenyl) benzo[1,3]dioxol-2-yl] piperidin-1-

yl]butyl]isonicotinamide 856936-38-4P, 2,4-Dimethyl-N-[3-[4-[2-(4-trifluoromethoxyphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]nicotinamide 856936-39-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[2-(4-cyanophenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amide 856936-43-1P, N-[3-[4-[2-(4-Cyanophenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856936-44-2P, N-[3-[4-[2-(4-Cyanophenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856936-45-3P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[2-(4-chlorophenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amide 856936-48-6P, N-[3-[4-[2-(4-Chlorophenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856936-52-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[2-[4-(1-methoxyiminoethyl)phenyl]benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amide 856936-53-3P, N-[3-[4-[2-(4-Methoxyphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]-2,4-dimethylnicotinamide 856936-58-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[2-(4-methoxyphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amide 856936-59-9P, 3,5-Dichloro-N-[3-[4-[5-fluoro-2-(4-trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]isonicotinamide 856936-62-4P, 3,5-Dichloro-N-[3-[4-[4-fluoro-2-(4-trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]isonicotinamide 856936-65-7P, 3,5-Dichloro-N-[3-[4-[5-fluoro-2-(4-methylsulfonylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]isonicotinamide 856936-71-5P, N-[3-[4-[5-Fluoro-2-(4-methoxyphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]-2,4-dimethylnicotinamide 856936-74-8P 856936-77-1P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]amide 856936-80-6P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-chlorophenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856936-82-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-acetylphenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856936-85-1P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[[4-(1-methoxyiminoethyl)phenyl](thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856936-86-2P 856936-92-0P, [4-[[1-[(R)-3-[[4-(4,6-Dimethylpyrimidin-5-yl)carbonyl]amino]-1-methylpropyl]piperidin-4-yl](thiophen-3-ylmethyl)amino]phenoxy]acetic acid 856936-94-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[[4-(carbamoylmethoxy)phenyl](thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856936-98-6P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-carbamoylphenyl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856936-99-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-bromophenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-05-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[[4-(4-carbamoylphenoxy)phenyl](thien-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-06-9P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-fluorophenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-09-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-ethoxyphenyl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-12-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-cyanophenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-18-3P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-methylsulfonylphenyl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-19-4P, 3,5-Dimethyl-N-[(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]isonicotinamide 856937-22-9P, 2,6-Dimethyl-N-[(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]benzamide 856937-23-0P, 2-Chloro-6-methyl-N-[(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-

yl]butyl]benzamide 856937-24-1P, 3,5-Dimethylisoxazole-4-carboxylic acid [(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]amide 856937-25-2P, 1,3,5-Trimethyl-1H-pyrazole-4-carboxylic acid [(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]amide 856937-27-4P, 2,6-Dimethyl-4-(pyridin-4-yl)-N-[(R)-3-[4-[(thiophen-3-yl)methyl](4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]benzamide 856937-28-5P, 2,4-Dimethyl-N-[(R)-3-[4-[(thiophen-3-yl)methyl](4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]nicotinamide 856937-29-6P, 2,4-Dimethylthiophene-3-carboxylic acid [(R)-3-[4-[(thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]amide 856937-30-9P, N-[(R)-3-[4-[(4-Methoxyphenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856937-33-2P 856937-34-3P, 1,3,5-Trimethyl-1H-pyrazole-4-carboxylic acid [(R)-3-[4-[(4-methoxyphenyl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-35-4P, N-[(R)-3-[4-[(4-Methoxyphenyl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]-2,4-dimethylnicotinamide 856937-36-5P, N-[(R)-3-[4-[(4-Methoxyphenyl)(thiophen-3-yl)methyl]amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide 856937-37-6P 856937-38-7P 856937-39-8P 856937-40-1P 856937-41-2P 856937-44-5P 856937-45-6P 856937-48-9P 856937-52-5P 856937-55-8P, 2,6-Dimethyl-N-[3-[4-[(pyridin-3-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]benzamide 856937-56-9P, 2-Chloro-6-methyl-N-[3-[4-[(pyridin-3-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]benzamide 856937-57-0P, 2,4-Dimethyl-N-[3-[4-[(pyridin-3-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]nicotinamide 856937-58-1P 856937-59-2P, 3,5-Dichloro-N-[3-[4-[(pyridin-3-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]isonicotinamide 856937-60-5P, 3,5-Dimethyl-N-[3-[4-[(pyridin-3-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]isonicotinamide 856937-61-6P, 2,4-Dimethylthiophene-3-carboxylic acid [3-[4-[(pyridin-3-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-62-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(pyrimidin-5-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856937-66-1P 856937-67-2P, 2,6-Dimethyl-N-[3-[4-[(pyrimidin-5-yl)(thien-3-ylmethyl)amino]piperidin-1-yl]butyl]benzamide 856937-68-3P 856937-72-9P 856937-73-0P 856937-75-2P 856937-78-5P 856937-81-0P 856937-82-1P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-methoxyphenyl)[(3-methyl)thiophen-2-yl]methyl]amino]piperidin-1-yl]butyl]amide 856937-83-2P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-chlorothiophen-2-ylmethyl)(4-methoxyphenyl)amino]piperidin-1-yl]butyl]amide 856937-84-3P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(furan-2-ylmethyl)(4-methoxyphenyl)amino]piperidin-1-yl]butyl]amide 856937-85-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-methoxyphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]amide 856937-88-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-bromophenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]amide 856937-94-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-methylpyridin-3-yl)methyl]-p-tolylamino]piperidin-1-yl]butyl]amide 856937-98-9P 856938-01-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-carbamoylphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]amide 856938-06-2P 856938-07-3P, N-[3-[4-[(4-Methoxyphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]-3,5-dimethylisonicotinamide 856938-10-8P, N-[(R)-3-[4-[(4-Methoxyphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]-2,4-dimethylnicotinamide 856938-11-9P, 2-Amino-N-[(R)-3-[4-[(4-methoxyphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]-6-methylbenzamide 856938-12-0P, 2-Chloro-N-[(R)-3-[4-[(4-methoxyphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]-6-methylbenzamide 856938-13-1P, 3,5-Dichloro-N-[(R)-3-[4-[(4-methoxyphenyl)[(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]isonicotinamide 856938-14-2P 856938-15-3P 856938-16-4P 856938-17-5P, N-[3-[4-[(4-

Carbamoylphenyl) [(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]-
 2,4-dimethylnicotinamide 856938-18-6P, N-[(R)-3-[4-[[4-
 (Carbamoylmethoxy)phenyl] [(4-methylpyridin-3-yl)methyl]amino]piperidin-1-
 yl]butyl]-2,4-dimethylnicotinamide 856938-22-2P, Methanesulfonic acid
 4-[[1-[(R)-3-[[2,4-dimethylpyridin-3-yl]carbonyl]amino]-1-
 methylpropyl]piperidin-4-yl] [(4-methylpyridin-3-yl)methyl]amino]phenyl
 ester 856938-23-3P, 2,4-Dimethyl-N-[3-[4-[(4-methylpyridin-3-yl)methyl] 4-
 (morpholin-4-yl)phenyl]amino]piperidin-1-yl]butyl]nicotinamide
 856938-26-6P 856938-29-9P 856938-30-2P, 4,6-Dimethylpyrimidine-5-
 carboxylic acid [3-[4-[(thiophen-3-yl)methyl] (4-
 trifluoromethoxyphenyl)amino]piperidin-1-yl]butyl]amide 856938-31-3P,
 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(thiophen-3-yl)methyl] (4-
 trifluoromethylphenyl)amino]piperidin-1-yl]butyl]amide 856938-32-4P
 856938-33-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(CCR5 modulator; preparation of piperidines as chemokine receptor modulators
 for treatment of inflammatory and autoimmune diseases)

IT 3783-77-5P, 2-(3-Oxobutyl)isoindole-1,3-dione 5825-62-7P,
 N-(4-Nitrophenyl)methanesulfonamide 19073-16-6P, [4-(2-Methyl-
 [1,3]dioxolan-2-yl)phenyl]amine 37656-54-5P,
 (4-Methoxyphenyl)(piperidin-4-yl)amine 46053-72-9P, 5-Nitro-2,3-dihydro-
 1H-isoindole 63696-98-0P, (S)-2-[(Methylsulfonyl)oxyl]propionic acid
 methyl ester 77542-18-8P, 1-Ethyl-1-methyl-4-oxopiperidinium iodide
 78071-30-4P, 4-Methylthiophene-3-carboxylic acid 79069-13-9P,
 ((S)-2-Hydroxy-1-methylethyl)carbamic acid tert-butyl ester 79859-23-7P,
 4-(4-Nitrobenzoyl)benzoic acid methyl ester 82070-04-0P,
 (4-Methoxythiophen-3-yl)methanol 96422-12-7P 125541-22-2P,
 4-Phenylaminopiperidine-1-carboxylic acid tert-butyl ester 126301-16-4P,
 Methanesulfonic acid (S)-2-[(text-butoxycarbonyl)amino]propyl ester
 129487-92-9P, 5-Amino-2,3-dihydroindole-1-carboxylic acid tert-butyl ester
 146137-79-3P, 5-Cyano-2-fluorobenzaldehyde 148148-57-6P,
 4-[(Hydroxy)(pyridin-3-yl)methyl]piperidine-1-carboxylic acid tert-butyl
 ester 149452-44-8P, (Piperidin-4-yl) (4-trifluoromethylphenyl)methanone
 172695-22-6P, ((S)-2-Cyano-1-methylethyl)carbamic acid tert-butyl ester
 206273-87-2P, 4-Benzylaminopiperidine-1-carboxylic acid tert-butyl ester
 209808-06-0P, 4-(4-Chlorobenzoyl)piperidine-1-carboxylic acid tert-butyl
 ester 264916-06-5P, 5-Amino-1,3-dihydroisoindole-2-carboxylic acid
 tert-butyl ester 301225-52-5P, 4-(Pyridin-3-ylamino)piperidine-1-
 carboxylic acid tert-butyl ester 306934-84-9P, 4-(4-
 Methoxyphenylamino)piperidine-1-carboxylic acid tert-butyl ester
 333986-13-3P, 4-[(Hydroxy)(pyridin-4-yl)methyl]piperidine-1-carboxylic
 acid tert-butyl ester 333986-19-9P, 4-[(Hydroxy)(thiazol-2-
 yl)methyl]piperidine-1-carboxylic acid tert-butyl ester 333986-52-0P,
 4-(4-Cyanophenylamino)piperidine-1-carboxylic acid tert-butyl ester
 400727-63-1P, 5-Nitro-1,3-dihydroisoindole-2-carboxylic acid tert-butyl
 ester 401565-92-2P, 4-[(4-Trifluoromethylphenyl)amino]piperidine-1-
 carboxylic acid tert-butyl ester 401565-95-5P, 4-(4-
 Chlorophenylamino)piperidine-1-carboxylic acid tert-butyl ester
 439811-37-7P, 4-(4-Bromobenzoyl)piperidine-1-carboxylic acid tert-butyl
 ester 443998-65-0P, 4-(4-Bromophenylamino)piperidine-1-carboxylic acid
 tert-butyl ester 474708-83-3P, 4-(4-Methylsulfanylbzoyl)piperidine-1-
 carboxylic acid tert-butyl ester 501673-86-5P, 4-[(4-
 Trifluoromethoxyphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester
 501673-92-3P, 4-[(4-Methylsulfanyphenyl)amino]piperidine-1-carboxylic
 acid tert-butyl ester 501673-99-0P, 4-(p-Tolylamino)piperidine-1-
 carboxylic acid tert-butyl ester 639468-65-8P, 4-[(4-
 Chlorophenyl)hydroxymethyl]piperidine-1-carboxylic acid tert-butyl ester
 670275-85-1P, 4-(4-Trifluoromethoxybenzoyl)piperidine-1-carboxylic acid

tert-butyl ester 679808-74-3P, (S)-3-Aminobutyronitrile 725229-27-6P,
4-(4-Trifluoromethylbenzoyl)piperidine-1-carboxylic acid tert-butyl ester
746550-66-3P 847614-80-6P, 4-[(4-Bromophenyl)hydroxymethyl]piperidine-1-
carboxylic acid tert-butyl ester 848345-63-1P, 4-(3-
Chlorobenzylamino)piperidine-1-carboxylic acid tert-butyl ester
856931-46-9P, 4-[(Hydroxy)(4-trifluoromethylphenyl)methyl]piperidine-1-
carboxylic acid tert-butyl ester 856931-47-0P, 4-Fluoro-3-
hydroxymethylbenzonitrile 856931-48-1P, (R)-3-(4-Oxopiperidin-1-
yl)butyronitrile 856931-50-5P, 4-[(4-Bromophenyl)phenoxy]methyl]piperidin
e-1-carboxylic acid tert-butyl ester 856931-51-6P, [3-[4-[(4-
Bromophenyl)phenoxy]methyl]piperidin-1-yl]butyl]amine 856931-53-8P,
(S)-4-[(4-Bromophenyl)hydroxymethyl]piperidine-1-carboxylic acid
tert-butyl ester 856931-54-9P, (R)-4-[(4-Bromophenyl)phenoxy]methyl]piper
idine-1-carboxylic acid tert-butyl ester 856931-55-0P,
[3-[4-[(R)-4-Bromophenyl](phenoxy)methyl]piperidin-1-yl]butyl]amine
856931-57-2P, [3-[4-[(4-Bromophenyl)(o-tolyloxy)methyl]piperidin-1-
yl]butyl]amine 856931-70-9P, [1-(3-Amino-1-methylpropyl)piperidin-4-
yl](4-trifluoromethylphenyl)methanol 856931-71-0P, 3,5-Dichloro-N-[3-[4-
[(hydroxy)(4-trifluoromethylphenyl)methyl]piperidin-1-
yl]butyl]isonicotinamide 856931-72-1P, 3,5-Dichloro-N-[3-[4-[(1,3-dioxo-
1,3-dihydroisindol-2-yl)oxy](4-trifluoromethylphenyl)methyl]piperidin-1-
yl]butyl]isonicotinamide 856931-75-4P, 4-(S)-[(4-
Trifluoromethylphenyl)hydroxymethyl]piperidine-1-carboxylic acid
tert-butyl ester 856931-77-6P, 4-(S)-[(Hydroxy)(4-
trifluoromethylphenyl)methyl]piperidine 856931-79-8P,
4-(R)-[(Phenoxy)(4-trifluoromethylphenyl)methyl]piperidine-1-carboxylic
acid tert-butyl ester 856931-81-2P, 4-(R)-[(Phenoxy)(4-
trifluoromethylphenyl)methyl]piperidine 856931-82-3P,
2-(R)-[4-(R)-[(Phenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-
yl]propan-1-ol 856931-83-4P, [3-(R)-[4-(R)-[(Phenoxy)(4-
trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amine 856931-85-6P,
2-(S)-[4-(R)-[(Phenoxy)(4-trifluoromethylphenyl)methyl]piperidin-1-
yl]propan-1-ol 856931-87-8P, 4-[(6-Chloropyridin-2-yl)oxy](4-
trifluoromethylphenyl)methyl]piperidine-1-carboxylic acid tert-butyl ester
856931-88-9P, [3-[4-[(6-Chloropyridin-2-yl)oxy](4-
trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amine 856931-99-2P,
4-(4-Methylsulfonylbenzoyl)piperidine-1-carboxylic acid tert-butyl ester
856932-00-8P, 4-[(Hydroxy)(4-methylsulfonylphenyl)methyl]piperidine-1-
carboxylic acid tert-butyl ester 856932-04-2P, 4-[(Hydroxy)(4-
trifluoromethoxyphenyl)methyl]piperidine-1-carboxylic acid tert-butyl
ester 856932-08-6P, 4-[(Hydroxy)(4-methylsulfonylphenyl)methyl]piperidi
ne-1-carboxylic acid tert-butyl ester 856932-10-0P, (R)-4-[(4-
Bromophenyl)hydroxymethyl]piperidine-1-carboxylic acid tert-butyl ester
856932-19-9P, 4-[(4-Cyanophenyl)[(6-methylpyridin-2-
yl)oxy]methyl]piperidine-1-carboxylic acid tert-butyl ester
856932-20-2P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl][(6-
methylpyridin-2-yl)oxy]methyl]benzonitrile 856932-22-4P,
4-[(4-Bromophenyl)[(6-chloropyridin-2-yl)oxy]methyl]piperidine-1-
carboxylic acid tert-butyl ester 856932-23-5P, 4-[(6-Chloropyridin-2-
yl)oxy](4-cyanophenyl)methyl]piperidine-1-carboxylic acid tert-butyl ester
856932-24-6P, 4-[(4-Cyanophenyl)[(6-cyanopyridin-2-
yl)oxy]methyl]piperidine-1-carboxylic acid tert-butyl ester
856932-25-7P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl][(6-
chloropyridin-2-yl)oxy]methyl]benzonitrile 856932-27-9P,
6-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](4-
cyanophenyl)methoxy]pyridine-2-carbonitrile 856932-29-1P,
4-[(4-Bromophenyl)[(6-fluoropyridin-2-yl)oxy]methyl]piperidine-1-
carboxylic acid tert-butyl ester 856932-30-4P, 4-[(4-Cyanophenyl)[(6-
fluoropyridin-2-yl)oxy]methyl]piperidine-1-carboxylic acid tert-butyl
ester 856932-31-5P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl][(6-

fluoropyridin-2-yl)oxy)methyl]benzonitrile 856932-39-3P,
[3-[4-[(6-Methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amine 856932-41-7P, 4-(R)-[(6-Methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidine-1-carboxylic acid tert-butyl ester 856932-42-8P, (R)-2-Methyl-6-[(piperidin-4-yl)(4-trifluoromethylphenyl)methoxy]pyridine 856932-43-9P,
2-(R)-[4-(R)-[(6-Methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]propan-1-ol 856932-44-0P, [3-(R)-[4-(R)-[(6-Methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amine 856932-46-2P, 2-(S)-[4-(R)-[(6-Methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]propan-1-ol 856932-47-3P,
[3-(S)-[4-(R)-[(6-Methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amine 856932-49-5P, 4-Methyl-4-(4-trifluoromethylbenzoyl)piperidine-1-carboxylic acid tert-butyl ester 856932-50-8P, 4-Methyl-4-[(6-methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidine-1-carboxylic acid tert-butyl ester 856932-51-9P, [3-[4-Methyl-4-[(6-methylpyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amine 856932-56-4P,
4-[(Hydroxy)(oxazol-2-yl)methyl]piperidine-1-carboxylic acid tert-butyl ester 856932-59-7P, 4-[(2-Bromopyridin-3-yl)hydroxymethyl]piperidine-1-carboxylic acid tert-butyl ester 856932-61-1P, 4-[(3-Bromopyridin-4-yl)hydroxymethyl]piperidine-1-carboxylic acid tert-butyl ester 856932-63-3P 856932-64-4P, N-[3-[4-[(4-Bromophenyl)hydroxymethyl]piperidin-1-yl]butyl]-2,2,2-trifluoroacetamide 856932-65-5P,
N-[3-[4-[(4-Bromophenyl)[(thiazol-2-yl)oxy)methyl]piperidin-1-yl]butyl]-2,2,2-trifluoroacetamide 856932-66-6P, [3-[4-[(4-Bromophenyl)[(thiazol-2-yl)oxy)methyl]piperidin-1-yl]butyl]amine 856932-68-8P,
2,2,2-Trifluoro-N-[3-[4-[(hydroxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]acetamide 856932-69-9P, 2,2,2-Trifluoro-N-[3-[4-[(thiazol-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]acetamide 856932-70-2P, [3-[4-[(Thiazol-2-yloxy)(4-trifluoromethylphenyl)methyl]piperidin-1-yl]butyl]amine 856932-85-9P, 4-[(4-Methylsulfonylphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856932-91-7P,
4-[(Benzyl)(4-methoxyphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856932-92-8P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)(4-methoxyphenyl)amine 856932-93-9P, N-[3-[4-[(Benzyl)(4-methoxyphenyl)amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-94-0P, N-[3-[4-[(Benzyl)(4-hydroxyphenyl)amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856932-96-2P, 4-[(Benzyl)(4-nitrophenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856932-97-3P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)(4-nitrophenyl)amine 856932-99-5P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-chlorobenzyl)(4-nitrophenyl)amine 856933-01-2P,
4-[(4-Dibenzylsulfamoylphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-02-3P, N,N-Dibenzyl-4-[(benzyl)(piperidin-4-yl)amino]benzenesulfonamide 856933-03-4P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)amino]-N,N-dibenzylbenzenesulfonamide 856933-04-5P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)amino]benzenesulfonamide 856933-05-6P,
N-[3-[4-[Benzyl[4-[(3,5-dichloropyridin-4-yl)carbonyl]sulfamoyl]phenyl]amino]piperidin-1-yl]butyl]-3,5-dichloroisonicotinamide 856933-07-8P,
4-(3-Fluorobenzylamino)piperidine-1-carboxylic acid tert-butyl ester 856933-08-9P, 4-[(3-Fluorobenzyl)(4-nitrophenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-09-0P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-fluorobenzyl)(4-nitrophenyl)amine 856933-11-4P, 4-[(4-Methoxycarbonylphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-12-5P, 4-[(3-Chlorobenzyl)(4-methoxycarbonylphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-13-6P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-chlorobenzyl)amino]benzoic acid methyl ester 856933-15-8P,

4-[(3-Chlorobenzyl)[1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]benzoic acid 856933-21-6P,
4-[(Benzyl)(piperidin-4-yl)amino]benzoic acid methyl ester 856933-22-7P,
4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)amino]benzoic acid methyl ester 856933-23-8P, 4-[(Benzyl)[1-[3-[[2,6-dimethyl-4-(pyridin-4-yl)benzoyl]amino]-1-methylpropyl]piperidin-4-yl]amino]benzoic acid 856933-29-4P, 4-[[4-[(4-Methoxycarbonylphenyl)oxy]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-30-7P, 4-[Benzyl[4-[(4-methoxycarbonylphenyl)oxy]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-31-8P, 4-[4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)amino]phenoxy]benzoic acid methyl ester 856933-36-3P, 4-(4-Aminobenzyl)benzoic acid methyl ester 856933-37-4P, 4-[(4-Aminophenyl)hydroxymethyl]benzoic acid methyl ester 856933-38-5P, 4-[[4-(4-Methoxycarbonylbenzyl)phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-39-6P, 4-[Benzyl[4-(4-methoxycarbonylbenzyl)phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-40-9P, 4-[4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)amino]benzoic acid methyl ester 856933-41-0P, 4-[4-[Benzyl[1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]benzyl]benzoic acid methyl ester 856933-43-2P, 4-[[4-[(Hydroxy)(4-methoxycarbonylphenyl)methyl]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-44-3P, 4-[Benzyl[4-(4-methoxycarbonylbenzoyl)phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-45-4P, 4-[4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzyl)amino]benzoyl]benzoic acid methyl ester 856933-54-5P, 4-[[4-(Dimethylcarbamoyl)phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-57-8P, 4-[(4-Acetylaminophenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-60-3P, 4-[[4-(2-Methoxyethoxy)phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-63-6P, 4-[[4-(2-Oxopropoxy)phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-66-9P, 4-(4-Acetoxyphenylamino)piperidine-1-carboxylic acid tert-butyl ester 856933-68-1P, N-Methoxymethyl-N-(4-nitrophenyl)methanesulfonamide 856933-69-2P, N-(4-Aminophenyl)-N-methoxymethylmethanesulfonamide 856933-70-5P, 4-[[4-[(Methylsulfonyl)(methoxymethyl)amino]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856933-79-4P, 4-[(3-Cyanobenzyl)(4-methylsulfonylphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-80-7P, 4-[(3-Cyanobenzyl)(4-methylsulfinylphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-81-8P, 3-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](4-methylsulfinylphenyl)amino]methyl]benzonitrile 856933-83-0P, 3-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](4-methylsulfonylphenyl)amino]methyl]benzonitrile 856933-85-2P, 4-[(3-Cyanobenzyl)(4-nitrophenyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856933-86-3P, 3-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](4-nitrophenyl)amino]methyl]benzonitrile 856933-89-6P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-cyanobenzyl)amino]benzoic acid methyl ester 856933-90-9P, 4-[(3-Cyanobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]benzoic acid 856933-92-1P, 4-[(3-Cyanobenzyl)[1-[3-[[2,4-dimethyl-1-oxopyridin-3-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]benzoic acid 856933-94-3P, 4-[(3-Cyanobenzyl)[1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]benzoic acid 856934-03-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(3-cyanobenzyl)(4-hydroxyphenyl)amino]piperidin-1-yl]butyl]amide 856934-09-3P, 4-[[4-[(tert-Butoxycarbonyl)methoxy]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856934-10-6P, 4-[[4-[(tert-Butoxycarbonyl)methoxy]phenyl](3-cyanobenzyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856934-11-7P, [4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-cyanobenzyl)amino]phenoxy]acetic acid

tert-butyl ester 856934-20-8P, 4-[[4-(N-Benzyl-N-methylsulfamoyl)phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856934-21-9P, N-Benzyl-4-[(3-cyanobenzyl)(piperidin-4-yl)amino]-N-methylbenzenesulfonamide 856934-22-0P, 4-[(3-Cyanobenzyl)(piperidin-4-yl)amino]-N-methylbenzenesulfonamide 856934-23-1P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-cyanobenzyl)amino]-N-methylbenzenesulfonamide 856934-27-5P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(4-aminophenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]amide 856934-36-6P, 4-[(3-Cyanobenzyl)[4-[(4-methoxycarbonylphenyl)oxy]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856934-37-7P, 4-[4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-cyanobenzyl)amino]phenoxy]benzoic acid methyl ester 856934-40-2P 856934-42-4P, 4-[[1-[3-(2-Chloro-6-methylbenzoylamino)-1-methylpropyl]piperidin-4-yl](3-cyanobenzyl)amino]benzoic acid 856934-44-6P, 4-[(3-Cyanobenzyl)[1-[3-[[2,6-dimethyl-4-(pyridin-4-yl)benzoyl]amino]-1-methylpropyl]piperidin-4-yl]amino]benzoic acid 856934-47-9P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-cyanobenzyl)amino]-N,N-dibenzylbenzenesulfonamide 856934-48-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid N-[3-[4-[(3-cyanobenzyl)(4-dibenzylsulfamoylphenyl)amino]piperidin-1-yl]butyl]amide 856934-50-4P, 4-[[4-[(tert-Butyldimethylsilyl)oxy]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856934-51-5P, 4-[[4-[(tert-Butyldimethylsilyl)oxy]phenyl](3-cyanobenzyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856934-52-6P, 3-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl][4-[(tert-butyldimethylsilyl)oxy]phenyl]amino]methyl]benzonitrile 856934-53-7P, [3-[4-[(3-Cyanobenzyl)(4-hydroxyphenyl)amino]piperidin-1-yl]butyl]carbamic acid tert-butyl ester 856934-54-8P, Methanesulfonic acid 4-[[1-(3-amino-1-methylpropyl)piperidin-4-yl](3-cyanobenzyl)amino]phenyl ester 856934-57-1P, 4-[[4-(4-Aminomethylphenyl)(3-cyanobenzyl)amino]piperidine-1-carboxylic acid benzyl ester 856934-58-2P, 4-[[4-[(Acetylamino)methyl]phenyl](3-cyanobenzyl)amino]piperidine-1-carboxylic acid benzyl ester 856934-59-3P, N-[4-[(3-Cyanobenzyl)(piperidin-4-yl)amino]benzyl]acetamide 856934-60-6P, N-[4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](3-cyanobenzyl)amino]benzyl]acetamide 856934-63-9P, 4-[[4-(2-Methyl-1,3)dioxolan-2-yl]phenyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856934-64-0P, 3-[[4-(4-Acetylphenyl)(piperidin-4-yl)amino]methyl]benzonitrile 856934-65-1P, [3-[4-[(4-Acetylphenyl)(3-cyanobenzyl)amino]piperidin-1-yl]butyl]carbamic acid tert-butyl ester 856934-66-2P, 3-[[4-(4-Acetylphenyl)[1-(3-amino-1-methylpropyl)piperidin-4-yl]amino]methyl]benzonitrile 856934-87-7P, 5-[[1-(Benzyloxycarbonyl)piperidin-4-yl]amino]-1,3-dihydroisoindole-2-carboxylic acid tert-butyl ester 856934-88-8P, 5-(Piperidin-4-ylamino)-1,3-dihydroisoindole-2-carboxylic acid tert-butyl ester 856934-89-9P, 5-[(3-Cyanobenzyl)[1-[3-(1,3-dioxo-1,3-dihydroisoindol-2-yl)-1-methylpropyl]piperidin-4-yl]amino]-1,3-dihydroisoindole-2-carboxylic acid tert-butyl ester 856934-90-2P, N-[3-[4-[(3-Cyanobenzyl)(2,3-dihydro-1H-isoindol-5-yl)amino]piperidin-1-yl]butyl]-2,6-dimethylbenzamide 856934-92-4P, 5-(Piperidin-4-ylamino)-2,3-dihydroindole-1-carboxylic acid tert-butyl ester 856934-93-5P, 5-[(3-Cyanobenzyl)[1-[3-(1,3-dioxo-1,3-dihydroisoindol-2-yl)-1-methylpropyl]piperidin-4-yl]amino]-2,3-dihydroindole-1-carboxylic acid tert-butyl ester 856934-94-6P, 5-[(3-Cyanobenzyl)[1-[3-(2,6-dimethylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]-2,3-dihydroindole-1-carboxylic acid tert-butyl ester 856935-08-5P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](5-chloro-2-fluorobenzyl)amino]benzoic acid methyl ester 856935-09-6P, 4-[(5-Chloro-2-fluorobenzyl)[1-[3-[[4,6-dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-yl]amino]benzoic acid 856935-12-1P, 4-[(5-Chloro-2-fluorobenzyl)[1-[3-(2-chloro-6-

methylbenzoylamino)-1-methylpropyl]piperidin-4-yl]amino]benzoic acid
 856935-14-3P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](5-chloro-2-
 fluorobenzyl)amino]-N,N-dibenzylbenzenesulfonamide 856935-15-4P,
 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-[(5-chloro-2-
 fluorobenzyl)(4-dibenzylsulfamoylphenyl)amino]piperidin-1-yl]butyl]amide
 856935-17-6P 856935-19-8P, 4-[(5-Chloro-2-fluorobenzyl)[4-(2-methyl-
 [1,3]dioxolan-2-yl)phenyl]amino]piperidine-1-carboxylic acid tert-butyl
 ester 856935-20-1P, 1-[4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](5-
 chloro-2-fluorobenzyl)amino]phenyl]ethanone 856935-23-4P,
 4-[(5-Chloro-2-fluorobenzyl)(3-methoxycarbonylphenyl)amino]piperidine-1-
 carboxylic acid tert-butyl ester 856935-24-5P, 3-[[1-(3-Amino-1-
 methylpropyl)piperidin-4-yl](5-chloro-2-fluorobenzyl)amino]benzoic acid
 methyl ester 856935-26-7P, 3-[(5-Chloro-2-fluorobenzyl)[1-[3-[[4,6-
 dimethylpyrimidin-5-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-
 yl]amino]benzoic acid 856935-28-9P, 4-[(5-Chloro-2-fluorobenzyl)(2,3-
 dihydrobenzo[1,4]dioxin-6-yl)amino]piperidine-1-carboxylic acid tert-butyl
 ester 856935-29-0P
 , [1-(3-Amino-1-methylpropyl)piperidin-4-yl](5-chloro-2-fluorobenzyl)(2,3-
 dihydrobenzo[1,4]dioxin-6-yl)amine 856935-33-6P, (Benzo[1,3]dioxol-5-
 yl)(5-chloro-2-fluorobenzyl)(piperidin-4-yl)amine 856935-34-7P,
 [1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzo[1,3]dioxol-5-yl)(5-chloro-
 2-fluorobenzyl)amine 856935-35-8P, 3-(Bromomethyl)-4-fluorobenzonitrile
 856935-45-0P, 4-[(5-Cyano-2-fluorobenzyl)[4-[(E)-2-
 (methoxycarbonyl)ethenyl]phenyl]amino]piperidine-1-carboxylic acid
 tert-butyl ester 856935-46-1P, (E)-3-[4-[[1-(3-Amino-1-
 methylpropyl)piperidin-4-yl](5-cyano-2-fluorobenzyl)amino]phenyl]-2-
 propenoic acid methyl ester 856935-48-3P, 4-[(5-Cyano-2-fluorobenzyl)[4-
 [(4-methoxycarbonylphenyl)oxy]phenyl]amino]piperidine-1-carboxylic acid
 tert-butyl ester 856935-49-4P, 4-[4-[[1-(3-Amino-1-
 methylpropyl)piperidin-4-yl](5-cyano-2-fluorobenzyl)amino]phenoxy]benzoic
 acid methyl ester 856935-52-9P, [1-((R)-3-Amino-1-methylpropyl)piperidin-
 4-yl](4-methoxyphenyl)amine 856935-53-0P, N-[(R)-3-[4-(4-
 Methoxyphenylamino)piperidin-1-yl]butyl]-3,5-dimethylisonicotinamide
 856935-55-2P 856935-58-5P, 2,4-Dimethyl-N-[(R)-3-[4-[[4-(2-methyl-
 [1,3]dioxolan-2-yl)phenyl]amino]piperidin-1-yl]butyl]-1-oxonicotinamide
 856935-59-6P, N-[(R)-3-[4-[(5-Cyano-2-fluorobenzyl)[4-(2-methyl-
 [1,3]dioxolan-2-yl)phenyl]amino]piperidin-1-yl]butyl]-2,4-
 dimethylnicotinamide 856935-61-0P, [1-((R)-3-Amino-1-
 methylpropyl)piperidin-4-yl][4-[(tert-butyl)dimethylsilanyl]oxy]phenyl]amin
 e 856935-62-1P, [(R)-3-[4-[[4-[(tert-Butyl)dimethylsilanyl]oxy]phenyl]ami
 no]piperidin-1-yl]butyl]carbamic acid tert-butyl ester 856935-63-2P,
 [(R)-3-[4-[[4-[(tert-Butyl)dimethylsilanyl]oxy]phenyl](5-cyano-2-
 fluorobenzyl)amino]piperidin-1-yl]butyl]carbamic acid tert-butyl ester
 856935-64-3P, 4,6-Dimethylpyrimidine-5-carboxylic acid
 [(R)-3-[4-[[4-[(tert-butyl)dimethylsilanyl]oxy]phenyl](5-cyano-2-
 fluorobenzyl)amino]piperidin-1-yl]butyl]amide 856935-69-8P,
 N-[(R)-3-[4-[[4-[(tert-Butyl)dimethylsilanyl]oxy]phenyl](5-cyano-2-
 fluorobenzyl)amino]piperidin-1-yl]butyl]-2,4-dimethyl-1-oxonicotinamide
 856935-70-1P, [4-[(5-Cyano-2-fluorobenzyl)[1-[(R)-3-[[2,4-dimethyl-1-
 oxopyridin-3-yl]carbonyl]amino]-1-methylpropyl]piperidin-4-
 yl]amino]phenoxy]acetic acid methyl ester 856935-77-8P,
 3-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](benzo[1,3]dioxol-5-
 yl)amino]methyl]-4-fluorobenzonitrile 856935-81-4P, 4-[(5-Cyano-2-
 fluorobenzyl)(1H-indol-5-yl)amino]piperidine-1-carboxylic acid tert-butyl
 ester 856935-82-5P, 3-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](1H-
 indol-5-yl)amino]methyl]-4-fluorobenzonitrile 856935-85-8P,
 N-[5-[[1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl]amino]pyridin-2-
 yl]acetamide 856935-86-9P, 4,6-Dimethylpyrimidine-5-carboxylic acid
 [(R)-3-[4-(6-acetylaminopyridin-3-ylamino)piperidin-1-yl]butyl]amide
 856935-88-1P, 4-[2-(4-Trifluoromethoxyphenyl)-2,3-dihydrobenzofuran-2-

yl]piperidine-1-carboxylic acid tert-butyl ester 856935-89-2P,
 [3-[4-[2-(4-Trifluoromethoxyphenyl)-2,3-dihydrobenzofuran-2-yl]piperidin-1-yl]butyl]amine 856935-93-8P, 4-(4-Methylsulfamoylbenzoyl)piperidine-1-carboxylic acid tert-butyl ester 856936-04-4P, 4-[(Cyano)(4-trifluoromethylphenyl)methyl]piperidine-1-carboxylic acid tert-butyl ester 856936-05-5P, 4-[2-(2-Bromophenyl)-1-cyano-1-(4-trifluoromethylphenyl)ethyl]piperidine-1-carboxylic acid tert-butyl ester 856936-06-6P, 4-[1-Imino-2-(4-trifluoromethylphenyl)indan-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-07-7P, 2-[1-(3-Amino-1-methylpropyl)piperidin-4-yl]-1-imino-2-(4-trifluoromethylphenyl)indan 856936-11-3P, 4-[2-(4-Bromophenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-12-4P, [3-[4-[2-(4-Bromophenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-16-8P, 4-[2-(4-Trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-17-9P, [3-[4-[2-(4-Trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-25-9P, 4-[2-(4-Methylsulfanylphenyl)benzo[1,3]dioxol-2-yl]piperidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT 856936-26-0P, [3-[4-[2-(4-Methylsulfanylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-28-2P, 4-[2-(4-Methylsulfanylphenyl)benzo[1,3]dioxol-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-29-3P, 4-[2-(4-Methylsulfinylphenyl)benzo[1,3]dioxol-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-30-6P, [3-[4-[2-(4-Methylsulfinylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-32-8P, 4-[2-(4-Methylsulfonylphenyl)benzo[1,3]dioxol-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-33-9P, [3-[4-[2-(4-Methylsulfonylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-36-2P, 4-[2-(4-Trifluoromethoxyphenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-37-3P, [3-[4-[2-(4-Trifluoromethoxyphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-40-8P, 4-[2-(4-Bromophenyl)benzo[1,3]dioxol-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-41-9P, 4-[2-(4-Cyanophenyl)benzo[1,3]dioxol-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-42-0P, 4-[2-[1-(3-Amino-1-methylpropyl)piperidin-4-yl]benzo[1,3]dioxol-2-yl]benzonitrile 856936-46-4P, 4-[2-(4-Chlorophenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-47-5P, [3-[4-[2-(4-Chlorophenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-50-0P, 1-[4-[2-(Piperidin-4-yl)benzo[1,3]dioxol-2-yl]phenyl]ethanol 856936-51-1P, 1-[4-[2-[1-(3-Amino-1-methylpropyl)piperidin-4-yl]benzo[1,3]dioxol-2-yl]phenyl]ethanol 856936-54-4P, 4-[(Hydroxy)(4-methoxyphenyl)methyl]piperidine-1-carboxylic acid tert-butyl ester 856936-55-5P, 4-(4-Methoxybenzoyl)piperidine-1-carboxylic acid tert-butyl ester 856936-56-6P, 4-[2-(4-Methoxyphenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-57-7P, [3-[4-[2-(4-Methoxyphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-60-2P, 4-[5-Fluoro-2-(4-trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-61-3P, [3-[4-[5-Fluoro-2-(4-trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-63-5P, 4-[4-Fluoro-2-(4-trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-64-6P, [3-[4-[4-Fluoro-2-(4-trifluoromethylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine 856936-66-8P, 4-[5-Fluoro-2-(4-methylsulfanylphenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-67-9P, 4-[5-Fluoro-2-(4-methylsulfanylphenyl)benzo[1,3]dioxol-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-68-0P 856936-69-1P, 4-[5-Fluoro-2-(4-methylsulfonylphenyl)benzo[1,3]dioxol-2-yl]piperidine-1-carboxylic acid tert-butyl ester 856936-70-4P, [3-[4-[5-Fluoro-2-(4-

methylsulfonylphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine
856936-72-6P, 4-[5-Fluoro-2-(4-methoxyphenyl)benzo[1,3]dioxol-2-yl]piperidine 856936-73-7P, [3-[4-[5-Fluoro-2-(4-methoxyphenyl)benzo[1,3]dioxol-2-yl]piperidin-1-yl]butyl]amine
856936-75-9P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl](4-methoxyphenyl)amine 856936-76-0P, 4,6-Dimethylpyrimidine-5-carboxylic acid [3-[4-(4-methoxyphenylamino)piperidin-1-yl]butyl]amide
856936-78-2P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](4-trifluoromethoxyphenyl)amine 856936-79-3P 856936-81-7P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-(4-chlorophenylamino)piperidin-1-yl]butyl]amide 856936-83-9P, [(R)-3-[4-[[4-(2-Methyl-[1,3]dioxolan-2-yl)phenyl]((thiophen-3-yl)methyl)amino]piperidin-1-yl]butyl]carbamic acid tert-butyl ester
856936-84-0P, 1-[4-[[1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](thiophen-3-ylmethyl)amino]phenyl]ethanone 856936-87-3P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](4-trifluoromethylphenyl)amine 856936-88-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[(4-trifluoromethylphenyl)amino]piperidin-1-yl]butyl]amide 856936-90-8P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[[4-[(tert-butyl)dimethylsilyl]oxy]phenyl]amino]piperidin-1-yl]butyl]amide 856936-91-9P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-[[4-[(tert-butyl)dimethylsilyl]oxy]phenyl](thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]amide 856936-93-1P, [4-[[1-((R)-3-[[4,6-Dimethylpyrimidin-5-yl]carbonyl]amino)-1-methylpropyl]piperidin-4-yl](thiophen-3-ylmethyl)amino]phenoxy]acetic acid methyl ester 856936-96-4P, 4-[[1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl]amino]benzoic acid methyl ester 856936-97-5P, 4-[[1-((R)-3-[[4,6-Dimethylpyrimidin-5-yl]carbonyl]amino)-1-methylpropyl]piperidin-4-yl]amino]benzoic acid methyl ester 856937-00-3P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](4-bromophenyl)amine 856937-01-4P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-(4-bromophenylamino)piperidin-1-yl]butyl]amide 856937-03-6P, 4-[4-[[1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl]amino]phenoxy]benzoic acid methyl ester 856937-04-7P, 4-[4-[[1-((R)-3-[[4,6-Dimethylpyrimidin-5-yl]carbonyl]amino)-1-methylpropyl]piperidin-4-yl]amino]phenoxy]benzoic acid methyl ester 856937-07-0P, [(R)-3-[4-[(4-Fluorophenyl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]carbamic acid tert-butyl ester
856937-08-1P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](4-fluorophenyl)[(thiophen-3-yl)methyl]amine 856937-10-5P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](4-ethoxyphenyl)amine
856937-11-6P, 4,6-Dimethylpyrimidine-5-carboxylic acid [(R)-3-[4-(4-ethoxyphenylamino)piperidin-1-yl]butyl]amide 856937-13-8P
856937-14-9P, 4-[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](thiophen-3-ylmethyl)amino]benzonitrile 856937-16-1P, (R)-3-[4-[(4-Methylsulfonylphenyl)amino]piperidin-1-yl]butyronitrile 856937-17-2P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](4-methylsulfonylphenyl)[(thiophen-3-yl)methyl]amine 856937-20-7P, (R)-3-[4-[(4-Trifluoromethoxyphenyl)amino]piperidin-1-yl]butyronitrile
856937-21-8P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](thiophen-3-ylmethyl)(4-trifluoromethoxyphenyl)amine 856937-32-1P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl](4-methoxyphenyl)[(thiophen-3-yl)methyl]amine 856937-42-3P, Thiophene-3-carboxylic acid
N-(piperidin-4-yl)-N-(pyridin-3-yl)amide 856937-43-4P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl](pyridin-3-yl)[(thiophen-3-yl)methyl]amine 856937-46-7P, (6-Chloropyridin-3-yl)(piperidin-4-yl)[(thiophen-3-yl)methyl]amine 856937-47-8P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl](6-chloropyridin-3-yl)[(thiophen-3-yl)methyl]amine 856937-49-0P, 4-(6-Bromopyridin-3-ylamino)piperidine-1-carboxylic acid tert-butyl ester 856937-50-3P, 4-[(6-Bromopyridin-3-yl)(thiophen-3-ylmethyl)amino]piperidine-1-carboxylic acid tert-butyl

ester 856937-51-4P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl] (6-bromopyridin-3-yl) [(thiophen-3-yl)methyl]amine 856937-53-6P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl] (6-ethoxypyridin-3-yl)amine 856937-54-7P 856937-63-8P, 4-(Pyrimidin-5-ylamino)piperidine-1-carboxylic acid tert-butyl ester 856937-64-9P, 4-[(Pyrimidin-5-yl)(thiophen-3-ylmethyl)amino]piperidine-1-carboxylic acid tert-butyl ester 856937-65-0P, 2-[3-[4-[(Pyrimidin-5-yl)(thiophen-3-ylmethyl)amino]piperidin-1-yl]butyl]isoindole-1,3-dione 856937-69-4P, [4-(Methyl)thiophen-3-yl]methanol 856937-70-7P, 3-Bromomethyl-4-methylthiophene 856937-71-8P 856937-74-1P, 3-Bromomethyl-4-methoxythiophene 856937-76-3P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl] (benzo[1,3]dioxol-5-yl)amine 856937-77-4P 856937-79-6P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl] (2,3-dihydrobenzo[1,4]dioxin-6-yl)amine 856937-80-9P 856937-86-5P, N-(4-Methoxyphenyl)-4-methyl-N-(piperidin-4-yl)nicotinamide 856937-87-6P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl] (4-methoxyphenyl) [(4-methylpyridin-3-yl)methyl]amine 856937-89-8P, 4-[[[(4-Methylpyridin-3-yl)carbonyl]phenylamino]piperidine-1-carboxylic acid tert-butyl ester 856937-90-1P, (4-Methylpyridin-3-ylmethyl) (phenyl) (piperidin-4-yl)amine 856937-91-2P, 4-[(4-Methylpyridin-3-ylmethyl) (phenyl) amino]piperidine-1-carboxylic acid tert-butyl ester 856937-92-3P, 4-[(4-Bromophenyl) [(4-methylpyridin-3-yl)methyl]amino]piperidine-1-carboxylic acid tert-butyl ester 856937-93-4P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl] (4-bromophenyl) [(4-methylpyridin-3-yl)methyl]amine 856937-95-6P, 4-[[[(4-Methylpyridin-3-yl)carbonyl]-p-tolylamino]piperidine-1-carboxylic acid tert-butyl ester 856937-96-7P, (4-Methylpyridin-3-ylmethyl) (piperidin-4-yl) (4-methylphenyl)amine 856937-97-8P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl] [(4-methylpyridin-3-yl)methyl] (4-methylphenyl)amine 856937-99-0P, N-[1-((R)-2-Cyano-1-methylethyl)piperidin-4-yl]-4-methyl-N-(4-methylsulfanylphenyl)nicotinamide 856938-00-6P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl] (4-methylpyridin-3-ylmethyl) (4-methylsulfanylphenyl)amine 856938-02-8P, 4-[(4-Methylpyridin-3-ylmethyl) (piperidin-4-yl) amino]benzonitrile 856938-03-9P, 4-[(4-Methylpyridin-3-ylmethyl) (piperidin-4-yl) amino]benzoic acid methyl ester **856938-05-1P**, 4-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl] [(4-methylpyridin-3-yl)methyl]amino]benzamide 856938-09-5P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl] (4-methoxyphenyl) [(4-methylpyridin-3-yl)methyl]amine 856938-19-7P, [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl] [4-[(tert-butyl)dimethylsilanyl]oxy]phenyl] [(4-methylpyridin-3-yl)methyl]amine 856938-20-0P, N-[(R)-3-[4-[[4-[(tert-Butyl)dimethylsilanyl]oxy]phenyl] [(4-methylpyridin-3-yl)methyl]amino]piperidin-1-yl]butyl]-2,4-dimethylnicotinamide 856938-21-1P 856938-24-4P, 4-[(4-Methylpyridin-3-ylmethyl) (4-(morpholin-4-yl)phenyl) amino]piperidine-1-carboxylic acid tert-butyl ester 856938-25-5P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl] [(4-methylpyridin-3-yl)methyl] [4-(morpholin-4-yl)phenyl]amine 856938-28-8P, [1-(3-Amino-1-methylpropyl)piperidin-4-yl] (pyridin-3-ylmethyl) (4-methoxyphenyl)amine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)

IT 79-44-7, Dimethylcarbamoyl chloride 88-10-8, Diethylcarbamoyl chloride 88-13-1, 3-Thiophenecarboxylic acid 89-40-7, 4-Nitrophthalimide 95-48-7, o-Cresol, reactions 95-57-8, 2-Chlorophenol 96-32-2, Methyl bromoacetate 98-17-9, 3-(Trifluoromethyl)phenol 99-92-3, 4'-Aminoacetophenone 100-01-6, 4-Nitroaniline, reactions 100-66-3, Anisole, reactions 100-82-3, 3-Fluorobenzylamine 104-92-7, 4-Bromoanisole 104-94-9, 4-Methoxyphenylamine 104-96-1, 4-(Methylthio)aniline 106-39-8, 4-Bromochlorobenzene 106-40-1,

4-Bromoaniline 106-47-8, 4-Chloroaniline, reactions 106-49-0,
p-Toluidine, reactions 108-39-4, m-Cresol, reactions 109-04-6,
2-Bromopyridine 109-09-1, 2-Chloropyridine 120-80-9, Catechol,
reactions 122-80-5, N-(4-Aminophenyl)acetamide 123-30-8, 4-Aminophenol
156-43-4, p-Phenetidine 288-42-6, Oxazole 363-52-0, 3-Fluorocatechol
367-12-4, 2-Fluorophenol 367-32-8 371-40-4, 4-Fluoroaniline
402-43-7, 1-Bromo-4-trifluoromethylbenzene 407-14-7,
1-Bromo-4-(trifluoromethoxy)benzene 444-30-4, 2-(Trifluoromethyl)phenol
455-14-1, 4-Trifluoromethylaniline 456-41-7, 3-Fluorobenzyl bromide
461-82-5, 4-Trifluoromethoxyphenylamine 462-08-8, 3-Aminopyridine
524-38-9, N-Hydroxyphthalimide 619-45-4, Methyl 4-aminobenzoate
620-13-3, 3-Methylbenzyl bromide 623-00-7, 4-Bromobenzonitrile
626-55-1, 3-Bromopyridine 627-11-2, 2-Chloroethyl chloroformate
632-46-2, 2,6-Dimethylbenzoic acid 636-72-6, 2-Thiophenemethanol
636-98-6, 1-Iodo-4-nitrobenzene 703-12-8, 4-Bromo-N-
methylbenzenesulfonamide 766-80-3, 3-Chlorobenzyl bromide 873-62-1,
3-Cyanophenol 873-74-5, 4-Aminobenzonitrile 1125-29-7,
1,3,5-Trimethyl-1H-pyrazole-4-carboxylic acid 1513-65-1,
2,6-Difluoropyridine 1548-81-8, 1-Bromo-2-bromomethyl-3-fluorobenzene
1709-52-0, 4-Amino-N-methylbenzenesulfonamide 2402-77-9,
2,3-Dichloropyridine 2402-78-0, 2,6-Dichloropyridine 2510-36-3,
3,5-Dimethylisoxazole-4-carboxylic acid 3034-53-5, 2-Bromothiazole
3222-50-2, 4-Methylnicotinic acid 3279-76-3, 2-Hydroxy-6-methylpyridine
3430-17-9, 2-Bromo-3-picoline 3433-80-5, 2-Bromobenzyl bromide
3612-18-8, 1-Ethyl-4-piperidone 4023-34-1, Cyclopropanecarbonyl chloride
4152-90-3, 3-Chlorobenzylamine 4389-50-8, 2-Amino-6-methylbenzoic acid
4437-18-7, 2-Bromomethylfuran 4518-10-9, Methyl 3-aminobenzoate
4595-59-9, 5-Bromopyrimidine 4926-28-7, 2-Bromo-4-picoline 5192-03-0,
5-Aminoindole 5292-43-3, tert-Butyl bromoacetate 5315-25-3,
2-Bromo-6-picoline 5350-93-6, 5-Amino-2-chloropyridine 5680-79-5
5834-16-2, 3-Methyl-2-thiophenecarboxaldehyde 6315-89-5,
4-Aminoveratrole 6331-71-1, 4-Amino-N,N-dimethylbenzamide 6628-77-9,
(6-Methoxypyridin-3-yl)amine 7377-13-1, 4-(4-Nitrobenzoyl)benzoic acid
13534-97-9, 5-Amino-2-bromopyridine 13871-68-6, Acetic acid
4-aminophenyl ester 13958-93-5, 3,5-Dichloroisonicotinic acid
14268-66-7, 3,4-(Methylenedioxy)aniline 17392-83-5, Methyl (R)-lactate
18368-63-3, 6-Chloro-2-picoline 19099-93-5 21327-86-6,
2-Chloro-6-methylbenzoic acid 22013-33-8, 1,4-Benzodioxan-6-amine
24065-33-6, 5-Chlorothiophene-2-carboxylic acid 24477-92-7,
4-(4-Aminophenoxy)benzoic acid methyl ester 24964-64-5,
3-Cyanobenzaldehyde 26452-80-2, 2,4-Dichloropyridine 27871-49-4,
Methyl (S)-lactate 28188-41-2, 3-Cyanobenzyl bromide 29958-14-3,
N-(5-Aminopyridin-2-yl)acetamide 30318-99-1, 3-Bromo-4-methylthiophene
32692-19-6, 5-Nitroindoline 33252-29-8, 2-Chloro-6-cyanopyridine
33311-29-4, 4-(2-Methoxyethoxy)phenylamine 34846-44-1,
3-(Bromomethyl)thiophene 39890-95-4, 2-Chloro-6-
(trifluoromethyl)pyridine 42933-43-7, 5-Amino-2,3-dihydrobenzofuran
51227-28-2, 4-Methyl-3-pyridinecarboxaldehyde 52025-34-0,
(6-Ethoxypyridin-3-yl)amine 55314-30-2, 2,4-Dimethylnicotinic acid
58546-89-7, Benzofuran-5-ylamine 59954-04-0, (4-Aminophenoxy)acetic acid
methyl ester 61150-57-0, 2-Bromo-1-bromomethyl-4-fluorobenzene
61964-08-7 66417-26-3, (E)-3-(4-Aminophenyl)-2-propenoic acid methyl
ester 71916-91-1, 5-Chloro-2-fluorobenzyl bromide 79099-07-3,
1-Boc-4-piperidone 79265-30-8, 2-(Trimethylsilyl)thiazole 87120-72-7
93777-26-5, 5-Bromo-2-fluorobenzaldehyde 94015-05-1, 4-Methylnicotinic
acid hydrochloride 100880-61-3, 2-(4-Aminobenzyl)isoindole-1,3-dione
108622-87-3, 4-Amino-N-benzyl-N-methylbenzenesulfonamide 109201-46-9,
2-Chloro-6-ethylpyridine 111359-74-1, [4-[(tert-
Butyldimethylsilyl)oxy]phenyl]amine 133897-06-0, 2,4-Dimethylnicotinic
acid hydrochloride 137076-22-3, 4-Formylpiperidine-1-carboxylic acid

tert-butyl ester 157335-93-8, 4,6-Dimethylpyrimidine-5-carboxylic acid
 167843-57-4, (4-Aminophenoxy)acetic acid tert-butyl ester 177662-76-9,
 4-(Methylsulfonyl)aniline hydrochloride 185147-08-4,
 4-Fluoro-3-methylbenzonitrile 203186-01-0, 1-[4-(4-
 Bromobenzoyl)piperidin-1-yl]-2,2,2-trifluoroethanone 203186-02-1,
 4-[2-(4-Bromophenyl)-1,3-dioxolan-2-yl]piperidine 245057-56-1,
 4-[(Benzo[1,3]dioxol-5-yl)amino]piperidine-1-carboxylic acid tert-butyl
 ester 294885-78-2, 4-Amino-N,N-dibenzylbenzenesulfonamide 319427-78-6,
 4-[(2,3-Dihydrobenzo[1,4]dioxin-6-yl)amino]piperidine-1-carboxylic acid
 tert-butyl ester 372156-99-5 503308-96-1, 2,4-Dimethylthiophene-3-
 carboxylic acid 544703-95-9 544703-96-0, 3,5-Dimethylisonicotinic acid
 716361-77-2, 2,6-Dimethyl-4-(pyridin-4-yl)benzoic acid 856932-18-8,
 4-[(4-Bromophenyl)[(6-methylpyridin-2-yl)oxy]methyl]piperidine-1-
 carboxylic acid tert-butyl ester 856932-35-9,
 [3-[4-[(4-Bromophenyl)[(pyridin-2-yl)oxy]methyl]piperidin-1-yl]butyl]amine
 856932-37-1, [3-[4-[(Pyridin-2-yloxy)(4-trifluoromethylphenyl)methyl]piper-
 idin-1-yl]butyl]amine 856932-53-1, 4-Formylcyclohexanecarboxylic acid
 tert-butyl ester 856933-78-3, 4-[(3-Cyanobenzyl)(4-
 methylsulfonylphenyl)amino]piperidine-1-carboxylic acid tert-butyl ester
 856934-00-4, 3-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl](4-
 hydroxyphenyl)amino]methyl]benzonitrile 856935-11-0,
 4,6-Dimethylpyrimidine-3-carboxylic acid 856935-57-4,
 [1-((R)-3-Amino-1-methylpropyl)piperidin-4-yl][4-(2-methyl-[1,3]dioxolan-2-
 yl)phenyl]amine 856935-68-7, 3-[[[1-((R)-3-Amino-1-
 methylpropyl)piperidin-4-yl][4-[(tert-butyl)dimethylsilyl]oxy]phenyl]amin
 o]methyl]-4-fluorobenzonitrile 856935-80-3, 4-(1H-Indol-5-
 ylamino)piperidine-1-carboxylic acid tert-butyl ester 856936-00-0,
 [3-[4-[2-(4-Methylsulfonylphenyl)-2,3-dihydrobenzofuran-2-yl]piperidin-1-
 yl]butyl]amine 856936-02-2, [3-[4-[4-Fluoro-2-(4-trifluoromethylphenyl)-
 2,3-dihydrobenzofuran-2-yl]piperidin-1-yl]butyl]amine 856937-31-0,
 (R)-3-[4-(4-Methoxyphenylamino)piperidin-1-yl]butyronitrile
856938-04-0, 4-[(4-Methylpyridin-3-ylmethyl)(piperidin-4-
 yl)amino]benzamide 856938-27-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of piperidines as chemokine receptor modulators for treatment
 of inflammatory and autoimmune diseases)

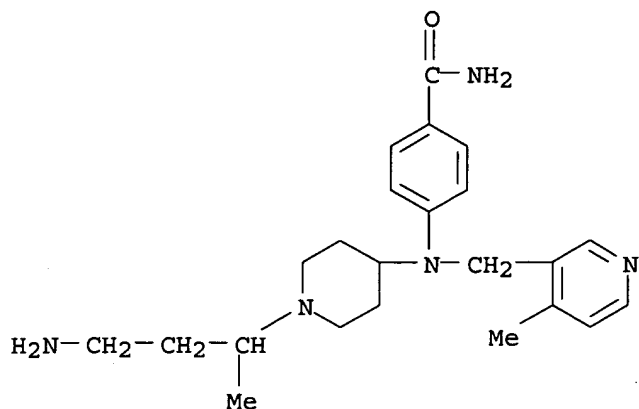
IT **856938-05-1P**, 4-[[[1-(3-Amino-1-methylpropyl)piperidin-4-yl][(4-
 methylpyridin-3-yl)methyl]amino]benzamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

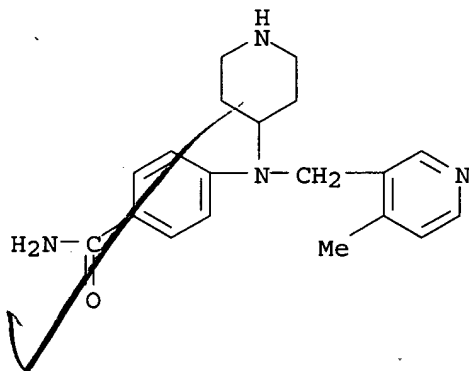
(intermediate; preparation of piperidines as chemokine receptor modulators
 for treatment of inflammatory and autoimmune diseases)

RN 856938-05-1 HCAPLUS

CN Benzamide, 4-[[[1-(3-amino-1-methylpropyl)-4-piperidinyl][(4-methyl-3-
 pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



IT **856938-04-0**, 4-[[4-(4-Methylpyridin-3-yl)methyl]-(piperidin-4-yl)amino]benzamide
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of piperidines as chemokine receptor modulators for treatment of inflammatory and autoimmune diseases)
 RN **856938-04-0** HCAPLUS
 CN Benzamide, 4-[[4-(4-methyl-3-pyridinyl)methyl]-4-piperidinylamino]- (9CI)
 (CA INDEX NAME)



L24 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:354913 HCAPLUS
 DN 140:375076
 ED Entered STN: 30 Apr 2004
 TI Preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators
 IN Baxter, Ellen W.; Reitz, Allen B.
 PA Janssen Pharmaceutica, N.V., Belg.
 SO ~~PCT Int. Appl., 50 pp.~~
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D211-56
 ICS A61K031-4468; A61P029-00; C07D401-12
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63
 FAN.CNT 2
 PATENT NO. KIND DATE APPLICATION NO. DATE

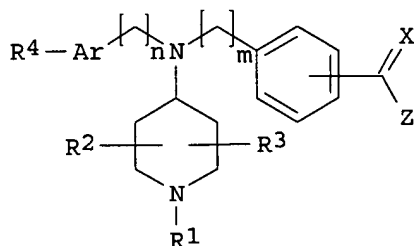
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004035541	ICM	C07D211-56
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WO 2004035541	ECLA	C07D211/56; C07D211/58; C07D401/12+213+211
OS MARPAT 140:375076		
GI		



I

AB The title compds. [I; Ar = (hetero)aryl; m = 0-2; n = 0-2 (n and m are not both simultaneously 0); R1 = H, alkyl, aryl, etc.; R2, R3 = alkyl; R4 = H, alkyl, aryloxy, etc.; X = O, S; Z = NR5R6, 5-6 membered saturated monocyclic heterocyclyl; R5, R6 = H, alkyl, aryl, etc.; the moiety C(X)Z is attached at the 3 or 4 position of the Ph ring] which are delta-opioid receptor modulators, were prepared Thus, reacting 1-propyl-4-piperidone with benzylamine in the presence of NaBH(OAc)3 and AcOH in CH2Cl2 followed by alkylation of the resulting N-benzyl-1-propyl-4-piperidinamine with N,N-diethyl-4-bromobenzamide in the presence of Pd2dba3, (+)-BINAP and tert-BuONa in PhMe afforded N,N-diethyl-4-[benzyl(1-propylpiperidin-4-yl)amino]benzamide which showed Ki of 26.2 nM against δ -opioid receptor binding and 100% inhibition at 150 μ M/kg in the mouse acetylcholine bromide-induced abdominal constriction assay which was used to demonstrate analgesic activity. The pharmaceutical composition comprising the compound I is claimed.

ST benzyl piperidinylamino benzamide prepn delta opioid receptor modulator analgesic

IT Drugs of abuse

(abuse of, treatment of; preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

IT Immunity

(disorder, treatment of; preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

- IT Inflammation
Stomach, disease
(gastritis, treatment of; preparation of benzyl substituted
(piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT Anti-inflammatory agents
Cardiovascular agents
Human
Immunostimulants
Nervous system agents
Psychotropics
(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as
 δ -opioid receptor modulators)
- IT Alcoholism
Cardiovascular system, disease
Diarrhea
Inflammation
Mental disorder
Nervous system, disease
Respiratory tract, disease
(treatment of; preparation of benzyl substituted (piperidin-4-yl)amino
benzamides as δ -opioid receptor modulators)
- IT Opioid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(δ -opioid; preparation of benzyl substituted (piperidin-4-yl)amino
benzamides as δ -opioid receptor modulators)
- IT **683271-39-8P**, N,N-Diethyl-4-[(3-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-48-9P**, N,N-Diethyl-4-[benzyl(piperidin-4-yl)amino]benzamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as
 δ -opioid receptor modulators)
- IT **683271-37-6P**, N,N-Diethyl-4-[benzyl(1-propylpiperidin-4-yl)amino]benzamide **683271-38-7P** **683271-40-1P**, N,N-Diethyl-4-[(3-chlorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-41-2P**, N,N-Diethyl-4-[(2-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-42-3P**, N,N-Diethyl-4-[(3-fluorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-43-4P**, N,N-Diethyl-4-[(3-pyridinylmethyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-44-5P**, N,N-Diethyl-4-[(3-trifluoromethylphenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-45-6P**, N,N-Diethyl-4-[(4-fluorophenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-46-7P**, N,N-Diethyl-4-[(3-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-47-8P**, N,N-Diethyl-4-[(2-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-49-0P**, N,N-Diethyl-4-[benzyl(1-allylpiperidin-4-yl)amino]benzamide **683271-50-3P**, N,N-Diethyl-4-[benzyl(1-isopropylpiperidin-4-yl)amino]benzamide **683271-51-4P**, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide **683271-52-5P**, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide monooxalate **683271-53-6P**, N,N-Diethyl-4-[benzyl(1-(3-dimethylaminopropyl)piperidin-4-yl)amino]benzamide **683271-54-7P**, N,N-Diethyl-3-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide **683271-55-8P**, N,N-Diethyl-4-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide **683271-56-9P**, N,N-Diethyl-3-[(3-hydroxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide **683271-57-0P** **683271-58-1P** **683271-60-5P**, N,N-Diethyl-3-[(3-fluorophenyl)(1-propylpiperidin-4-

yl)amino)methyl]benzamide **683271-71-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

IT 67-64-1, Acetone, reactions 100-46-9, Benzylamine, reactions 106-95-6, Allyl bromide, reactions 108-86-1, Bromobenzene, reactions 109-89-7, Diethylamine, reactions 536-90-3, 3-Methoxyaniline 619-21-6, 3-Carboxybenzaldehyde 5407-04-5, 3-(Dimethylamino)propyl chloride hydrochloride 5892-99-9, N,N-Diethyl-4-bromobenzamide 23133-37-1, 1-Propyl-4-piperidone 79099-07-3, 1-(tert-Butoxycarbonyl)-4-piperidone 117445-22-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

IT 105394-85-2P, N,N-Diethyl-3-formylbenzamide 206273-87-2P, 4-Benzylamino-1-(tert-butoxycarbonyl)piperidine 229479-46-3P, N-(3-Methoxyphenyl)-1-propyl-4-piperidinamine 683271-61-6P, N-Benzyl-1-propyl-4-piperidinamine **683271-63-8P** 683271-65-0P 683271-67-2P 683271-69-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Fitzpatrick, L; WO 9933806 A 1999 HCAPLUS
- (2) Ortho McNeil Pharm Inc; WO 0248112 A 2002 HCAPLUS
- (3) Plobeck, N; US 6130222 A 2000 HCAPLUS
- (4) Podlogar, B; DRUG DESIGN AND DISCOVERY 2000, V17(1), P34 HCAPLUS
- (5) Schering Corp; WO 03020716 A 2003 HCAPLUS
- (6) Thomas, J; J MED CHEM 2001, V44, P972 HCAPLUS

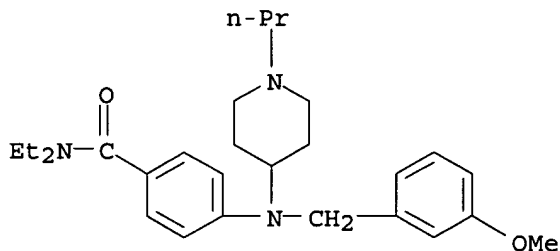
IT **683271-39-8P**, N,N-Diethyl-4-[(3-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-48-9P**, N,N-Diethyl-4-[benzyl(piperidin-4-yl)amino]benzamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

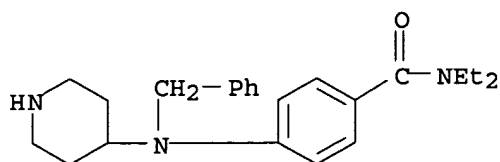
RN 683271-39-8 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3-methoxyphenyl)methyl](1-propyl-4-piperidiny]amino]- (9CI) (CA INDEX NAME)

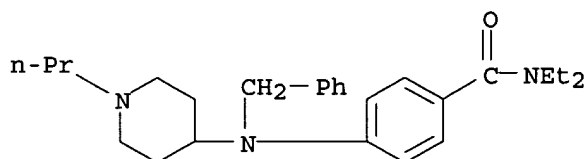


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CN Benzamide, N,N-diethyl-4-[(phenylmethyl)-4-piperidinylamino]- (9CI) (CA INDEX NAME)



IT 683271-37-6P, N,N-Diethyl-4-[benzyl(1-propylpiperidin-4-yl)amino]benzamide 683271-38-7P 683271-40-1P, N,N-Diethyl-4-[(3-chlorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-41-2P, N,N-Diethyl-4-[(2-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-42-3P, N,N-Diethyl-4-[(3-fluorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-43-4P, N,N-Diethyl-4-[(3-pyridinylmethyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-44-5P, N,N-Diethyl-4-[(3-trifluoromethylphenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-45-6P, N,N-Diethyl-4-[(4-fluorophenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-46-7P, N,N-Diethyl-4-[(3-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-47-8P, N,N-Diethyl-4-[(2-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-49-0P, N,N-Diethyl-4-[benzyl(1-allylpiperidin-4-yl)amino]benzamide 683271-50-3P, N,N-Diethyl-4-[benzyl(1-isopropylpiperidin-4-yl)amino]benzamide 683271-51-4P, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide 683271-52-5P, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide monooxalate 683271-53-6P, N,N-Diethyl-4-[benzyl(1-(3-dimethylaminopropyl)piperidin-4-yl)amino]benzamide 683271-54-7P, N,N-Diethyl-3-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-55-8P, N,N-Diethyl-4-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-56-9P, N,N-Diethyl-3-[(3-hydroxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-57-0P 683271-58-1P 683271-60-5P, N,N-Diethyl-3-[(3-fluorophenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-71-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
 RN 683271-37-6 HCAPLUS
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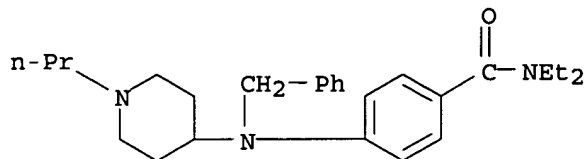


RN 683271-38-7 HCAPLUS
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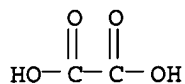
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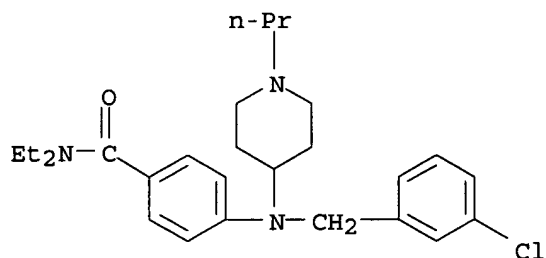
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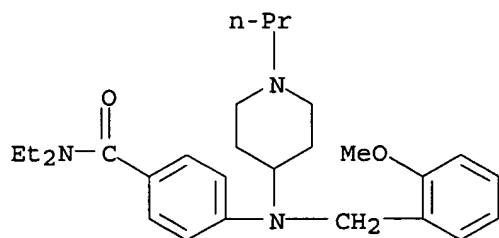
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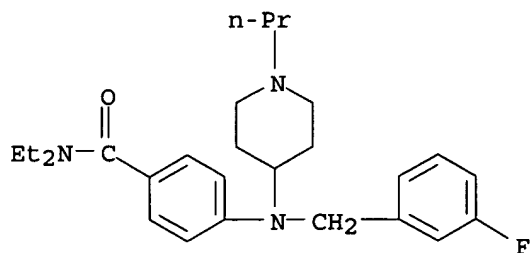
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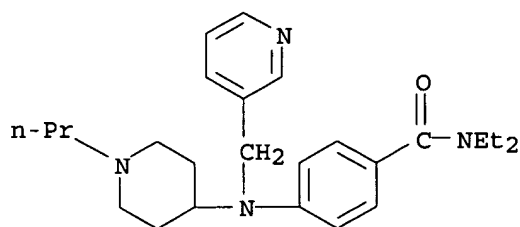
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piperidinyl)amino] - (9CI) (CA INDEX NAME)



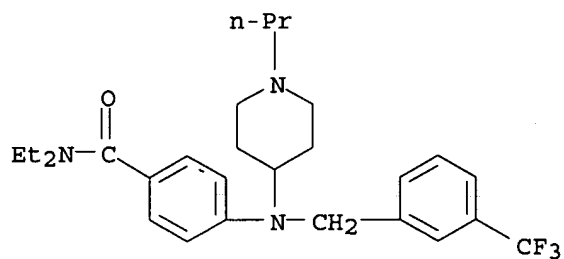
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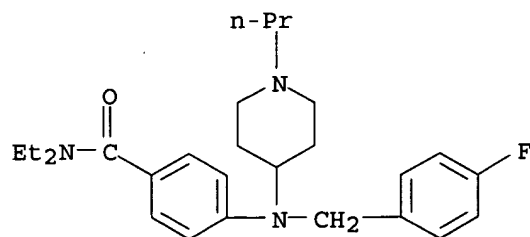
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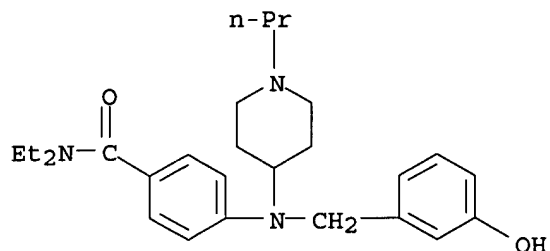
RN 683271-45-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[[4-fluorophenyl)methyl](1-propyl-4-piperidinyl)amino] - (9CI) (CA INDEX NAME)



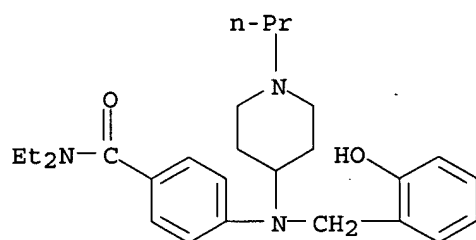
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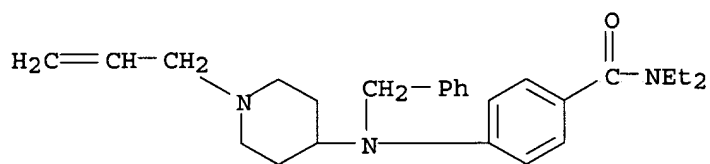
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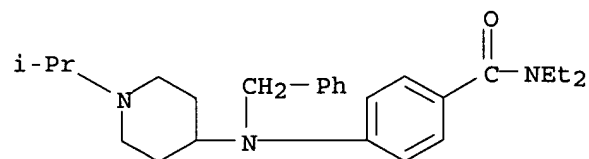
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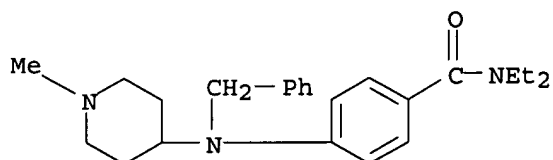
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RN 683271-51-4 HCAPLUS

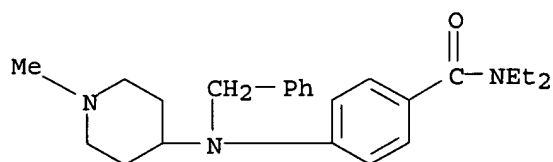
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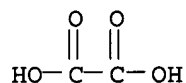
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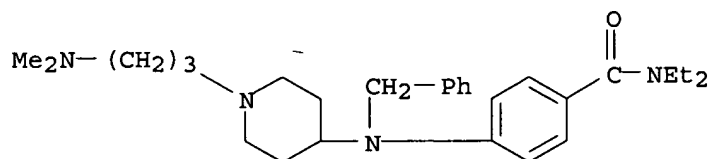


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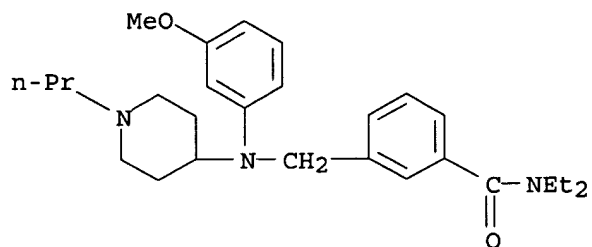
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CMF C2 H2 O4



RN 683271-53-6 HCAPLUS
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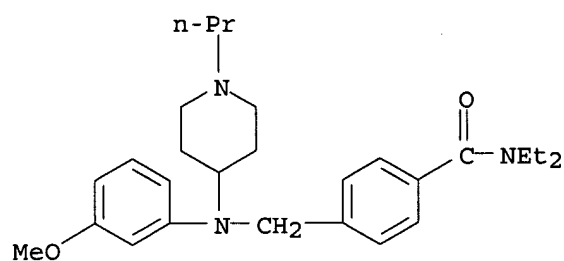


RN 683271-54-7 HCAPLUS
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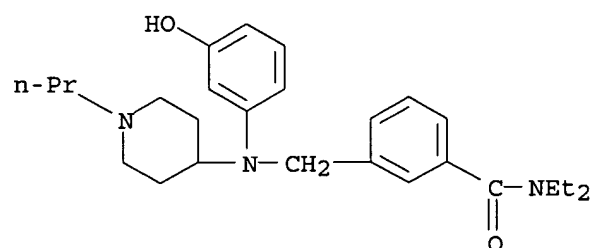
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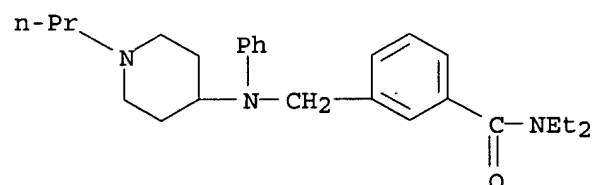
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RN 683271-57-0 HCAPLUS

CN Benzamide, N,N-diethyl-3-[[[phenyl(1-propyl-4-piperidinyloxy)methyl]amino]methyl]- (9CI) (CA INDEX NAME)



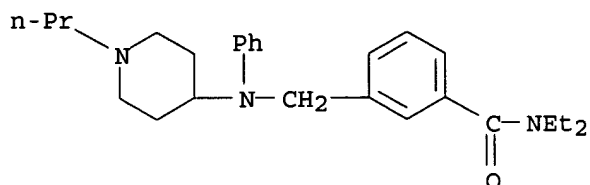
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CN Benzamide, N,N-diethyl-3-[[[phenyl(1-propyl-4-piperidinyloxy)methyl]amino]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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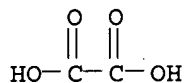
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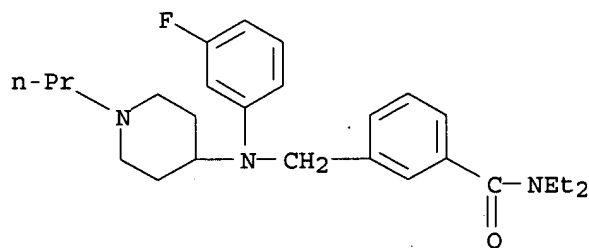
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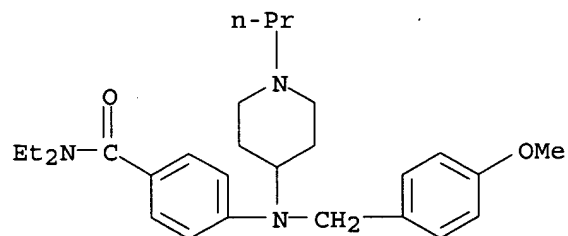
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RN 683271-71-8 HCAPLUS

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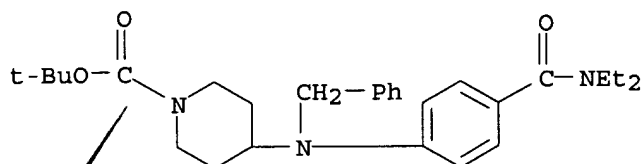


IT 683271-63-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as
δ-opioid receptor modulators)

RN 683271-63-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](phenylm
ethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



✓ L24 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:354685 HCAPLUS

DN 140:375074

ED Entered STN: 30 Apr 2004

TI Preparation of benzyl substituted (piperidin-4-yl)amino benzamides as
δ-opioid receptor modulators

IN Baxter, Ellen W.; Reitz, Allen B.

PA USA

SO U.S. Pat. Appl. Publ., 17 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K031-454

INCL 514317000; 514326000; 546207000

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

FAN.CNT 2

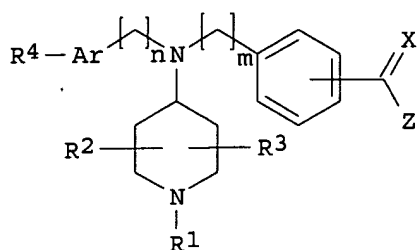
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004082612	A1	20040429	US 2003-684991	20031014 <--
PRAI US 2002-418457P	P	20021015	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004082612	ICM	A61K031-454
	INCL	514317000; 514326000; 546207000
US 2004082612	NCL	514/317.000
	ECLA	C07D211/56; C07D211/58; C07D401/12+213+211

OS MARPAT 140:375074

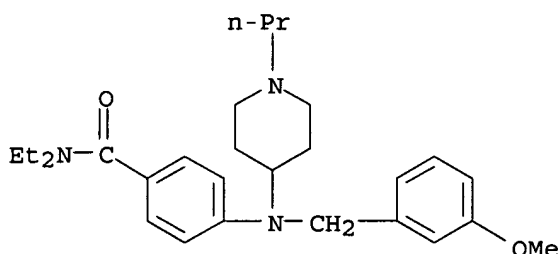
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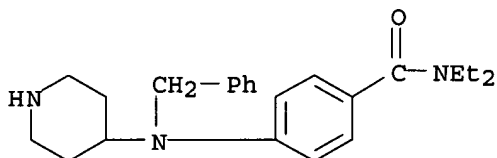
- AB The title compds. [I; Ar = (hetero)aryl; m = 0-2; n = 0-2 (n and m are not both simultaneously 0); R1 = H, alkyl, aryl, etc.; R2, R3 = alkyl; R4 = H, alkyl, aryloxy, etc.; X = O, S; Z = NR5R6, 5-6 membered saturated monocyclic heterocyclyl; R5, R6 = H, alkyl, aryl, etc.; the moiety C(:X)Z is attached at the 3 or 4 position of the Ph ring] which are delta-opioid receptor modulators, were prepared Thus, reacting 1-propyl-4-piperidone with benzylamine in the presence of NaBH(OAc)3 and AcOH in CH2Cl2 followed by alkylation of the resulting N-benzyl-1-propyl-4-piperidinamine with N,N-diethyl-4-bromobenzamide in the presence of Pd2dba3, (+)-BINAP and tert-BuONa in PhMe afforded N,N-diethyl-4-[benzyl(1-propylpiperidin-4-yl)amino]benzamide which showed Ki of 26.2 nM against δ -opioid receptor binding and 100% inhibition at 150 μ M/kg in the mouse acetylcholine bromide-induced abdominal constriction assay which was used to demonstrate analgesic activity. The pharmaceutical composition comprising the compound I is claimed.
- ST benzyl piperidinylamino benzamide prepn delta opioid receptor modulator analgesic
- IT Drugs of abuse
(abuse of, treatment of; preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT Immunity
(disorder, treatment of; preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT Inflammation
Stomach, disease
(gastritis, treatment of; preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT Anti-inflammatory agents
Cardiovascular agents
Human
Immunostimulants
Nervous system agents
Psychotropics
(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT Alcoholism
Cardiovascular system, disease
Diarrhea
Inflammation
Mental disorder
Nervous system, disease
Respiratory tract, disease
(treatment of; preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT Opioid receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(δ -opioid; preparation of benzyl substituted (piperidin-4-yl)amino

- benzamides as δ -opioid receptor modulators)
- IT 683271-39-8P, N,N-Diethyl-4-[(3-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-48-9P, N,N-Diethyl-4-[benzyl(piperidin-4-yl)amino]benzamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT 683271-37-6P, N,N-Diethyl-4-[benzyl(1-propylpiperidin-4-yl)amino]benzamide 683271-38-7P 683271-40-1P, N,N-Diethyl-4-[(3-chlorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-41-2P, N,N-Diethyl-4-[(2-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-42-3P, N,N-Diethyl-4-[(3-fluorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-43-4P, N,N-Diethyl-4-[(3-pyridinylmethyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-44-5P, N,N-Diethyl-4-[(3-trifluoromethylphenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-45-6P, N,N-Diethyl-4-[(4-fluorophenyl)methyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-46-7P, N,N-Diethyl-4-[(3-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-47-8P, N,N-Diethyl-4-[(2-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide 683271-49-0P, N,N-Diethyl-4-[benzyl(1-allylpiperidin-4-yl)amino]benzamide 683271-50-3P, N,N-Diethyl-4-[benzyl(1-isopropylpiperidin-4-yl)amino]benzamide 683271-51-4P, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide 683271-52-5P, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide monooxalate 683271-53-6P, N,N-Diethyl-4-[benzyl(1-(3-dimethylaminopropyl)piperidin-4-yl)amino]benzamide 683271-54-7P, N,N-Diethyl-3-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-55-8P, N,N-Diethyl-4-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-56-9P, N,N-Diethyl-3-[(3-hydroxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-57-0P 683271-58-1P 683271-60-5P, N,N-Diethyl-3-[(3-fluorophenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-71-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT 67-64-1, Acetone, reactions 100-46-9, Benzylamine, reactions 106-95-6, Allyl bromide, reactions 108-86-1, Bromobenzene, reactions 109-89-7, Diethylamine, reactions 536-90-3, 3-Methoxyaniline 619-21-6, 3-Carboxybenzaldehyde 5407-04-5, 3-(Dimethylamino)propyl chloride hydrochloride 5892-99-9, N,N-Diethyl-4-bromobenzamide 23133-37-1, 1-Propyl-4-piperidone 79099-07-3, 1-(tert-Butoxycarbonyl)-4-piperidone 117445-22-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)
- IT 105394-85-2P, N,N-Diethyl-3-formylbenzamide 206273-87-2P, 4-Benzylamino-1-(tert-butoxycarbonyl)piperidine 229479-46-3P, N-(3-Methoxyphenyl)-1-propyl-4-piperidinamine 683271-61-6P, N-Benzyl-1-propyl-4-piperidinamine 683271-63-8P 683271-65-0P 683271-67-2P 683271-69-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as

8-opioid receptor modulators)
 IT **683271-39-8P**, N,N-Diethyl-4-[(3-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-48-9P**, N,N-Diethyl-4-[benzyl(piperidin-4-yl)amino]benzamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of benzyl substituted (piperidin-4-yl)amino benzamides as 8-opioid receptor modulators)
 RN **683271-39-8** HCAPLUS
 CN Benzamide, N,N-diethyl-4-[[(3-methoxyphenyl)methyl] (1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)



RN **683271-48-9** HCAPLUS
 CN Benzamide, N,N-diethyl-4-[(phenylmethyl)-4-piperidinylamino]- (9CI) (CA INDEX NAME)



IT **683271-37-6P**, N,N-Diethyl-4-[benzyl(1-propylpiperidin-4-yl)amino]benzamide **683271-38-7P** **683271-40-1P**, N,N-Diethyl-4-[(3-chlorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-41-2P**, N,N-Diethyl-4-[(2-methoxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-42-3P**, N,N-Diethyl-4-[(3-fluorobenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-43-4P**, N,N-Diethyl-4-[(3-pyridinylmethyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-44-5P**, N,N-Diethyl-4-[(3-trifluoromethylphenyl)methyl(1-propylpiperidin-4-yl)amino]benzamide **683271-45-6P**, N,N-Diethyl-4-[(4-fluorophenyl)methyl(1-propylpiperidin-4-yl)amino]benzamide **683271-46-7P**, N,N-Diethyl-4-[(3-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-47-8P**, N,N-Diethyl-4-[(2-hydroxybenzyl)(1-propylpiperidin-4-yl)amino]benzamide **683271-49-0P**, N,N-Diethyl-4-[benzyl(1-allylpiperidin-4-yl)amino]benzamide **683271-50-3P**, N,N-Diethyl-4-[benzyl(1-isopropylpiperidin-4-yl)amino]benzamide **683271-51-4P**, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide **683271-52-5P**, N,N-Diethyl-4-[benzyl(1-methylpiperidin-4-yl)amino]benzamide monooxalate **683271-53-6P**, N,N-Diethyl-4-[benzyl(1-(3-dimethylaminopropyl)piperidin-4-yl)amino]benzamide **683271-54-7P**, N,N-Diethyl-3-[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide

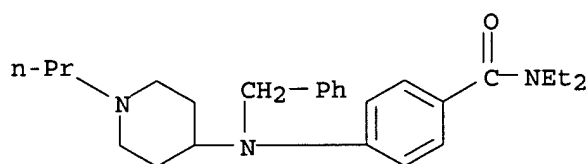
683271-55-8P, N,N-Diethyl-4-[[[(3-methoxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-56-9P, N,N-Diethyl-3-[[[(3-hydroxyphenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-57-0P 683271-58-1P 683271-60-5P, N,N-Diethyl-3-[[[(3-fluorophenyl)(1-propylpiperidin-4-yl)amino)methyl]benzamide 683271-71-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

RN 683271-37-6 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(phenylmethyl)(1-propyl-4-piperidinyl)amino]-(9CI) (CA INDEX NAME)



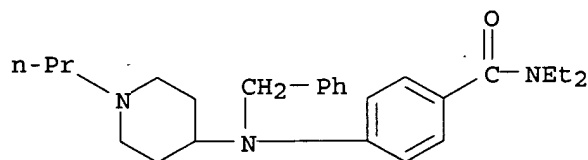
RN 683271-38-7 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(phenylmethyl)(1-propyl-4-piperidinyl)amino]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 683271-37-6

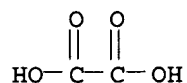
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CM 2

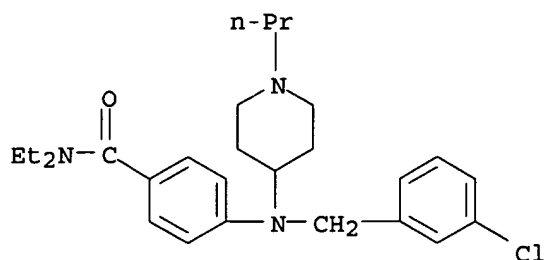
CRN 144-62-7

CMF C2 H2 O4

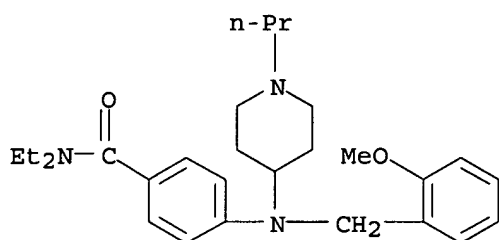


RN 683271-40-1 HCAPLUS

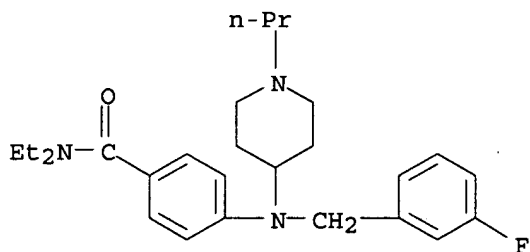
CN Benzamide, 4-[[[(3-chlorophenyl)methyl](1-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



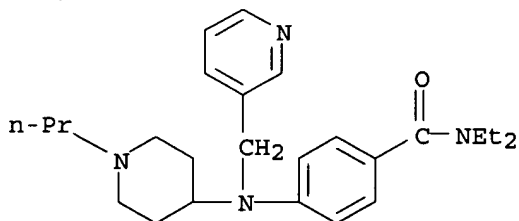
RN 683271-41-2 HCAPLUS
 CN Benzamide, N,N-diethyl-4-[[[(2-methoxyphenyl)methyl](1-propyl-4-piperidinyloxy)]amino]- (9CI) (CA INDEX NAME)



RN 683271-42-3 HCAPLUS
 CN Benzamide, N,N-diethyl-4-[[[(3-fluorophenyl)methyl](1-propyl-4-piperidinyloxy)]amino]- (9CI) (CA INDEX NAME)

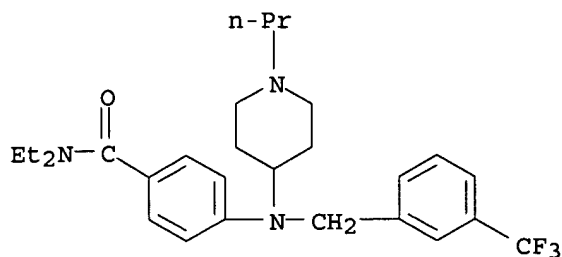


RN 683271-43-4 HCAPLUS
 CN Benzamide, N,N-diethyl-4-[[[(1-propyl-4-piperidinyloxy)](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



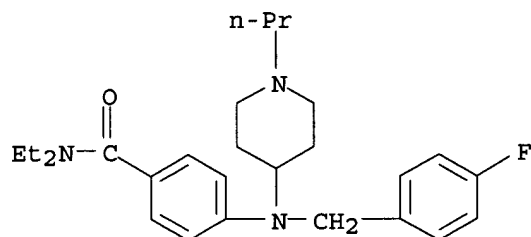
RN 683271-44-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidiny1)[[3-(trifluoromethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



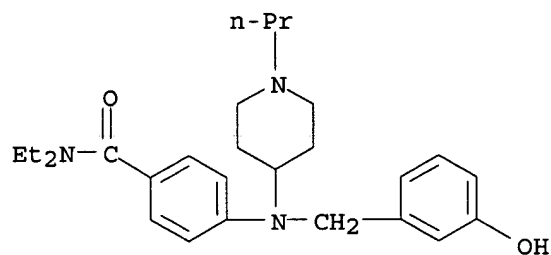
RN 683271-45-6 HCAPLUS

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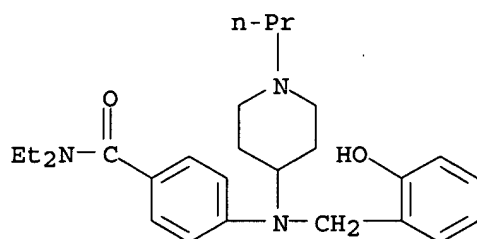
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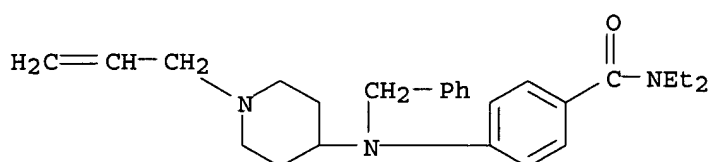
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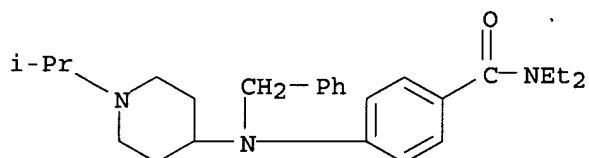
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CN Benzamide, N,N-diethyl-4-[(phenylmethyl)[1-(2-propenyl)-4-piperidinyl]amino] - (9CI) (CA INDEX NAME)



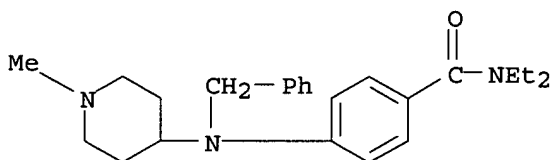
RN 683271-50-3 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(1-methylethyl)-4-piperidinyl](phenylmethyl)amino] - (9CI) (CA INDEX NAME)



RN 683271-51-4 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinyl)(phenylmethyl)amino] - (9CI) (CA INDEX NAME)



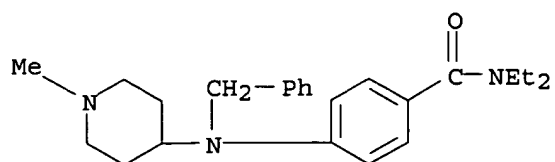
RN 683271-52-5 HCAPLUS

CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinyl)(phenylmethyl)amino] -, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 683271-51-4

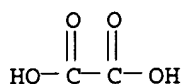
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CM 2

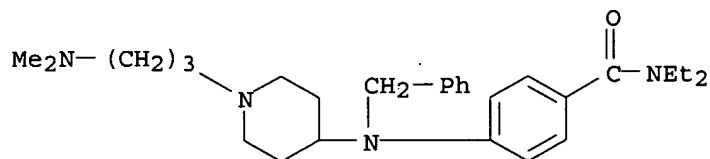
CRN 144-62-7

CMF C2 H2 O4



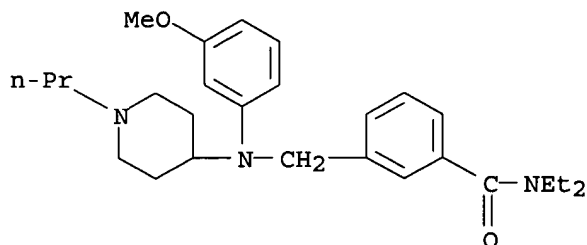
RN 683271-53-6 HCAPLUS

CN Benzamide, 4-[[1-[3-(dimethylamino)propyl]-4-piperidinyl](phenylmethyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



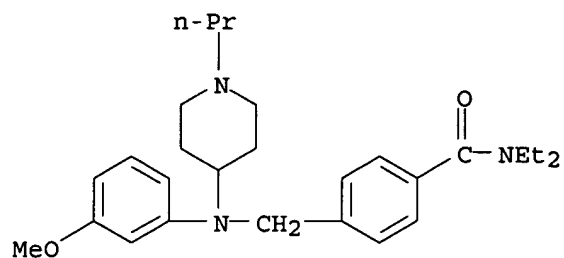
RN 683271-54-7 HCAPLUS

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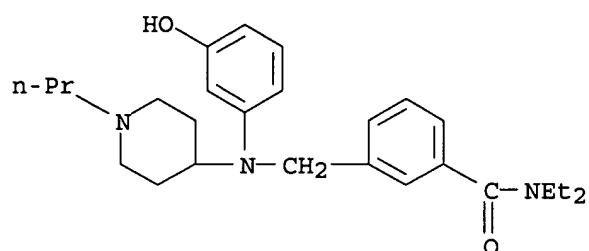
RN 683271-55-8 HCAPLUS

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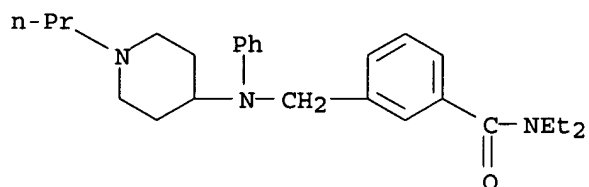
RN 683271-56-9 HCAPLUS

CN Benzamide, N,N-diethyl-3-[[(3-hydroxyphenyl) (1-propyl-4-piperidinyl)amino]methyl] - (9CI) (CA INDEX NAME)



RN 683271-57-0 HCAPLUS

CN Benzamide, N,N-diethyl-3-[[phenyl(1-propyl-4-piperidinyl)amino]methyl] - (9CI) (CA INDEX NAME)



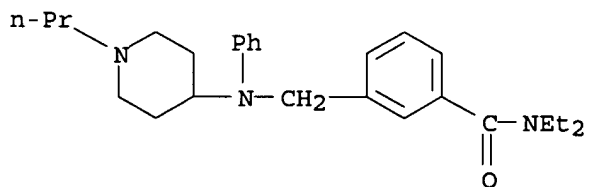
RN 683271-58-1 HCAPLUS

CN Benzamide, N,N-diethyl-3-[[phenyl(1-propyl-4-piperidinyl)amino]methyl] -, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 683271-57-0

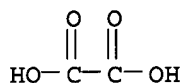
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CM 2

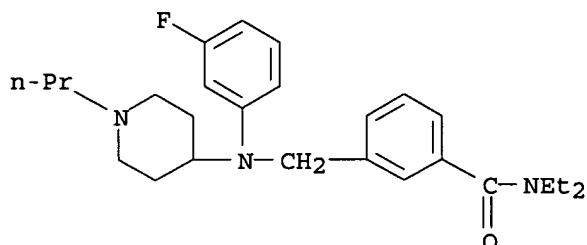
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CMF C2 H2 O4



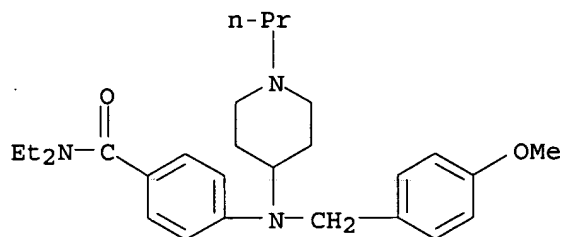
RN 683271-60-5 HCAPLUS

CN Benzamide, N,N-diethyl-3-[[[(3-fluorophenyl)(1-propyl-4-piperidiny]amino]methyl]- (9CI) (CA INDEX NAME)



RN 683271-71-8 HCAPLUS

CN Benzamide, N,N-diethyl-4-[[[(4-methoxyphenyl)methyl](1-propyl-4-piperidiny]amino]- (9CI) (CA INDEX NAME)



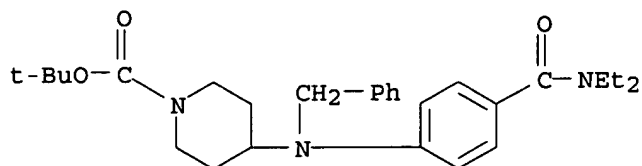
IT 683271-63-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzyl substituted (piperidin-4-yl)amino benzamides as δ -opioid receptor modulators)

RN 683271-63-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-[(diethylamino)carbonyl]phenyl](phenylmethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



=> d his

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E WOODS E/AU
L3 14 S E3,E5
E REITZ A/AU
L4 186 S E3,E4,E11-E13
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SAV L9 SHIAO684/A
L10 STR L7
L11 19 S L10 SAM SUB=L9
L12 434 S L10 FUL SUB=L9
SAV L12 SHIAO684A/A
L13 95 S L9 NOT L12
L14 STR L7
L15 5 S L14 SAM SUB=L13
L16 68 S L14 FUL SUB=L13
SAV L16 SHIAO684B/A
L17 43 S L16 NOT L5
L18 2 S L17 AND (C19H24N4O OR C23H33N5O)
L19 27 S L6,L18
SAV L19 SHIAO684C/A

FILE 'HCAOLD' ENTERED AT 10:05:52 ON 20 AUG 2005

L20 0 S L19

FILE 'HCAPLUS' ENTERED AT 10:05:56 ON 20 AUG 2005

L21 3 S L19
L22 2 S L21 AND L1-L4
L23 1 S L21 AND JANSSEN?/PA,CS
L24 3 S L21-L23

FILE 'USPATFULL' ENTERED AT 10:06:30 ON 20 AUG 2005

L25 1 S L19

FILE 'REGISTRY' ENTERED AT 10:06:47 ON 20 AUG 2005

jan delaval - 20 august 2005

FILE 'USPATFULL' ENTERED AT 10:07:03 ON 20 AUG 2005

FILE 'HCAPLUS' ENTERED AT 10:07:14 ON 20 AUG 2005

=>

=> file hcaplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FILE 'HCAPLUS' ENTERED AT 10:32:01 ON 16 SEP 2005
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FILE COVERS 1907 - 16 Sep 2005 VOL 143 ISS 13
FILE LAST UPDATED: 15 Sep 2005 (20050915/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S pain
L1 39726 PAIN

=> S piperidine
L2 54745 PIPERIDINE

=> S L1 (1) L2
L3 178 L1 (L) L2

=> S opioid
L4 33458 OPIOID

=> S L3 (1) L4
L5 23 L3 (L) L4

=> d ibib abs hitstr L5 1-23

L5 ANSWER 1 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:739622 HCAPLUS

TITLE: Design, synthesis and biological evaluation of mu opioid selective biaryl-substituted 1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one derivatives

AUTHOR(S): Jordan, Alfonzo D.; Orsini, Michael J.; Middleton, Steven A.; Connolly, Peter J.; Brennenman, Douglas E.; Pan, Kevin; Reitz, Allen B.

CORPORATE SOURCE: Drug Discovery Division, Johnson & Johnson Pharmaceutical Research and Development, L.L.C, Spring House, PA, 19477, USA

SOURCE: Abstracts of Papers, 230th ACS National Meeting, Washington, DC, United States, Aug. 28-Sept. 1, 2005 (2005), MEDI-108. American Chemical Society: Washington, D. C.
CODEN: 69HFCL

DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)
LANGUAGE: English

AB The 1-phenyl-1,3,8-triazaspiro[4.53]decan-4-one substructure found in spiperone has been widely used in medicinal chemical and drug discovery over the past 40 years. We have prepared a series of N-(biarylalkyl)-1-phenyl-1,3,8-triazaspiro[4.53]decan-4-one compds. and evaluated them at **opioid** (μ , δ , κ) and **Opioid** Receptor Like-1 (ORL-1) receptors. We also evaluated their functional properties at the μ and ORL-1 (nociceptin) receptors. Structures may have utility in the treatment of a variety of human disorders, such as cough, chronic or neuropathic **pain** anxiety, and depression,. We have conducted structure activity relationship studies based upon the 1-phenyl-1,3,8-triazaspiro[4.53]decan-4-one scaffold. Substitution of the **piperidine** nitrogen with biarylalkyl groups of varying substitution led to a series that displayed a high degree of affinity for the μ -**opioid** receptor.

L5 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1016017 HCAPLUS

DOCUMENT NUMBER: 142:6430

TITLE: Preparation of diarylmethylidene **piperidine** derivatives as **opioid** δ receptor ligands for treating **pain**, anxiety and functional gastrointestinal disorders

INVENTOR(S): Brown, William L.; Griffin, Andrew; Jin, Shujuan

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

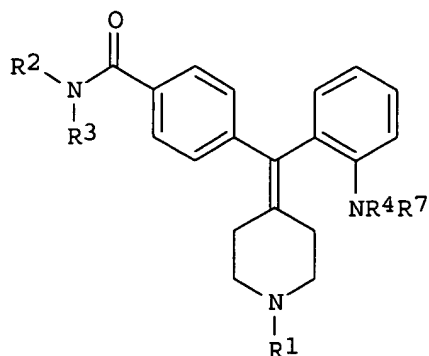
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101522	A1	20041125	WO 2004-GB2074	20040513
W:	AE, AG, AL, AM, AT, AU , AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: SE 2003-1444 A 20030516
SE 2004-24 A 20040109

OTHER SOURCE(S): MARPAT 142:6430

GI



I

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R4 = H, (un)substituted alkyl, cycloalkyl; R7 = H, OH, alkyl, etc.] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = COPh; R7 = H], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human δ receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.48 nM to 17.9 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ✓ ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1016016 HCAPLUS

DOCUMENT NUMBER: 142:6429

TITLE: Preparation of diarylmethylidene **piperidine** derivatives as **opioid δ** receptor ligands for treating **pain**, anxiety and functional gastrointestinal disorders

INVENTOR(S): Brown, William L.; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

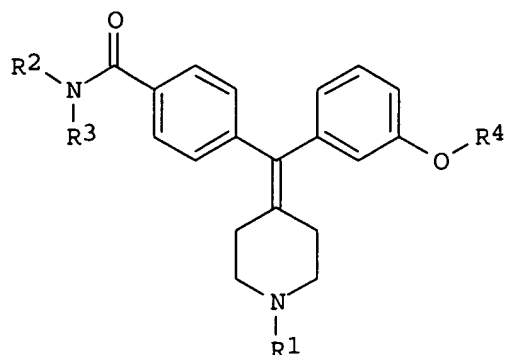
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101521	A1	20041125	WO 2004-GB2073	20040513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: SE 2003-1443 A 20030516

OTHER SOURCE(S): MARPAT 142:6429

GI



I

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 = H, (un)substituted alkyl, cycloalkyl; R4 = (un)substituted alkyl, cycloalkyl, aryl, etc.] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = CH2Ph], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human δ receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.31 nM to 1.30 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

✓ L5 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1016015 HCAPLUS

DOCUMENT NUMBER: 142:6428

TITLE: Preparation of diarylmethylidene **piperidine** derivatives as **opioid δ** receptor ligands for treating **pain**, anxiety and functional gastrointestinal disorders

INVENTOR(S): Brown, William L.; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

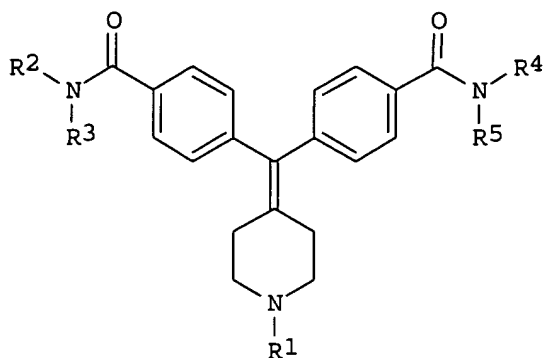
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101520	A1	20041125	WO 2004-GB2071	20040513
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PRIORITY APPLN. INFO.: SE 2003-1445 A 20030516

OTHER SOURCE(S): MARPAT 142:6428

GI



I

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 = H, (un)substituted alkyl, cycloalkyl; R4-R5 = H, (un)substituted alkyl, cycloalkyl, etc.] which are useful in therapy, in particular in the management of pain and anxiety, were prepared E.g., a multi-step synthesis of I [R1 = 2-pyridylmethyl; R2, R3 = Et; R4, R5 = H], starting from Me 4-(bromomethyl)benzoate, was given. Generally, for most of the compds. I the IC50 values towards human δ receptor are in the range of 0.30 nM to 34.4 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1016014 HCAPLUS

DOCUMENT NUMBER: 142:6427

TITLE: Preparation of diarylmethylidene **piperidine** derivatives as **opioid δ** receptor ligands for treating **pain**, anxiety and functional gastrointestinal disorders

INVENTOR(S): Brown, William L.; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

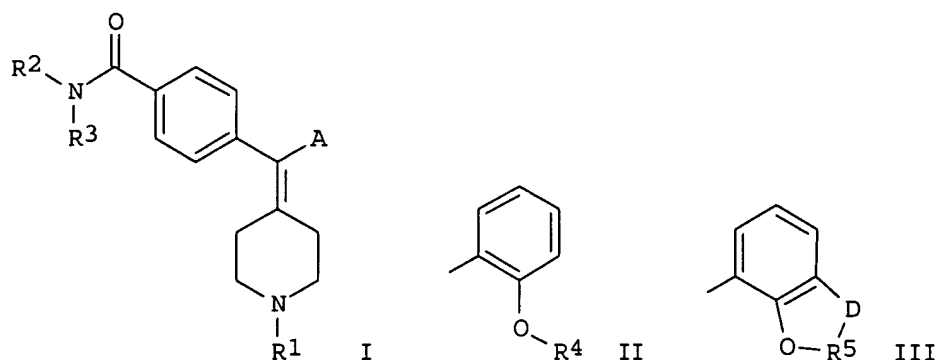
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101519	A1	20041125	WO 2004-GB2052	20040513
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PRIORITY APPLN. INFO.: SE 2003-1441 A 20030516

OTHER SOURCE(S): MARPAT 142:6427

GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 = H, (un)substituted alkyl, cycloalkyl; A = II, III (wherein R4 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R5 = (un)substituted arylene, heterocyclylene, cycloalkylene, alkylene; D = a bond, CH₂, O, S, NH, etc.]] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; A = 2-(PhO)C₆H₄], starting from Me 4-(bromomethyl)benzoate, was given. Generally, for most of the compds. I the IC₅₀ values towards human δ receptor are in the range of 0.32 nM to 1.58 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1015881 HCAPLUS

DOCUMENT NUMBER: 142:6425

TITLE: Preparation of diarylmethylidene **piperidine** derivatives as **opioid δ** receptor ligands for treating **pain**, anxiety and functional gastrointestinal disorders

INVENTOR(S): Brown, William L.; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100952	A1	20041125	WO 2004-GB2096	20040513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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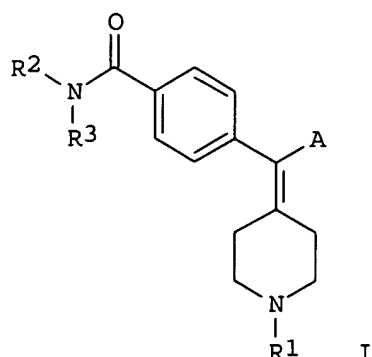
PRIORITY APPLN. INFO.:

SE 2003-1442

A 20030516

OTHER SOURCE(S): MARPAT 142:6425

GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 = H, (un)substituted alkyl, cycloalkyl; A = alkoxyphenyl, aryloxyphenyl, cycloalkoxyphenyl, etc.] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; A = 2-(PhO)C6H4], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human δ receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.32 nM to 1.58 nM. The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:857566 HCAPLUS

DOCUMENT NUMBER: 141:332065

TITLE: Preparation of diarylmethylidene piperidine derivatives as δ -receptor ligands for use in the treatment of, e.g., pain

INVENTOR(S): Brown, William; Griffin, Andrew Mark

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087663	A1	20041014	WO 2004-SE504	20040401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRIORITY APPLN. INFO.: SE 2003-987 A 20030403

OTHER SOURCE(S): MARPAT 141:332065

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkoxy, alkyl, etc.; n, m = 0-2; R2-4 = H, alkyl, cycloalkyl, etc.; R5-6 = NO₂, alkoxy, Cl, Br, etc.; R7 = alkyl, cycloalkyl, etc.] are prepared For instance, 4-[[3-(anilincarbonyl)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide (II) was prepared in 7 steps from 4-(bromomethyl)benzoic acid Me ester, N-Boc-4-piperidinone, diethylamine, 3-carboxyphenylboronic acid and aniline. Compds. of the invention have IC₅₀ of 0.36-9.73 nM for the δ -receptor and IC₅₀ of 1600-9000 nM and 86-8700 nM for the κ and μ -receptors resp. I are particularly useful in the management of pain.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:610034 HCAPLUS

DOCUMENT NUMBER: 141:140326

TITLE: Preparation of diarylmethylidene piperidines as δ -opioid receptor ligands for the treatment of pain.

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole, Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062562	A2	20040729	WO 2004-GB99	20040113
WO 2004062562	A3	20040916		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: SE 2003-105 A 20030116

OTHER SOURCE(S): MARPAT 141:140326

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with acetyl chloride afforded **piperidine** II [R6 = COMe] as the trifluoroacetic acid salt in 52% yield. In human δ - **opioid** receptor binding assays, 7-examples of compds. I exhibited IC₅₀ values ranging from 0.19-1.49 nM. Compds. I are claimed useful in the management of **pain**.

L5 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:606467 HCAPLUS

DOCUMENT NUMBER: 141:157038

TITLE: Preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclylmethyl)piperidin-4-ylidenemethyl]benazamide derivatives as delta opioid receptor ligands

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole, Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063193	A1	20040729	WO 2004-GB61	20040113
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ				

PRIORITY APPLN. INFO.: SE 2003-104 A 20030116

OTHER SOURCE(S): MARPAT 141:157038

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = aryl, heteroaryl, etc.; R2-5 = H, alkyl, cycloalkyl, etc.] are prepared For instance, 4-[bromo(4-carboxyphenyl)methylene] **piperidine**-1-carboxylic acid tert-Bu ester (preparation given) is converted to the diethylamide (CH₂Cl₂, i-BuO₂CCl, HNet2), deprotected (CH₂Cl₂, TFA), alkylated with thiophene-2-carboxaldehyde (1,2-dichloroethane, NaHB(OAc)₃), coupled to m-aminobenzeneboronic acid (PhMe/EtOH/H₂O, Pd(PPh₃)₄, Na₂CO₃) and finally treated with methanesulfonic anhydride to give II. Compds. of the invention have IC₅₀ in the range of 0.18 - 0.56 nM for the **delta-opioid** receptor. I are useful in the management of **pain**.

L5 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:606441 HCAPLUS

DOCUMENT NUMBER: 141:140324

TITLE: Preparation of diarylmethylidene piperidines as **delta-opioid** receptor ligands for the treatment of pain.

INVENTOR(S): Brown, William; Griffin, Andrew; Walpole, Christopher

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; ~~Astrazeneca-UK Limited~~

SOURCE: ~~PCT Int. Appl., 56 pp.~~

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063157	A1	20040729	WO 2004-GB116	20040113
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG,				

ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU,
ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ,
KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN,
MW, MX, MX, MZ

PRIORITY APPLN. INFO.:

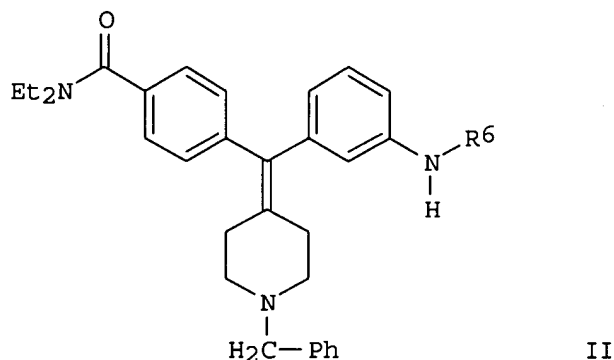
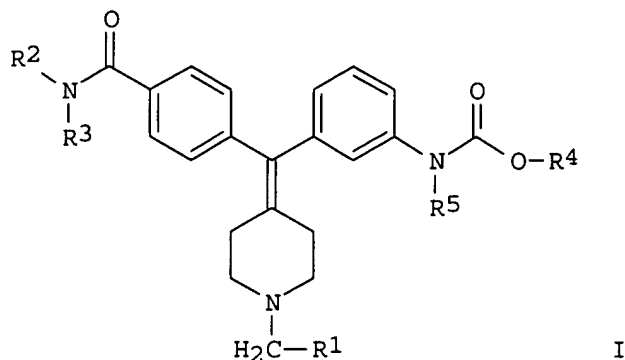
SE 2003-103

A 20030116

OTHER SOURCE(S):

MARPAT 141:140324

GI



AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared. For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with Me chloroformate, afforded **piperidine** II [R6 = COOMe] as the trifluoroacetic acid salt in 38% yield. In human δ - **opioid** receptor binding assays, 4-examples of compds. I exhibited IC50 values ranging from 0.30-0.48 nM, e.g., the IC50 value of **piperidine** II [R6 = COOMe] was 0.48 nM. Compds. I are claimed useful in the management of **pain**.

L5 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:412920 HCAPLUS

DOCUMENT NUMBER: 140:423590

TITLE: Preparation of 4-(phenylpiperidin-4-ylidenemethyl)benzamides for treatment of pain, anxiety, or gastrointestinal disorders

INVENTOR(S): Brown, William; Griffin, Andrew

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041784	A1	20040521	WO 2003-SE1705	20031105
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1567496	A1	20050831	EP 2003-759165	20031105
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			SE 2002-3301	A 20021107
			WO 2003-SE1705	W 20031105
OTHER SOURCE(S):	MARPAT 140:423590			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = (un)substituted alkyl, cycloalkyl(alkyl), (hetero)aryl, R8CO, R8SO2, R8SO, R8NHCO, R8CS, or R8NHCS; ; R2 = H or (un)substituted alkyl; R3 = H or (un)substituted alkoxy carbonyl, alkyl, or cycloalkyl(alkyl); R8 = (un)substituted alkyl, (hetero)aryl(alkyl), or cycloalkyl(alkyl); or pharmaceutically acceptable salts thereof] were prepared as **opioid** δ receptor ligands. For example, reaction of 4-(bromomethyl)benzoic acid Me ester with P(OMe)3, followed by addition of 1-(tert-butoxycarbonyl)-4-piperidone in the presence of LDA in THF, gave 4-(4-methoxycarbonylbenzylidene)**piperidine**-1-carboxylic acid tert-Bu ester (35%). Addition of Br2 (78%) and reaction with NaOH in MeOH provided 4-[bromo(4-carboxyphenyl)methylene]**piperidine**-1-carboxylic acid tert-Bu ester (87%). Conversion to the benzoyl chloride with iso-Bu chloroformate and amidation (73%) with Et2NH in the presence of TEA in CH2Cl2, followed by coupling with 3-aminophenylboronic acid using Pd(PPh3)4 and Na2CO3 in toluene/EtOH/H2O afforded N,N-diethyl-4-[(3-aminophenyl)(piperidin-4-ylidene)methyl]benzamide (97%). Alkylation of the amine with benzaldehyde and NaBH(OAc)3 in 1,2-dichloroethane gave II. In binding assays using human 293S cells expressing cloned human **opioid** receptors and neomycin resistance, most compds. of the invention exhibited activity toward the δ receptor with IC50 values in the range of 0.14 nM - 31.2 nM. Exemplified compds. also showed some activity toward the κ and μ receptors with IC50 values in the ranges of 36 nM - 9680 nM and 3 nM - 5975 nM, resp. Thus, I and their pharmaceutical compns. are useful in therapy, in particular for the treatment of gastrointestinal disorders, anxiety, or **pain** (no data).

L5 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:981474 HCAPLUS

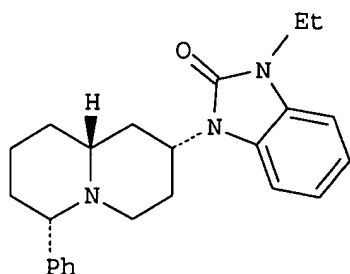
DOCUMENT NUMBER: 140:199264

TITLE: The design and synthesis of a novel quinolizidine template for potent opioid and opioid receptor-like (ORL1, NOP) receptor ligands

AUTHOR(S): Jong, Ling; Zaveri, Nurulain; Toll, Lawrence

CORPORATE SOURCE: Biosciences Division, SRI International, Menlo Park,

SOURCE: CA, 94025, USA
 Bioorganic & Medicinal Chemistry Letters (2004),
 14(1), 181-185
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:199264
 GI



AB A new class of high affinity opioid and opioid receptor-like receptor (ORL1 receptor, NOP receptor) ligands has been designed by conformational restriction of piperidine-based NOP receptor ligands, resulting in a novel quinolizidine scaffold. Different modifications of the pendant functional groups on the scaffold provide differential activities at the opioid and NOP receptors. While the conformational rigidity will provide an improved understanding of the NOP and opioid receptor binding pockets, these compds. also provide a new template for the design of novel opiate and NOP ligands. Modification of the pendant groups of the template can modulate opioid receptor agonist efficacy. The (quinolizidinyl)benzimidazolone SR 14136 (I) was found to possess activity as NOP opioid agonist and κ -opioid agonist.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:913144 HCAPLUS

DOCUMENT NUMBER: 139:395821

TITLE: Preparation of spiro-piperidine compounds as nociceptin antagonists

INVENTOR(S): Saito, Shiuji; Umemiya, Hiroki; Suga, Yoichirou; Sato, Masakazu; Kawashima, Naoya

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095427	A1	20031120	WO 2003-JP5812	20030509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 2002-135988 A 20020510
JP 2002-214248 A 20020723
OTHER SOURCE(S): MARPAT 139:395821
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Spiro-ring compds. such as spiro[isoquinoline-4,4'-piperidine], spiro[pyrido[4,3-b]indole-4,4'-piperidine], spiro[isochroman-4,4'-piperidine], and spiro[naphthalene-1,4'-piperidine] represented by the formula (I, II, III, IV, and V) [wherein B = (un)substituted benzene, pyridine, thiophene, naphthalene, benzothiophene, or indole; R1, R2 = H, C1-5 alkyl, C1-5 alkoxy-C1-5 alkyl hydroxy-C1-5 alkyl, carboxy-C1-5 alkyl, C2-6 alkoxy-carbonyl-C1-5 alkyl, optionally C1-5 alkyl-substituted C3-8 cycloalkyl, (un)substituted aromatic ring group; or R1 and R2 together form a C3-6 cycloalkyl or a 4- to 6-membered heterocyclic ring containing one S, S, or N atom each optionally substituted by C1-5 alkyl; R3 = H, C1-5 alkyl, C1-6 acyl optionally substituted by C1-5 alkoxy, C2-6 alkoxy-carbonyl, C1-5 alkylsulfonyl; R4 = H, C1-5 alkyl; -X1-X2- represents any of the formulas -N(R5)-CH2-, -O-CO-, -O-CH2-, -CO-O-, and -CH2-CO- (wherein R5 represents hydrogen, C3-6 cycloalkyl, etc.); W represents cyclooctyl, C1-3 alkyl substituted by cyclooctyl, or C1-3 alkyl substituted by 1-hydroxycyclooctyl; and m is an integer of 0 to 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit the binding of nociceptin (orphanin FG) to opioid receptor like-1 (ORL-1) and are useful as new-type of analgesics. Thus, 4-cyano-1-cyclooctylmethyl-4-phenylpiperidine was reduced by LiAlH4 in THF under refluxing for 3 h to give 4-aminomethyl-1-cyclooctylmethyl-4-phenylpiperidine which was acetylated by acetic anhydride in CH2Cl2 to give 4-acetylaminomethyl-1-cyclooctylmethyl-4-phenylpiperidine (VI). A mixture of VI, paraformaldehyde, AcOH, and concentrated H2SO4 was stirred at room temperature for 26 h to give, after workup and silica gel chromatog. and treatment with HCl/EtOAc, 2-acetyl-1'-cyclooctylmethyl-2,3-dihydro-1H-spiro[isoquinoline-4,4'-piperidine] hydrochloride which was dissolved in ethano, treated with 20% aqueous NaOH, and refluxed for 5.5 h to give 1'-cyclooctylmethyl-2,3-dihydro-1H-spiro[isoquinoline-4,4'-piperidine] (VII). VII and 1'-cyclooctylmethyl-1-cyclopentyl-2,3-dihydro-1H-spiro[isoquinoline-4,4'-piperidine] dihydrochloride inhibited the binding of [125I]nociceptin to the membrane of CHO cell expressing human ORL-1 with IC50 of 13.2 and 2.4 nM, resp.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:319889 HCAPLUS

DOCUMENT NUMBER: 138:338147

TITLE: Preparation of 4-phenyl-4-[1H-imidazol-2-yl]
piperidine derivatives as selective
non-peptide δ - **opioid** agonists for
treatment of **pain**

INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth;
Fernandez-Gadea, Francisco Javier; Gomez-Sanchez,
Antonio; Meert, Theo Frans

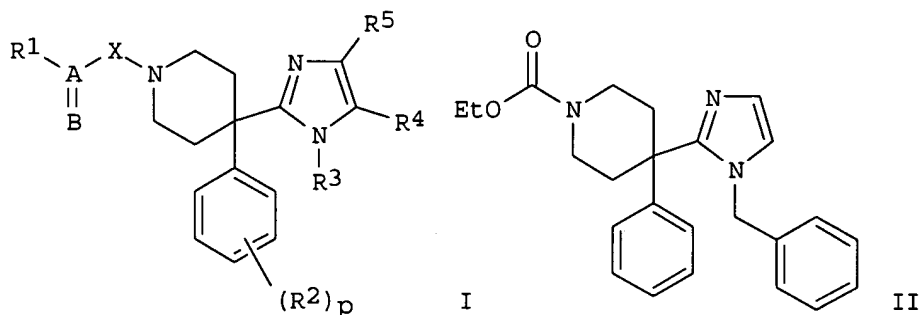
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033486	A1	20030424	WO 2002-EP11372	20021010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2462953	AA	20030424	CA 2002-2462953	20021010
EP 1438304	A1	20040721	EP 2002-782881	20021010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013327	A	20041013	BR 2002-13327	20021010
JP 2005505625	T2	20050224	JP 2003-536226	20021010
NZ 531679	A	20050225	NZ 2002-531679	20021010
US 2004260096	A1	20041223	US 2004-491379	20040331
ZA 2004002818	A	20050413	ZA 2004-2818	20040413
PRIORITY APPLN. INFO.:			EP 2001-203926	A 20011015
			WO 2002-EP11372	W 20021010

OTHER SOURCE(S): MARPAT 138:338147
GI



AB Title compds. I [wherein A=B = bivalent π -bonded radical, such as CO or SO₂; X = bond, CH₂, or CH₂CH₂; R₁ = H, alkoxy, alkanoyloxy, (hetero)aryloxy, heterocyclyl(carbonyl)oxy, (hetero)aroxyloxy, (hetero)arylalkoxy, heterocyclylalkoxy, (halo)alkyl, alkoxyalkyl, (hetero)arylalkyl, heterocyclylalkyl, (hetero)aryl, heterocyclyl, (alkyl)thio, (hetero)arylthio, heterocyclylthio, or NR₉R₁₀; or R₁A=B = (un)substituted carbocyclic, heterocyclic, or (hetero)aryl ring; R₂ = OH, alkoxy, alkanoyloxy, phenoxy, benzoyloxy, halo, CN, (halo)alkyl, alkoxyalkyl, CHO, CO₂H, alkanoyl, alkoxycarbonyl, NH₂CO, (di)alkylaminocarbonyl, Ph, NO₂, NH₂, (di)alkylamino, or (alkyl)thio; R₃ = alkyl, (hetero)aryl(alkyl), heterocyclyl(alkyl), (hetero)arylalkenyl, or heterocyclylalkenyl; R₄ and R₅ = independently H, alkyl, CO₂H, NH₂CO, alkoxycarbonyl, halo, or hydroxyalkyl; p = 0-3; and pharmaceutically acceptable salts, stereoisomers, tautomers, and N-oxides thereof] were prepared as selective non-peptide δ -opioid agonists. In

particular are claimed compds. (I) in which A=B = CO or SO₂; X = a bond; R₁ = alkoxy(alkyl) aryl, or NR₉R₁₀, wherein R₉ and R₁₀ = independently are H or aryl; or R₁A=B = benzoxazolyl; p = 0; R₃ = benzyl optionally substituted with hydroxy, alkyl, or alkoxy carbonyl; and R₄ and R₅ = H. For example, reaction of 1-methyl-4-phenyl-4-piperidinecarbonyl chloride with benzenemethanamine gave the amide (95%), which was chlorinated to afford N-[chloro(1-methyl-4-phenyl-4-piperidiny) methylene]benzenemethanamine•HCl (100%). Addition of dimethoxyethanamine in DMF to give the piperidinecarboximidamide (100%), followed by reduction with NaOH provided 1-methyl-4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]piperidine (25%). Amidation with Et chloroformate in the presence of K₂CO₃ and DEA in toluene gave II (86 %). All compds. of the invention showed a pIC₅₀ of ≥ 6 for the δ- opioid receptor and a pIC₅₀ of ≤ 6 for the μ- and/or κ-receptor in [35]GTPγS radioligand binding assays. The selectivity for the δ- opioid receptor over the μ- opioid receptor was as high as 600. Thus, I are useful for the treatment of pain (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:594817 HCAPLUS

DOCUMENT NUMBER: 137:135105

TITLE: Tricyclic δ opioid agonist analgesics for the treatment of pain with lowered risk of induction of seizure

INVENTOR(S): Dehaven, Robert; Gauntner, Erin; Little, Patrick; Zhang, Wei Y.

PATENT ASSIGNEE(S): Adolor Corporation, USA

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

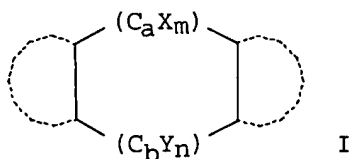
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060870	A2	<u>20020808</u>	WO 2001-US51320	20011116
WO 2002060870	A3	20030103		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002156052	A1	20021024	US 2001-59953	<u>20011116</u>
US 6630462	B2	20031007		

PRIORITY APPLN. INFO.: US 2000-249583P P 20001117

OTHER SOURCE(S): MARPAT 137:135105

GI



AB The invention provides methods and pharmaceutical compns. for the treatment of **pain**, preferably with lowered risk of induction of seizure in a patient. The compns. contain active compds. that are tricyclic δ **opioid** receptor agonists I [A, B are (un)substituted C5-7 aromatic rings; X = N, O, S, P; Y = N, P; m = 0, 1; a = 0-2; m + a = 0-2; n = 0, 1; b = 0-3; n + b = 1-3; m + a + n + b = 1-3; one C[b] or one Y[n] has a pendant (un)substituted **piperidine** or piperazine ring].

L5 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:202086 HCAPLUS

TITLE: Potent and exceptionally selective, nonpeptidic δ opioid receptor agonists as candidate drugs for pain

AUTHOR(S): Walpole, Christopher; Plobeck, N.; Wei, Z-Y.; Delorme, D.; Brown, W.; Takasaki, B.; Zhou, F.; Yang, H.; Jones, P.; Gawell, L.; Schmidt, R.; Schwarz Yue, P.; Payza, K.; St-Onge, S.; Labarre, M.; Godbout, C.; Jakob, A.; Butterworth, J.; Kamassah, A.; Ducharme, J.; Morin, P-E.; Projean, D.; Tu, T-M.; Roberts, E.

CORPORATE SOURCE: Department of Chemistry, AstraZeneca R&D Montreal, St-Laurent, QC, H4S 1Z9, Can.

SOURCE: Abstracts of Papers, 221st ACS National Meeting, San Diego, CA, United States, April 1-5, 2001 (2001)
MEDI-185
CODEN: 69FZD4

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal; Meeting Abstract

LANGUAGE: English

AB Non-peptide δ **opioid** agonists have potential as novel analgesics with an improved side-effect and abuse liability profile, compared to classical opioids. Simplification of the NIH non-peptide lead SNC-80, by removal of substituents not predicted to contribute to binding, led to ARM250 which had lower mol. weight and had fewer metabolically labile groups, but retained full biol. activity. From this lead, key pharmacophores for delta receptor affinity and activation were defined by SAR and mutagenesis studies. SAR of two chemical classes of delta agonists which resulted from optimization of this lead, the piperazine and olefinic **piperidine** classes, will be described as well as synthetic approaches to them. One example of the latter class, ARM 390, which is the most selective delta agonist known to us, has excellent DMPK attributes as a candidate oral drug and is active in chronic **pain** models.

L5 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:198196 HCAPLUS

TITLE: Synthesis of delta-opioid agonists

AUTHOR(S): Craft, Laura; DiCesare, John C.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, The University of Tulsa, Tulsa, OK, 74104, USA

SOURCE: Abstracts of Papers, 221st ACS National Meeting, San Diego, CA, United States, April 1-5, 2001 (2001)
CHED-159
CODEN: 69FZD4

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal; Meeting Abstract

LANGUAGE: English

AB The purpose of this research is to synthesize and test substituted analogs of the 4-(arylamino)-**piperidine** ring system for activity as delta **opioid** agonists. A key step in the synthesis will utilize the titanium(IV) isopropoxide reductive amination of aryl amines and N-alkyl-4-piperidones. The overall goal of the project is to develop a

more detailed pharmacophore for the delta **opioid** receptor that will be beneficial in designing new classes of compds. to be used as treatments for chronic **pain** without the undesirable side-effects associated with current **opioid** agonists.

L5 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:456917 HCAPLUS

DOCUMENT NUMBER: 133:84289

TITLE: compounds having both of opioid μ receptor agonist activity and dopamine D2 receptor antagonist activity as remedies for pain

INVENTOR(S): Akiyama, Yoshihisa; Kudou, Toshiaki; Mori, Tomohisa; Asai, Kenji; Miike, Naoko; Yanagisawa, Yumiko; Watanabe, Takashi; Tsushima, Masaki; Hiranuma, Toyokazu

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

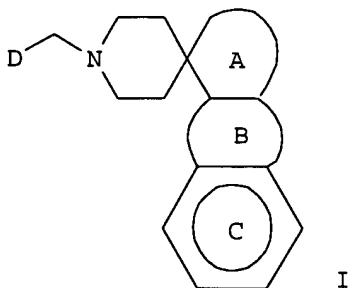
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038720	A1	20000706	WO 1999-JP7191	19991221
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356269	AA	20000706	CA 1999-2356269	19991221
EP 1142587	A1	20011010	EP 1999-959951	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			JP 1998-367366	A 19981224
			JP 1999-136812	A 19990518
			WO 1999-JP7191	W 19991221

OTHER SOURCE(S): MARPAT 133:84289

GI



AB The invention relates to remedies for pain which contain as the active ingredient compds. having both of an opioid μ receptor agonist activity and a dopamine D2 receptor antagonist activity. The compds. having both of these activities exert a potent morphine-like analgetic effect but

cause no mental dependency. Moreover, these compds. can regulate side effects. In particular, novel compds. represented by general formula I [A = (un)substituted S, N or O: 5-6 cyclic; B = N or O: 5-6 cyclic; C = benzene or pyridine; D = (un)substituted S, N or O: aromatic] and pharmacol. acceptable salts thereof have both of the opioid μ receptor agonist activity and the dopamine D2 receptor antagonist activity and are useful as remedies for pain with regulated side effects.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:503409 HCAPLUS

DOCUMENT NUMBER: 127:135730

TITLE: Preparation of 4-substituted piperidine analogs as subtype selective N-methy-D-aspartate receptor antagonists

INVENTOR(S): Bigge, Christopher F.; Cai, Sui Xiong; Weber, Eckard; Woodward, Richard; Lan, Nancy C.; Keana, John F. W.; Zhou, Zhang-Lin; Wright, Jonathan; et al.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Cocensys, Inc.; Bigge, Christopher F.; Cai, Sui Xiong; Weber, Eckard; Woodward, Richard; Lan, Nancy C.

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

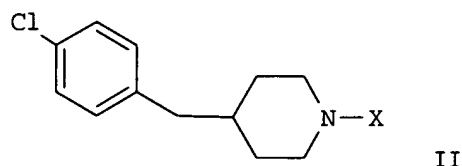
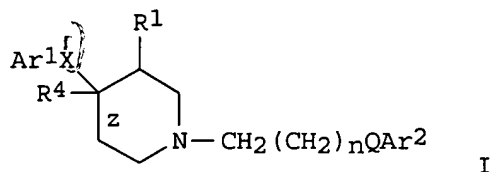
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723214	A1	19970703	WO 1996-US20766	19961220
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9610741	A	19970624	ZA 1996-10741	19961219
CA 2240038	AA	19970703	CA 1996-2240038	19961220
AU 9714310	A1	19970717	AU 1997-14310	19961220
AU 719430	B2	20000511		
EP 869791	A1	19981014	EP 1996-944537	19961220
EP 869791	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9612153	A	19991228	BR 1996-12153	19961220
NZ 325735	A	20000228	NZ 1996-325735	19961220
JP 2000502352	T2	20000229	JP 1997-523881	19961220
US 6130234	A	20001010	US 1996-91594	19961220
AT 239473	E	20030515	AT 1996-944537	19961220
IL 125060	A1	20030731	IL 1996-125060	19961220
PT 869791	T	20030829	PT 1996-944537	19961220
ES 2196196	T3	20031216	ES 1996-944537	19961220
NO 9802869	A	19980824	NO 1998-2869	19980619
NO 312028	B1	20020304		
BG 63424	B1	20020131	BG 1998-102561	19980619
US 6448270	B1	20020910	US 2000-592883	20000613
US 2003105133	A1	20030605	US 2002-206578	20020729
PRIORITY APPLN. INFO.:			US 1995-9192P	P 19951222
			US 1996-91594	A1 19961220

WO 1996-US20766
US 1998-91594
US 2000-592883

W 19961220
A1 19980618
A3 20000613

OTHER SOURCE(S): MARPAT 127:135730
GI



AB The title compds. [I; Ar1, Ar2 = (un)substituted aryl, heteroaryl, etc.; z = single or double bond; X = (CHR2)m, O, S, etc.; R1 = H, OH; R2 = H, OH, lower alkoxy, etc.; m = 0-2; n = 0-2; Q = CH:CH, C.tplbond.C; R4 = H, OH, etc.] are prepared I are useful as selectively active antagonists of N-methyl-D-aspartate (NMDA) receptor subtypes for treating conditions such as stroke, cerebral ischemia, central nervous system trauma, hypoglycemia, anxiety, convulsions, aminoglycoside antibiotics-induced hearing loss, migraine headache, glaucoma, CMV retinitis, chronic **pain**, **opioid** tolerance or withdrawals, or neurodegenerative disorders, such as lathyrism, Alzheimer's Disease, Parkinsonism and Huntington's disease. Thus, **piperidine** analog (II; X = H) was reacted with 3-butyryl tosylate in the presence of NaHCO3 to give the title compound II (X = HC.tplbond.C(CH2)2), which exhibited selectivity for 2B subtype receptors compared to 2A, 2C and 2D subtype receptors.

L5 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:503400 HCAPLUS

DOCUMENT NUMBER: 127:135729

TITLE: Preparation of 2-substituted piperidine analogs as subtype-selective N-methyl-D-aspartate receptor antagonists

INVENTOR(S): Bigge, Christopher F.; Cai, Sui Xiong; Weber, Eckard; Woodward, Richard; Lan, Nancy C.; Keana, John F. W.; Guzikowski, Anthony P.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Cocensys, Inc.; Bigge, Christopher F.; Cai, Sui Xiong; Weber, Eckard; Woodward, Richard; Lan, Nancy C.; Keana, John F. W.; Guzikowski, Anthony P.

SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

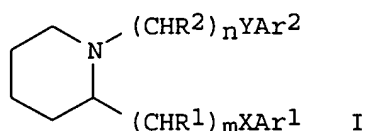
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723215	A1	19970703	WO 1996-US20767	19961220

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

ZA 9610736	A	19970627	ZA 1996-10736	19961219
AU 9713545	A1	19970717	AU 1997-13545	19961220
US 6124317	A	20000926	US 1998-91593	19981118
US 6534525	B1	20030318	US 2000-598162	20000621
			US 1995-9182P	P 19951222
			WO 1996-US20767	W 19961220
			US 1998-91593	A3 19981118

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 127:135729
 GI



AB The title compds. [I; Ar1, Ar2 = (un)substituted aryl, heteroaryl; R1, R2 = H, OH, alkyl; X = CH2, O, S, NR3; R3 = H, lower alkyl; Y = CH2, CH:CH, O, S, etc.; m = 0-2; n = 0-5] are prepared I are useful as subtype-selective N-methyl-D-aspartate (NMDA) receptor antagonists for treatment of stroke, cerebral ischemia, central nervous system trauma, hypoglycemia, anxiety, convulsions, amnoglycoside antibiotics-induced hearing loss, migraine headaches, chronic pain, glaucoma, CMV retinitis, psychosis, urinary incontinence, opioid tolerance or withdrawal, or neurodegenerative disorders, such as lathyrism, Alzheimer's Disease, Parkinsonism and Huntington's Disease. Thus, 2-benzylpiperidine.HCl (preparation given) was reacted with C6H5CH2Br in the presence of K2CO3 to give 48% 1,2-dibenzylpiperidine.HBr, which exhibits selectivity for 2A and 2B subtype NMDA receptor.

L5 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:598958 HCAPLUS

DOCUMENT NUMBER: 123:74808

TITLE: OHM3597: a novel fentanyl derivative with morphine-like behavioral effects in rhesus monkeys
 AUTHOR(S): France, Charles P.; Carr, Daniel J. J.; Brockunier, Linda L.; Bagley, Jerome R.

CORPORATE SOURCE: Dep. Pharmacol., Louisiana State University Medical Center, New Orleans, LA, USA

SOURCE: Drug Development Research (1995), 35(1), 49-58

CODEN: DDREDK; ISSN: 0272-4391

PUBLISHER: Wiley-Liss

DOCUMENT TYPE: Journal

LANGUAGE: English

AB OHM3597, a fentanyl-like **piperidine** with a thalidomide-like moiety, was studied in rhesus monkeys for its behavioral effects and for its effects on lipopolysaccharide (LPS)-induced production of tumor necrosis factor (TNF)- α . OHM3597 had morphine-like discriminative stimulus effects that were antagonized by naltrexone in a manner that was consistent with μ receptor mediation. OHM3797 also had antinociceptive effects, producing a maximal (20 s) antinociceptive effect in a tail withdrawal procedure with a 50°C stimulus. This effect of OHM3597

also was antagonized by naltrexone in a dose-related manner. Behavioral effects of this fentanyl derivative had a rapid onset and a relatively short duration of action; discriminative stimulus effects were evident 3 min after s.c. (s.c.) administration of 0.32 mg (0.58 μ M)/kg of OHM3597 and the duration of antinociceptive effects produced by 1.0 mg (1.6 μ M)/kg (s.c.) was less than 90 min. OHM3597 also was compared to thalidomide for its effects on LPS-induced TNF- α production in peripheral blood mononuclear cells that were obtained from drug-naive rhesus monkeys. Thalidomide suppressed the production of TNF- α in a concentration-dependent manner with concns. of 10 nM and 1 μ M of thalidomide decreasing TNF- α levels of 81 and 65%, resp., of control (saline) values. In contrast, up to a concentration of 1 μ M, OHM3597 failed to suppress LPS-induced TNF- α production. These results demonstrate OHM3597 to be a potent, morphine-like **opioid** with a relatively short duration of action. Although OHM3597 did not alter TNF- α production, a compound with both antinociceptive and immunomodulatory effects might be available within this chemical series and could provide a unique approach to the concurrent treatment of **pain** and infectious disease.

L5 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:473528 HCAPLUS

DOCUMENT NUMBER: 121:73528

TITLE: A-3665, a new short-acting opioid: a comparison with alfentanil

AUTHOR(S): Cambareri, John J.; Afifi, M. Sherif; Glass, Peter S. A.; Esposito, Barbara F.; Camporesi, Enrico M.

CORPORATE SOURCE: Health Sci. Cent., SUNY, Syracuse, NY, 13210, USA

SOURCE: Anesthesia & Analgesia (Baltimore, MD, United States) (1993), 76(4), 812-16

CODEN: AACRAT; ISSN: 0003-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A-3665 is a new short-acting synthetic **opioid** of the **piperidine** class. A double-blind, escalating-dose comparison of A-3665 with alfentanil and placebo was carried out.. Analgesic efficacy was assessed after the administration of A-3665 in increasing i.v. doses (0.25, 0.5, 1, 2, 4, 8, 16, 32, and 64 μ g/kg) to volunteers. Both drugs caused potent analgesia, compared with placebo, with peak effect occurring 3 min after injection. There was no significant difference in the analgesic potency of A-3665 and alfentanil as measured by tolerance to tibial pressure at 3 min. At 16 μ g/kg, both drugs increased **pain** tolerance to tibial pressure compared with placebo at 3 min, but alfentanil continued to display analgesic effect vs. placebo and vs. A-3665 at 6, 11, and 15 min after injection. A-3665 caused respiratory depression at 32 and 64 μ g/kg, but alfentanil did not induce respiratory depression at the doses tested. A-3665 is a potent **opioid** analgesic that can be administered safely to humans. Over the dosage range tested, it appears equipotent to alfentanil but has a shorter duration of action. It may also cause more respiratory depression and produce a greater incidence of pruritus.

L5 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:52435 HCAPLUS

DOCUMENT NUMBER: 118:52435

TITLE: Use of heterocyclic compounds for the treatment of inflammatory pain

INVENTOR(S): Clarke, Geoffrey Douglas; Colle, Roberto; Giardina, Giuseppe; Vecchiotti, Vittorio

PATENT ASSIGNEE(S): Dr. Lo. Zambelletti S.p.A., Italy

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9218115	A1	19921029	WO 1992-EP838	19920408
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9215324	A1	19921117	AU 1992-15324	19920408
PRIORITY APPLN. INFO.:			GB 1991-8326	A 19910418
			GB 1991-15143	A 19910713
			WO 1992-EP838	A 19920408

OTHER SOURCE(S): MARPAT 118:52435

AB Administration of a variety of N-containing heterocyclic κ -**opioid** receptor agonists which act on sensory nerve terminals diminishes the release of neurogenic inflammatory mediators and thereby decreases the transmission of nociceptive information to the central nervous system (no data). The compds. may be useful as peripheral analgesics in treatment of painful inflammatory conditions such as arthritis and low back **pain**. Preferred compds. include 4-(pyrrolidin-1-yl)methyl-5-(3,4-dichlorophenyl)acetyl-4,5,6,7-tetrahydroimidazo[4,5-c]pyridine, 1-(4-trifluoromethylphenylacetyl)-2-(1-pyrrolidinylmethyl)**piperidine**, and (2S)-1-[1-oxo-3,4-dihydro-(2H)naphth-6-yl]acetyl-2-dimethylaminomethylpiperidine-HCl.